

International Conference on

Drugs for the Future: Infectious Diseases

Antimicrobial Drug Discovery : Challenges and Perspectives

DFID-2014

DFID-2014

March 27 - 28, 2014

SOUVENIR



HYDERABAD

National Institute of Pharmaceutical Education and Research (NIPER)

Dept. of Pharmaceuticals, Ministry of Chemicals & Fertilizers, Govt. of India

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DFID 2014

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**Message from
The Project Director,
NIPER Hyderabad.**



I am pleased that the National Institute of Pharmaceutical Education and Research Hyderabad (NIPER-H) is organizing an International conference on Drugs for the Future: Infectious Disease (DFID-2014) with a theme of Antimicrobial Drug Discovery: Challenges and Perspective during 27-28 March 2014. And I extend hearty welcome to all the participants of this conference.

The challenge of resistance to the existing armory of anti-microbial agents is one of the major problems being faced by the present world. Unfortunately, not many new drugs are expected to reach the market in the near future; therefore, immediate attention is needed to avert the looming healthcare disaster. This conference is aimed at discussing the latest trends and updates of the drug discovery efforts in this area. It is hoped to play an important role in bringing out the challenges being faced by the scientific community working in this area and also the possible solutions.

This conference is designed to have a unique blend of all the essential components of drug discovery and development process. We have tried to make it an amalgam of chemistry, biology and clinical research pertaining to discovery of newer agents for infectious diseases. This conference will also provide a good forum for interface of academic and industrial research.

I am sure you will appreciate the efforts of Team NIPER Hyderabad who has put enormous efforts during the last few months to make this conference scientifically and technically sound.

I wish the conference a grand success.

A handwritten signature in green ink that reads "Ahmed Kamal". The signature is written in a cursive style and is underlined with a green line.

Dr. Ahmed Kamal
Project Director

**Message from
The Convener,
DFID-2014.**



It is a matter of immense pleasure to organize International conference on Drugs for the Future: Infectious Diseases (DFID-2014) with a theme of Antimicrobial Drug Discovery: Challenges and Perspectives during 27-28 March 2014 at NIPER Hyderabad campus.

Antimicrobial resistance is an important concern for the health authorities at global level. Due the widespread development of resistance, research related to the discovery and development of new antimicrobial agents has become a major challenge. This conference is designed to bring together the key players in discovery of new antimicrobial agents and to discuss the latest trends and updates. I am sure that the conference proceedings, which include invited talks by renowned scientists; oral/poster presentations by young researchers, would greatly help the scientific community from academic, industry and R&D institutes.

I, on behalf of the organizing committee, would like to acknowledge NIPER Hyderabad and private organizations/companies for financial support and also to the conference organizing team members for their tireless efforts in organizing this conference.

I welcome all the participants and wish them a memorable stay in the historic “pearl” city.

N Srinivas
Dr. Srinivas Nanduri
Convener, DFID-2014

Scientific Program: DFID 2014

DAY- 1: 27th March, 2014 (NIPER Auditorium)		
8.00 A.M onwards: Registration (main Reception)		
9.00-10.30 A.M	<p align="center">Inaugural Ceremony: Chief Guest: Dr A. Venkateswarlu Director, Dr Reddy's Institute of Life Sciences, Hyderabad Key note Speaker : Dr. T.S.Balganesh Distinguished Scientist, CSIR Is the Superbug here? Where are we in the war against drug resistant bacteria?</p>	
10.30-11.00 A.M	Tea/ Poster Session	
Session 1: TB research (11.00-01.00 P.M)		
11.00-11.30 A.M	IL 1: Targeting topology modulators to counter resurgent tuberculosis	Prof. V. Nagaraja <i>Indian Institute of Science, Bangalore</i>
11.30-12.00 P.M	IL 2: Challenges and solutions for controlling TB in endemic countries	Dr J.N. Agrewala <i>Institute of Microbial Technology (CSIR), Chandigarh, INDIA.</i>
12.00-12.30 P.M	IL 3: A smaRT platform for a TB and other infectious diseases	Ms. Anu Acharya <i>MapmyGenome, Hyderabad</i>
12.30-1.00 P.M	IL 4: Efforts toward Identification of Novel Anti-tubercular agents	Dr D. Srinivasa Reddy <i>NCL-Pune</i>
1.00-2.30 P.M	Lunch/Poster Session	
Session 2: Malaria research (2.30-4.30 P.M)		
2.30-3.00 P.M	IL 5: Bio-immunotherapy of malaria: Unknown dimensions and new frontiers	Prof P.P Singh <i>NIPER Mohali, SAS Nagar</i>
3.00-3.30 P.M	IL 6: Challenges and opportunities in drug discovery for Malaria	Dr SK Puri <i>Central Drug Research Institute, Lucknow</i>
3.30-4.00 P.M	IL 7: Discovery of Lead Anti-malarials through Rational Drug Design	Prof Diwan S Rawat <i>University of Delhi, New Delhi</i>
4.00-4.30 P.M	IL 8: Hunting for anti-malarial targets: The Heme biosynthetic pathway of <i>P. falciparum</i>	Dr Gopalakrishnan Bulusu <i>Tata Consultancy Services Ltd., Hyderabad</i>
4.30-5.30 P.M	Tea/Poster Session 2	
5.30-6.00 P.M	IL 9: Dengue and its challenges	Dr Cecilia Dayaraj <i>National Institute of Virology, Pune,</i>
6.00-6.30 P.M	IL 10: Anti-microbials: Challenges during translation from discovery to development	Dr Ajith Vasudevan <i>Novartis Health Care Private Ltd., Hyderabad</i>
6.30-9.00 P.M	Dinner/Poster session 2	

DAY- 2: 28th March, 2014 (NIPER Auditorium)		
9.00-9.30 A.M	IL 11: Critical Appraisal of Novel Antibacterials in Clinical Pipeline	Dr Mahesh Patel <i>Wockhardt Research Centre, Aurangabad, India</i>
9.30-10.00 A.M	IL 12: Antibiotic Resistance "Super Bugs are here to stay"	Dr Sitaram Kumar <i>Panacea Biotech Ltd., Mohali</i>
10.00-10.30 A.M	IL 13: Discovery of azetidine based ene-amides as potent bacterial enoyl ACP reductase (FabI) inhibitors	Dr Hoshahalli Subramanya <i>Aurigene Discovery Technologies Ltd, Bangalore</i>
10.30-11.00 A.M	Tea/Poster session 3	
Session 5: Anti Viral/bacterial/Clinical Research (11.00-01.00 pm)		
11.00-11.30 A.M	IL 14: Discovery of RBX-14255 a novel fluoro Ketolide for Respiratory Tract Infections(RTIs)	Dr Biswajit Das <i>Daiichi Sankyo India Pharma Ltd., Gurgaon.</i>
11.30-12.00 P.M	IL 15: Anti-HIV drugs in Controlling HIV epidemic	Dr RS Paranjape <i>National AIDS Research Institute, Pune</i>
12.00-12.30 P.M	IL 16: Therapeutic Options for Methicillin-Resistant Staphylococcus aureus (MRSA) and Vancomycin-Resistant Enterococci (VRE)	Prof. Benu Dhawan <i>All India Institute of Medical Sciences, Ansari Nagar, New Delhi</i>
12.30-1.00 P.M	IL 17: Pharmacokinetic and Biopharmaceutical Strategies for Drug Development in Infectious Diseases	Dr Rama Sivasubramanian <i>Novartis Health care private limited, Hyderabad</i>
1.00-2.30 P.M	Lunch/Poster session 3	
2.30-5.00 P.M	Oral Presentations	
5.00-5.30 P.M	Valedictory followed by Tea	

**Dr. T.S. BALGANESH**

Distinguished Scientist CSIR
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Is the superbug here? Where are we in the war against drug resistant bacteria?

Bad Bugs No Drugs was a message that the Infectious Diseases Society of America cried out over the past decade with an aim of finding 10 new antibacterials by 2020. A tall order it seems but thanks to a concerted effort of a number of public-private organizations there seems to be light at the end of the tunnel. *M. tuberculosis*, the causative microbe of Tuberculosis, needs no introduction. The infection was amenable to antimicrobial therapy, the first line treatment consisting of Rifampicin, Isoniazid, Ethambutol and Pyrazinamide, albeit the therapy required 6 months of treatment and the drugs did have considerable side effects. We thought we had won the war. Unfortunately beginning the last decade we have seen a reversal of this trend, we encountered drug resistant Mtb (*M.tuberculosis*), multiple drug resistant Mtb followed by Extensively drug resistant Mtb and of late Totally drug resistant Mtb. In India, one patient dies every 3 minutes, we have more than a million new cases, and naturally all these forms of drug resistant are becoming more rampant. A classic story of 'Bad bugs No drugs'. So where are we in dealing with this menace? The pipeline of novel anti-tuberculosis drugs is indeed starting to look healthy and has undergone a sea change over the last decade. Indeed 3 new drugs may become available in the next 5 years. So has our problem gone away? Surprisingly we have the problem of 'plenty', we don't know how to use them. How do we evaluate and progress a 'novel combination' through the regulatory pathway, what is the most effective combination and is the combination going to be used as 'one size fits all' and not take into account the tremendous progress we have made in the understanding of the biology of the microbe? Thus a new set of challenges. The talk will try and discuss the issues raised, the challenges in overcoming the same and how indeed breaking science is addressing some of these. Dr. T.S. Balganes obtained his Ph.D. from the Indian Institute of Chemical Biology, University of Calcutta, India and later he joined as a post-doctoral fellow with Dr. Sanford Lacks at Brookhaven National Laboratories Brookhaven (NY, USA) and subsequently with Professor Thomas Trautner at the Max Planck Institute for Molecular Genetics at Berlin (Germany). He joined Astra Research Centre India as a Senior Scientist in 1987. At ARCI he had worked on a number of pathogenic bacteria involved in causing diarrhea focusing on virulence factors and their roles in the microbe-host interactions. He took over as Head of Research at AstraZeneca R and D India in 2000 focusing the unit on discovering novel drugs for the treatment of Tuberculosis. Presently, he is Distinguished Scientist, CSIR and the Head, Open Source Drug Discovery Project.

- Awarded an Honorary Doctorate from the University of Uppsala in 2011 for outstanding work in the field of TB and Malaria drug discovery.
- Member of task force on 'Setting up a World Class Drug Research Institute'. Committee set up under the CSIR, Govt. of India Tenth Five Year Plan.



Prof. V. NAGARAJA, Ph.D
 Dept of Microbiology and Cell Biology
 Indian Institute of Science, Bangalore, India
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IL - 1: Targeting topology modulators to counter resurgent tuberculosis

The torsional strain in the genome DNA arising from various protein: DNA interactions is relieved by the action of dedicated bunch of enzymes known as topoisomerases. Understanding how these molecular machines function in mycobacteria has been a major topic of our study in order to develop specific inhibitors that would affect the growth of the organism. The reactions carried out by these essential house - keeping enzymes involve DNA cleavage, strand passage and rejoining step to maintain topological state of the genome. Studies will be presented showing how these reactions can be affected by developing new inhibitors.

Nucleoid associated proteins (NAPs) participate in topology modulation by organizing the genome into more compact or relaxed forms without involving DNA cleavage and re-ligation. Topology modulatory proteins are of two types – those which bind DNA and alter the structure and others which bind to topoisomerase and influence the function. Small histone like nucleoid associated proteins HU and HNS belong to the former category. In order to understand their cellular role, we have either developed inhibitors or constructed conditional knock down strains to perturb their cellular function. Some of these studies will be presented.

M.tuberculosis HU contains two domains, a conserved N-terminal domain similar to other HU and another highly basic domain having repeat motifs. Over expression of HU leads to alteration in the nucleoid architecture and biofilm formation. The crystal structure of the N-terminal half of HU reveals a cleft that accommodates duplex DNA. Based on the structural feature, we have designed inhibitors which bind to the protein and affect its interaction with DNA. Chemical perturbation using the inhibitors reveals the importance of HU regulation for the organism.

Valakunja Nagaraja received BSc (1973) and MSc (1975), both from Bangalore University and PhD (1981) from the Indian Institute of Science (IISc), Bangalore for his work on 'molecular biology of host virus interaction'. Thereafter, he worked as Research Associate at the University of Basel, Switzerland (1981-85), and the University of Rochester, USA (1985-89) to work on type 1 restriction enzymes and regulation of anti-restriction system of phage Mu, respectively. Subsequently, he joined IISc as Assistant Professor (1989) and presently working as Professor in the department of microbiology and cell biology.

Professor Nagaraja is recipient of numerous awards:

- SS Bhatnagar Prize, Sreenivasaya Memorial Award of Society of Biological Chemists,
- First Product Process and Technology Development
- Award of Department of Biotechnology,
- Ranbaxy Science Foundation Award,
- JC Bose Fellowship of Department of Science and Technology,
- IISc Alumni Award for excellence in research,
- Honorary Professorship of Jawaharlal Nehru Centre for Advanced Scientific Research,
- J Das Memorial Oration Award of Indian Cell Biology Society
- He was elected Fellow of the Indian Academy of Sciences, Bangalore and National Academy of Sciences (India), Allahabad

Dr. JAVED NAIM AGREWALA

Chief Scientist and Professor-AcSIR

Institute of Microbial Technology (Council of Scientific & Industrial Research),

Chandigarh, INDIA

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IL - 2: Challenges and solutions for a rational vaccine design for TB-endemic regions

Vaccines have been successful for global eradication or control of dreaded diseases such as smallpox, diphtheria, tetanus, yellow fever, whooping cough, polio, and measles. Unfortunately, this success has not been achieved for controlling tuberculosis (TB) worldwide. Bacillus Calmette Guerin (BCG) is the only available vaccine against TB. Paradoxically, BCG has deciphered success in the Western world but has failed in TB-endemic areas. In this presentation, we will highlight and discuss the aspects of immunity responsible for controlling Mycobacterium tuberculosis infection and factors responsible for the failure of BCG in TB-endemic countries. In addition, we suggest radical changes in the strategies that can contribute towards the development of successful vaccine in protecting populations where BCG has failed. Consequently, results related to vaccination employing lipidated peptides will be discussed.

Dr. Javed N Agrewala did his BSc, MSc and PhD from Agra University, Agra. In 1989 he joined as a scientist at the CSIR-Institute of Microbial Technology, Chandigarh. Dr. Agrewala was a visiting Scientist at the Royal Postgraduate Medical School, Hammersmith Hospital, London, UK [1994-1996] and Trudeau Institute, Saranac Lake, USA [2001-2002]. Currently, Dr. Agrewala is working as a Chief Scientist at the CSIR-Institute of Microbial Technology, Chandigarh.

He is the recipient of numerous awards:

- Shanti Swarup Bhatnagar Award,
- National Bioscience Award for Career Development-2006
- New Idea Research Talent Award-2001
- Fellow: Indian National Science Academy,
- Fellow: National Academy of Sciences India,
- National Bioscience Award for Career Development,
- New Idea Research Talent Award, Fellowship:
- Medical Research Council (MRC),U.K

Ms. ANU ACHARYA

Chief Executive Officer,
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**IL - 3: A SmaRT platform for Tuberculosis and other infectious diseases**

TB is an infectious disease caused by the bacillus *Mycobacterium tuberculosis*. It typically affects the lungs (pulmonary TB) but can affect other organs as well (extra pulmonary TB). *Tuberculosis* remains a major health issue, with an estimated one third of the global population latently infected, and causing more than two million deaths every year. In 2010, there were an estimated 8.8 million new cases of M.Tb and 1.5 million associated deaths, mostly occurring in developing countries. India had the largest total incidence, with an estimated 2.0 million new cases (WHO 2013 report).

Management of tuberculosis starts with identifying *Mycobacterium tuberculosis* in clinical specimens. While the diagnosis of *M. tuberculosis* infection is more difficult to establish than other common bacterial infections, the diagnosis of extrapulmonary TB, currently carried out by invasive procedures, is a still bigger challenge. Direct smear microscopy is relatively insensitive and microscopy for acid-fast bacilli cannot distinguish *M. tuberculosis* from non tuberculosis mycobacteria. Culture is more sensitive but it is complex and expensive and the results are invariably delayed because of the slow growth of mycobacteria.

So there is an urgent need for a fast, inexpensive and a sensitive test for the early diagnosis of *M. tuberculosis* complex in clinical specimens. Polymerase Chain Reaction (PCR) is widely used molecular test for rapidly and accurately detecting *Mycobacterium* species. During PCR, primers anneal to target nucleic acid and amplify the DNA, but often the primers anneal to and amplify each other forming primer dimers resulting in signal dampening, false negative and sometimes false positive results. We have developed a new Real Time PCR assay (Sma-RT TB) based on the cooperative primer technology that prevents primer dimer formation during amplification. Thus, Sma-RT TB test is fast, sensitive, specific and relatively cheaper than other Molecular based assays for the diagnosis of *M. tuberculosis* complex.

Ms. Anu Acharya is the CEO of mapmygenome, an Indian Genomics company whose vision is “Better Health for India using technology”. From 2000 until April 2013, Ms. Anu Acharya co-founded and was the CEO of Ocimum Biosolutions, a global genomics outsourcing partner for discovery, development and diagnostics. Since founding the company in 2000, she has led the company through three strategic international acquisitions, two capital raises for equity investments and launch of several innovative products, solutions and services through its proprietary platform called RaaS (Research as a Service).

She was named a “**Young Global Leader**” by the **World Economic Forum** for its class of 2011. She serves on the WEF’s Global Agenda Council as a member of the “**Personalized and Precision Medicine Council**”. The **British High Commission** also named her part of the “**Young Leaders Forum**” in 2013.

She currently serves as a governing board member at CSIR (Council for Scientific and Industrial Research), and as a governing board member at the NIBMG (National Institute for Biomedical Genomics). She also serves as the Vice Chair of the Global Agenda Council on Genetics for the World Economic Forum

Prior to founding Ocimum Biosolutions, Ms. Acharya has had rich experience in the Telecom, IT and entrepreneurship arenas. Her experience is backed by education at premier institutions such as the Indian Institute of Technology at Kharapur, India (IIT) and University of Illinois where she has two Post Graduate degrees in Physics and MIS.

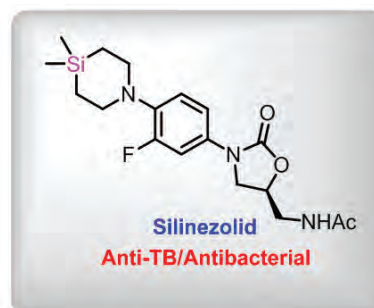
She was selected by Red Herring Magazine to the list of “**25 Tech Titans under 35**” in 2006. She has been named Biospectrum “**Entrepreneur of the Year**” for 2008 and also has been awarded the Astia Life Science Innovators Award in the same year. Ms. Acharya has been a past President of the Hyderabad chapter of the Entrepreneurs Organization and currently serves on the board of ABLE (Association of Biotech Led Enterprises) and is on the Board of mentors for IvyCap Ventures and Advisory Board at Action for India. At Ocimum, she also mentors committees for resource development and Corporate Social Responsibility.

Dr. D. Srinivasa Reddy

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**IL - 4: Our Group Efforts toward Identification of Novel Anti-tubercular Agents**

In my presentation, some of the recent achievements of our group will be highlighted, in particular, projects related toward identification of novel anti tubercular agents. Recently, we have accomplished the synthesis of interesting class of anti-TB natural product diaportheone and its analogues using simple and scalable chemistry. I will be discussing the details of total synthesis, analogue synthesis and the way forward for this project. In addition, I will be discussing on “Silicon-switch approach” in medicinal chemistry which we are pursuing in our group. This approach is expected to be more beneficial in the area of anti-infectives, where we could possibly address the problem of drug resistance through introduction of silicon-based drugs.

**References:**

1. Pandrangi Siva Swaroop, Gajanan N. Raut, Rajesh G. Gonnade, Priyanka Verma, Rajesh S. Gokhale and D. Srinivasa Reddy, *Org. Biomol. Chem.*, 2012, 10, 5385.
2. D. Srinivasa Reddy, B Seetharamsingh and Remya Ramesh, *WO 2013/054275 A1*

Dr. Reddy obtained his PhD degree from University of Hyderabad in the year 2000. He then moved to University of Chicago, where he did his post doctoral research. His research interests are total synthesis of biologically active compounds & medicinal chemistry. He is the author of >50 publications and an inventor of >25 patents. He is experienced in leading drug discovery programs (Dr. Reddy's & TATA Advinus – 7 years of pharma experience). He is currently working as senior scientist in the organic chemistry division of National chemical laboratory, Pune.

Awards:

- CDRI Award 2013 for Excellence in Drug Discovery Research (Chemical Sciences)
- NCL- Research Foundation Scientist of the Year Award – 2013



Prof. PRATI PAL SINGH, Ph.D., F.N.A.Sc., F.A.M.I.
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IL - 5: Bioimmunotherapy of malaria: unknown dimensions and new frontiers

Despite remarkable progress in world malaria situation between the year 2000 and 2012, which resulted in the saving of 3.3 million lives, the disease continues to be a major public health problem. With drug-resistant malaria parasite strains and insecticide-resistant mosquitoes quite rampant, the problem of malaria is further compounded by the non-availability of a suitable human malaria vaccine. In such a scenario, bioimmunotherapy of malaria appears to be a promising alternative approach. Mouse granulocyte-macrophage colony-stimulating factor (GM-CSF) is known to activate macrophages and plays important role(s) in host defense. Methionine enkephalin (M-ENK), an endogenous pentapeptide from the brain, and its fragment tri-peptide Tyr-Gly-Gly (TGG; Imreg-1) are known to have potent opiate agonist and immunomodulatory activities. Rodent malaria parasites *Plasmodium berghei* and *P. yoelli nigeriensis* cause a mild, self-terminating, and a highly fulminating and invariably fatal infection in Swiss mice, respectively. *P. berghei*-infected mice, co-treated with GM-CSF (10 µg/kg) and M-ENK (2 mg/kg) x3/day from Day -1 to Day +4, i/p, significantly ($p < 0.05$) suppressed/completely eliminated their infection. Further, 60% *P. yoelli nigeriensis*-infected mice, co-treated similarly, eliminated their infection, and the remaining were strongly refractory. Furthermore, *P. berghei*-infected mice co-treated similarly but with TGG completely eliminated their parasitaemia. In *P. chabaudi chabaudi* AS-infected mice also similar co-treatment resulted in complete protection. Studies aimed at understanding the protective mechanisms involved suggested that protected mice, as compared to the unprotected ones, showed a significant increase in their serum nitrate, and nitrite, interferon- α and tumor necrosis factor- α levels in their splenic homogenates, on the day just before the beginning of the resolution of parasitaemia. Selective inhibitors of both inducible (aminoguanidine) and all forms (L-NG-monomethyl arginine) of nitric oxide (NO) synthase significantly augmented the mortality of co-treated mice, which suggests the role of NO in protection. Interestingly, 20 ng/ml rhGM-CSF and 1×10^{-11} M M-ENK co-treatment of human blood monocyte-derived macrophages, activated them for the killing of *P. falciparum*, in vitro. It is thus conclude that co-treatment with GM-CSF and M-ENK or TGG can protect against malaria, and thus warrants further evaluation against both drug-sensitive and drug-resistant malarias, and especially against non-human primate malarias [*P. cynomolgi* (both blood- and sporozoite-induced infections), *P. knowlesi* and *P. fragile* infections in rhesus monkeys (*Macaca mulatta*)

Dr. P.P.Singh post graduated from Lucknow University in the area of physiology/entomology. Later he moved to Central drug research institute (CDRI) and obtained his PhD in the area of infectious diseases. In the year 1997, he joined in NIPER-Mohali as associate professor. Currently he is working as professor in the department of pharmacology & toxicology, NIPER-Mohali.

He was bestowed with many reputed awards like

- Fellow, National Academy of Sciences, India, Allahabad.2004
- Fellow, Association of Microbiologists of India.2008
- The Bill and Melinda Gates Global Health Travel Award
- The Tulsabai Somani Educational Trust 1992 award of the
- Indian Academy of Neurosciences

Dr. S.K. PURI, F.N.A.Sc

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IL - 6: Challenges and opportunities in drug discovery for malaria

Medicines have played a key role in controlling malaria historically since the application of cinchona bark during the 16th century. However, even in the 21st century, malaria continues to take an enormous toll on human health accounting for an estimated 2.5 billion people affected and 1 million deaths annually. Of the four recognized *Plasmodium* species causing disease in humans, *Plasmodium falciparum* causes most mortality and *Plasmodium vivax* causes most morbidity besides harbouring a dormant reservoir of latent infection that hampers total cure. While the access to medicines is clearly a major challenge, there are several reasons why new antimalarials are urgently needed. First, the emergence of drug resistance to any infectious disease treatment is inevitable. Artemisinines representing the last class of widely efficacious drugs are also getting compromised today with the reports suggesting increased sensitivity levels for *P falciparum* parasites. The recent reports of delayed PCT are an early warning that treatment failure could be just a few years away. Secondly, the elimination of long lasting reservoirs of infection represented by hypnozoites has become a major challenge and drugs targeting relapses are urgently needed as part of the eradication strategy. Owing to the intrinsic difficulties in discovering and developing new antimalarials, no new class of drugs has been introduced in the clinical practice since 1996. Antimalarial drug discovery can broadly be separated into three areas as (i) treatment of blood stage resistant malaria, (ii) radical cure killing dormant hypnozoites in the patients infected by *P vivax* and (iii) blocking the transmission from host to the vector and vice versa. With the advancing technologies and sustained investment, the next 10 years should ensure availability of diversified portfolio of malaria drugs to mitigate the human sufferings and eventual eradication of this dreadful disease.

Dr. S K Puri did his graduation and masters from Punjab University, Chandigarh. He holds PhD degree from central drug research institute (CDRI) in the area of malaria. Previously he held various positions in the departments of microbiology and parasitology, CDRI. Currently he is the acting director of the CSIR-CDRI.

He has obtained several distinguished awards like

- Fellowship of National Academy of Sciences (FNASc) in 2009
- The National academy of Sciences, (NASI) Allahabad
- CSIR Technology award for Innovation in 2009. To “Team CDRI” for ‘Development of Synthetic Endoperoxide Antimalarials as substitute to Artemisinin Derivatives’.
- Council of Scientific and Industrial Research, (CSIR) New Delhi
- Dr BN Singh Memorial Oration award in 2005.
- The Indian society for Parasitology (ISP)

Prof. Diwan S Rawat

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**IL - 7: Discovery of lead antimalarial through rational drug design**

Malaria remains a major global health issue that affects around 3.2 billion people and results in ~1 million deaths annually [1]. Efforts to control and eradicate malaria are hindered by the absence of a suitable vaccine and increasing resistance to clinically used drugs [2]. To address the need for safe and effective new antimalarial drugs that overcome issues of cross-resistance, numerous antimalarial drug discovery approaches are being actively pursued and covalent hybridization of two or more pharmacophore is one of the concepts that are being explored in recent years. Heme and dihydrofolate reductase are the most commonly studied targets in malaria chemotherapy [3,4]. Aminoquinoline and artemisinin based compounds stop the hemozoin formation via different mechanism, while cycloguanil, a triazine derivative exhibit antimalarial activity due to its ability to inhibit dihydrofolate reductase enzyme. Recently another class of compound named tetraoxanes received considerable amount of interest due to its artemisinin like activity, however, the structural diversity of this important class of compounds is not available [5,6]. To this end, synthesis, characterization, x-ray crystal structure, antimalarial activity and cytotoxicity of symmetrically and asymmetrically substituted tetraoxanes, tetraoxane based hybrids, and novel aminoquinoline conjugates will be presented [7-18]. Effort will also be made to discuss anti-cancer and anti-parkinson activity of these compounds [19-21].

Diwan S Rawat did MSc from Kumaun University, Nainital in 1993 and obtained Ph.D. degree in Medicinal Chemistry from Central Drug Research Institute, Lucknow in 1998. He worked with Panchsheel Organic Limited, Indore and Lupin Laboratory Limited, Mandideep, MP and did postdoctoral work at Indiana University and Purdue University, USA. He was an Assistant Professor of Medicinal Chemistry at National Institute of Pharmaceutical Education and Research (NIPER), Mohali, before joining University of Delhi in 2003 and currently he is full Professor.

He is the recipient of several awards like

- CRSI young scientist award (2007),
- ISCB young scientist award (2010),
- VC's Pratik Chinha Samman, Kumaun University Nainital (2011)
- Prof. D. P. Chakraborty 60th Birth Anniversary Commemoration Award (2007),

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IL - 8: Hunting for anti-malarial targets: the Heme biosynthetic pathway of *P. falciparum*

Malaria is a complex disease that varies widely in epidemiology and clinical manifestation in different parts of the world. *Plasmodium falciparum* parasite is responsible for more than 90% of deaths that occur due to malaria. It is more than a decade since the draft genome sequence of *P. falciparum* has been available. However, the genome is yet poorly annotated. Although the parasite imports heme from the host during the intraerythrocytic stage, it has its own de novo heme biosynthetic pathway(s). While the Glycine pathway has been long established to be in operation, the glutamine pathway has not been. Our efforts to understand the Heme biosynthetic pathway proteins of *P. falciparum*, some of which are potential novel targets for the discovery and development of new antimalarials will be discussed.

Dr Gopalakrishnan has a Ph.D (1989) in Molecular Biophysics, from the Indian Institute of Science, Bangalore. He then worked as a Research Associate for seven years in the area of structural biology at the University of Paris and the University of Cambridge. He was an Assistant Professor of Medicinal Chemistry for five years at the National Institute of Pharmaceutical Education and Research (NIPER). In 2001 he joined Dr. Reddy's Laboratories, Hyderabad to set up and lead their Molecular Modelling and Drug Design department before joining TCS R&D in 2003. He is a Member of the Editorial Advisory Board of the Journal: Current Computer Aided Drug Design (Bentham). He has published over 40 research papers in reputed journals. Dr. Gopalakrishnan's research interests are in the areas of Bioinformatics, Structural Biology and Drug Design.

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IL - 9: DENGUE AND ITS CHALLENGES

Today dengue is the most widespread mosquito-borne disease and predictions for tomorrow show no promise for curtailment of its spread. The challenges dengue virus (DENV) sets us is varied and associated with every aspect. Nothing is simple, be it diagnosis, disease management or disease prevention.

The crux of the problem is that DENV exists as four serotypes, types 1, 2, 3 and 4. Unfortunately the serotypes are related, sufficient to induce a cross-reactive immune response but not enough to confer protection against heterotypes. The immune response instead becomes a risk factor for progression of a mild infection into severe disease during a secondary infection. Primary infections can also result in severe disease. Thus, dengue can be manifested as a subclinical infection or a febrile illness which does not need a doctor or it may result in hemorrhagic fever/shock syndrome which can be fatal. Good patient management requires timely diagnosis, which is not simple; there are three parameters that are tested, viral protein NS1 or viral RNA or anti-DENV IgM with/without IgG depending on the duration of illness. The IgM: IgG ratio indicates whether the infection is primary/secondary. The pharmaceutical industry and academia are still battling for a vaccine. Tetravalent vaccines are yet to meet the demand of conferring an equivalent protective response against all four serotypes. Antivirals have also been unsuccessful; inhibition of virus replication *in vitro* has not been reflected *in vivo*. Last but not least is the vector aspect; control of the vector mosquito has become even more difficult with unplanned urbanization and globalization.

Dr. Dayaraj received MSc. (Microbiology) from University of Pune and D.Phil. in Biological Sciences from University of Oxford. Her fields of specialization include: Monoclonal antibodies and its applications to virology. Molecular characterization of viruses-sequence analysis, phylogeny, etc. Immunological profiles of HIV infection. Vaccine development using recombinant DNA technology. She has participated in several international conferences and had many publications in reputed journals.

Awards:

- Commonwealth Scholarship conferred by Association of Commonwealth Universities.

Dr. Ajithkumar Vasudevan

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IL - 10: Antimicrobials: Challenges during translation from discovery to development

Drug development is always more challenging than discovery for pharmaceutical companies. With multiple factors and complex biochemical pathways, it is a huge risk predicting the fate of the investigational drug eight to ten years ahead of time. While some disease areas are getting saturated with effective drugs, unmet medical need clearly exist in areas such as infectious diseases. This is more conspicuous in antibacterial field where new products are needed due to emergence of multi drug resistance.

Current list of investigational drugs show five compounds in phase III trials in the gram positive sector; how many will reach the market is only a guess at present. Approval history is not encouraging as only two new antibiotics were approved since 2008. No wonder physicians around the globe are already talking about a possible “post-antibacterial era.”

Pharmaceutical industries therefore face unique dilemma due to the huge developmental cost versus perceived low return of investment from new antibiotics. When a new compound gets selected for development, it is mainly based on factors such as novel mechanism of action, low propensity to transfer resistance and target indication. A deeper understanding about the developmental challenges and a look at what prompts industry to continue or drop a drug development program can guide a discovery researcher to choose the right molecule.

Dr Ajithkumar Vasudevan Joined Novartis in 2008 and currently leading a team of medical publication professionals at global medical operations. He had worked in the marine drug discovery division of Shantha biotechnics for 5 years prior to joining Novartis and was responsible to screening of bioactive compounds and scale up. He did his PhD in microbiology from GIFU University, Japan (2002): worked on molecular taxonomy and deciphering biochemical pathways of xenobiotic degradation

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IL - 11: Critical appraisal of novel antibacterials in clinical pipeline

In response to rapidly deteriorating resistance scenario, particularly for Gram negative pathogens, a number of novel antibacterial agents have entered clinical development pipeline over the last five years. Majority of these novel agents have attained superior coverage of resistant Gram negatives pathogens. The noteworthy products in clinical pipeline are as follows:

- Ceftolozane + Tazobactam (CXA 201)
- Ceftazidime + Avibactam (CAZ 104)
- Ceftaroline + Avibactam
- Imipenem + MK 7655
- Biapenem + RPX 7009 (Carbavance)
- BAL 30072
- Plazomicin
- Eravacycline

Majority of these agents under development belong to β -lactam class. However Plazomicin is a modified aminoglycoside (neoglycoside) and Eravacycline is a modified tetracycline. Although each of these agents demonstrates impressive activity against MDR Gram negative pathogens, there are certain gaps in their antibacterial spectrum due to evolving diverse mechanisms of resistance manifested by these pathogens. Moreover some of the newer agents may have potential safety and tolerability issues possibly leading to their curtailed use under clinical settings.

The talk will critically appraise these agents from clinical and microbiological perspectives.

Dr. Mahesh Patel did his Post Doctoral Research in National Institutes of Health – USA in the field of Mechanisms of HIV – Host cell interactions, and he hold Ph.D. degree from University of Bombay on the work entitled Novel strategies for the screening of cell-wall active antibiotics. He had 41 years of experience pertaining to diverse aspects of Drug Discovery and Development based on Natural Products & NCEs and had several patents & publications in peer reviewed international journals & conferences. Presently he is working as Director – Drug Discovery Research, Wockhardt Research Centre from 1998 to till date. His past positions include Ranbaxy Labs (1994 – 1998) Head – Microbiology, New Drug Discovery, and Hoechst Research Centre (1973-1994) Principal Research Scientist. He also presented talk in large number of international meets, educational institutes & research centers

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IL - 12: Antibiotic Resistance- “Super Bugs Are Here to Stay”

The discovery of Penicillin heralded the beginning of the “Golden Age of Antibiotics”. Other antibiotics followed in rapid succession and the scientific community was euphoric that finally many infectious diseases would be eradicated. Although antibiotics must have saved millions of lives, they have not eliminated infectious diseases as a reality of life. However, no one really contended with the resilience of bacteria. The introduction of a new antibiotic was soon followed by the development of resistance in bacteria, thus confirming the prophetic statement of Ehrlich that *“resistance follows the antibiotic like a faithful shadow”*. Bacteria have evolved ingenious methods to overcome the threat of antibiotics, and the rate of development of resistance has resulted in several multi drug resistant bacteria, the so called “super bugs” which are recalcitrant. The doomsayers are now talking of the pre antibiotic era with many infectious diseases becoming untreatable and uncontrollable. The evolution of resistant bacteria is a natural phenomenon and the quest for novel antibiotics needs to be addressed with renewed vigour.

Dr. Sitaram did Masters in Microbiology from Kasturba Medical College Manipal. He obtained PhD from Nizam’s Institute of Medical Sciences Hyderabad. He spent around 13 years at Research Centre at Smith Kline French (I) Ltd Bangalore where he was involved in discovery of novel antibiotics from soil microbes – Streptomyces species. He has worked for 16 years at Dr Reddys Labs Ltd –Hyderabad, in various capacities in Fermentation and Anti –infectives research. His last assignment was as head of biology, Panacea biotech Ltd, Mohali.

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**IL - 13: Discovery of azetidine based ene-amides as potent bacterial enoyl ACP reductase (FabI) inhibitors**

The emergence of bacterial resistance continues to hamper the effectiveness of existing antibacterial therapies and poses serious threat worldwide in community and nosocomial settings. Multidrug-resistant Gram-positive bacteria such as methicillin-resistant *Staphylococcus aureus* (MRSA), *Staphylococcus epidermidis* (MRSE) and vancomycin-resistant *Staphylococcus aureus* (VRSA) are of major concern. Hence, there is a pressing need for increased and accelerated efforts to identify new therapeutics based on novel mechanism of action to combat bacterial resistance. Here we present the discovery of novel and potent series of ene-amides featuring azetidines as FabI inhibitors active against drug resistant Gram-positive pathogens particularly staphylococcal organisms. Hit compounds were designed using a combination of structure guided design and knowledge of published SAR. The Co-crystal structure of hit compounds with *E.coli* FabI enzyme was determined in-house to aid in optimization. Most of the compounds from the series possessed excellent biochemical inhibition of *S.aureus* FabI enzyme and whole cell activity against clinically relevant MRSA, MSSA and MRSE organisms which are responsible for significant morbidity and mortality in community as well as hospital settings. The lead compounds displayed good metabolic stability in mice liver microsomes and pharmacokinetic profile in mice. The in vivo efficacy of lead compound has been demonstrated in multiple in-vivo infection models. The SAR of azetidine series of compounds and the biological profile of lead candidate will be presented.

Dr. Hosahalli Subramanya (Subs) joined Aurigene as scientific advisor on March 1, 2014. Subs was leading the Structural Biology & Lead Generation group at Aurigene as Senior Vice President since 2002 until Feb 2014. Prior to joining Aurigene, Subs was an Asst. Director at the Central Drug Research Institute, Lucknow. Subs received his PhD from IISc, Bangalore and is a Postdoctoral fellow from the Laboratories of Molecular Biophysics and Sir William Dunn School of Pathology at Oxford University, UK.

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IL - 14: Discovery of RBX-14255 a novel fluoro ketolide for respiratory tract infections (RTIs)

Ketolides represent the latest generation of macrolide antibiotics, displaying improved activities against both erythromycin resistant and sensitive bacteria. In this presentation, I will be discussing the discovery and development of a novel fluoroketolide, RBx14255. RBX-14255, a semi-synthetic macrolide derivative synthesized from clarithromycin. RBx-14255 showed excellent in vitro and in vivo profile against sensitive and resistant bacteria. It's pharmacokinetic and safety profile will also be discussed.

Dr. Biswajit Das is working as senior director in Daiichi Sankyo India Pharma Ltd. in the department of chemistry. He has more than 19 years of industrial experience in drug discovery research. During this period Dr. Das has worked on many therapeutic areas e.g. infection, inflammation and cancer. He has published 31 articles and had 28 patents. Dr. Das had completed his Ph.D. degree from Indian Association of cultivation of Science (IACS, 1990) and Post doctoral research from University of Birmingham, UK before joining Ranbaxy in 1994.

Dr. R.S. PARANJAPE

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IL - 15: Anti-HIV drugs in Controlling HIV epidemic

He did post graduation in the area of medical microbiology from All India Institute of Medical Sciences (AIIMS). Then he joined in Madras University from which he obtained his doctorate. He joined the services of Indian Council of Medical Research in 1976 as an Assistant Research Officer & engaged in medical research since then. The field of research was Immunology and the Initial work was in the field of Filariasis Immunology and Tuberculosis Immunology. Considering the importance of research in HIV and AIDS, he transferred to the National AIDS Research Institute and given the responsibility of establishing Serology and Immunology laboratories in the new premises of the Institute.

He was awarded with

- Certificate of Merit and the recipient of National Science Talent Scholarship From year 1969 to 1975.
- Fogarty Fellowship: July 1983-August 1984 at Laboratory of Parasitic Diseases, National Institute of Allergy and Infectious Diseases, National Institutes of Health, U.S.A.
- WHO Fellowship: to strengthen Human Resource development in thrust areas of Medical Research under ICMR relevant to India.

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IL - 16: Therapeutic options for Methicillin-resistant *Staphylococcus aureus* (MRSA) and Vancomycin-resistant Enterococci (VRE)

MRSA is well recognized as a major cause of both nosocomial and community-acquired infection's worldwide. Despite the emergence of MRSA and multidrug-resistant *S. aureus*, we have available, effective drugs in clinical use for which little resistance has been observed viz., vancomycin, quinupristin-dalfopristin, linezolid, tigecycline, telavancin, ceftaroline, and daptomycin. There are also many promising agents in the pipeline that will hopefully be approved for clinical use in the upcoming years: oritavancin, dalbavancin, omadacycline, tedizolid and delafloxacin. Nevertheless, MRSA remains a formidable adversary, and despite our ample armamentarium of potential therapies, there are still frequent treatment failures and unfortunate clinical outcomes.

Enterococcal infections are a therapeutic challenge primarily due to the coacquisition of genetic determinants by Enterococci that encode for the stable expression of high-level-beta-lactam, aminoglycoside and glycopeptide resistance. The emergence of VRE prompted the clinical development of several novel and modified antimicrobial compounds viz., quinupristin-dalfopristin, linezolid, daptomycin, tigecycline. Newer agents that are currently in clinical trials like tidezolid, dalbavancin and oritavancin may also turn efficacious. Linezolid, based upon its efficacy and tolerability, appears to be the cornerstone of current treatment approaches. Despite a relatively short period of clinical use, enterococcal resistance has now been described for quinupristin-dalfopristin and linezolid and more recently even for daptomycin and tigecycline.

Non antimicrobial measures to treat both MRSA and VRE infection, such as foreign body removal, and percutaneous or surgical drainage of close-spaced infection, screening, isolation and decolonization strategies for persons colonized or infected with MRSA or VRE reduce both the need for and the duration of anti-staphylococcal and anti-enterococcal treatment and the emergence of resistance to the newer antimicrobials.

Dr. Benu Dhawan graduated in Medicine from Government Medical College, Patiala, in 1987. She obtained her MD (Microbiology) degree from the Post Graduate Institute of Medical Education & Research (PGIMER), Chandigarh in 1993. She joined the All India Institute of Medical Sciences, New Delhi in the year 1994. She is presently a Professor in the Bacteriology & Mycoplasma Division of the Department of Microbiology.

Awards and honors:

1. Congress Scholarship by the German foundation for International Development (DSE), 1998.
2. Nominated as "2002 Woman of the Year" by the American Biographical Institute
3. Awarded the "2003 Certificate of Membership to the American Association for the Advancement of Science "
4. Recipient of *Honorable Mention* to the ASM-UNESCO Train-the-Trainers Scholarship Program, 2008.

**Dr. RAMA SIVASUBRAMANIAN**

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IL - 17: Pharmacokinetic and biopharmaceutical strategies for drug development in Infectious Diseases

Pharmacokinetics and Biopharmaceutics are key aspects in drug development. In infectious diseases, maintaining drug exposure is extremely important not only for efficacy, but also to prevent drug resistance. Various strategies have been applied in order to maintain drug concentration above the “Minimum Inhibitory Concentrations” of the drug. Drug metabolizing enzymes and transporters play a very important role in the exposure of the drug. One such approach is to inhibit CYP3A4 using ritonavir as a Pharmacoenhancer. Another approach that is being pursued is targeting microbial and parasitic transporters to decrease resistance to existing anti-parasitic or antimicrobial agents. The understanding of microbial transporters can be used to design compounds. However, transporters of similar nature exist in humans and the use of transporter inhibitors can lead to the disruption of indigenous physiological functions causing serious adverse effects. So, care needs to be exercised in the design of such molecules. A biopharmaceutical approach that has been successfully applied is the designing of prodrugs to overcome poor bioavailability. In this presentation, the existing knowledge on inhibiting drug metabolizing enzymes and transporters in infection causing parasites & microbes will be summarized. Strategies on leveraging Drug metabolism, transporters and biopharmaceutical aspects to develop more efficient antimicrobial/ antiviral chemotherapies to treat drug resistant infections will also be described.

Rama Siva subramanian obtained her B.Pharm and M.Pharm (Pharmaceutical Analysis) degrees from Nagpur University. Following her graduation, she taught as a Lecturer at various colleges affiliated to Pune University for 4 years. She earned her PhD degree from the University of Pittsburgh, Pennsylvania in Drug Metabolism and Pharmacokinetics (DMPK).The focus of her PhD thesis work was pharmacokinetic herb-drug interactions. Rama started her career in the Pharmaceutical industry in 2006 as a scientist in Bioanalytical development and Pharmacokinetics departments at Nektar Therapeutics, Hyderabad. She joined Novartis in 2008 as a Senior Scientist in the Global PK/PD group at Novartis Institutes for Biomedical Research (NIBR), Hyderabad. She is currently a Team Leader responsible for a team of DMPK scientists in Hyderabad providing Clinical Pharmacology relevant inputs to several clinical programs and Drug Regulatory Affairs in Novartis.

OP - 1: RECENT ADVANCES IN THE DRUG DEVELOPMENT FOR THE TREATMENT OF MULTIPLE DRUG RESISTANT (MDR)-TUBERCULOSIS (TB)

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The development programs of newer TB drugs are designed to shorten treatment duration, target MDR or XDR strains, reducing the pill burden, reduced dosing frequency (for example, a once-weekly regimen) and possible co-administration with HIV medications. Designing drug's action on diverse mycobacterial respiratory chain functions such as ATP synthesis, redox homeostasis and proton gradients are the newer targets. The currently available drugs principally act by bacterial protein synthesis inhibition, cell wall and multi-target inhibition, DNA gyrase and ATP synthase inhibition. There are 10 new or repurposed drugs in Phase II or Phase III trials. In the WHO Global tuberculosis report 2012, the results of the early bactericidal activity (EBA) study of a new combination regimen that included moxifloxacin, pyrazinamide and the novel drug PA-824 were promising. Also, the newly approved drug bedaquiline, with the combination other antitubercular drugs are being pursued.

To accelerate TB drug development and reduce the clinical development timelines, research into non-sputum biomarkers, such as bacterial DNA sequences in urine samples or host-driven markers, such as toll-like receptor activation are being considered. The TB vaccine pipeline shows seven vaccine candidates are currently in clinical development including pediatric age group as the target population. The newer diagnostic methodologies which are currently under development will also be discussed in this presentation.

OP - 2: CHEMICAL COMPOSITION AND BIOLOGICAL ACTIVITY OF THE ESSENTIAL OIL OF RHIZOME OF ZINGIBER ZERUMBET SMITH GROWING IN NORTH EAST INDIA

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This study was designed to study the biological activity and chemical composition of essential oils of *Zingiber zerumbet* Smith collected from the North-Eastern part of India, which belongs under Indo-Burma Biodiversity hotspot region. Antimalarial, Antileishmanial, Antimicrobial for the essential oil and the major compound, zerumbone isolated from the oil has been studied. The main components of the oils are zerumbone (75.15%), α -caryophyllene (7.05%), α -camphene (5.0%), eucalyptol (2.36%), camphor (2.99%). The essential oil and the major compound zerumbone exhibited strong antimalarial, antileishmanial and antimicrobial activity. Antioxidant and total phenol content of the essential oil were studied using the DPPH assay and Folin Ciocalteu colorimetric methods.

OP - 3: DESIGN AND SYNTHESIS OF HETEROCYCLIC HYBRIDS AND THEIR BIOLOGICAL STUDY

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Indole, Dihydroisoxazole, and isoxazole derivatives are an important class of heterocyclic compounds and their chemical/biological properties have been studied over the years. The dihydroisoxazole and fused dihydroisoxazolines are representative of the active pharmacophores in several biologically important molecules such as antifungal, antibacterial, antitubercular, antidepressant, α -galactosidase inhibitor, aminoacyl synthetase inhibitor, anti-influenza, antiviral and anticancer. Dihydroisoxazoles are also useful intermediates for the synthesis of a wide variety of bioactive natural products. Owing to the labile nature of the N-O bond under mild reducing conditions, dihydroisoxazoles provide an easy access to a variety of fascinating 1,3-difunctional aminoalcohols which are known for the antimicrobial activity. On the other hand substituted Cyclopentanoids are also having a wide spectrum of activities

ranging from antifungal, antibacterial to anti-influenza and anti-viral. Our objective is to design novel antimicrobials by fused isoxazoline and cispentacin scaffold, and to develop synthetic methodology for isoxaline fused cyclopentanoids. Here in, we planned and synthesized a series of novel dihydroisoxazoline derivatives. Synthetic approaches involve (3+2) cycloaddition reaction between different aldoxime with cyclic alkene to afford tricyclo-isoxazoline derivatives. Among them, few derivatives were shown better activity than standard and one of the analogues have more potent in vitro against antibacterial strains compared to the reference drug neomycin and equal MIC value against *candida* strains compared to reference drug miconazole. On the basis of the finding results, it may become a lead compound for drug development for antimicrobials. Furthermore, the prepared cycloadduct is a potential synthetic precursor and it can be used for the synthesis of wide variety of functionalized cyclopentane derivatives with 1,3-amino alcohols as active pharmacophore which themselves are known for their antimicrobial activity.

OP - 4: FUNCTIONAL IMPROVEMENT OF ANTI-TUBERCULOSIS DRUG SQ-109 THROUGH MOLECULAR COMPLEXATION

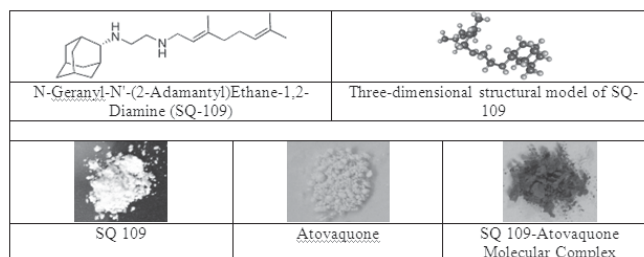
Bhargav Meshiya,^{1*} Saikat Roy¹ and K. Anil Kumar¹

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Present work is focused on synergistic molecular complex of anti-tuberculosis (TB) drug: N-Geranyl-N'-(2-Adamantyl)Ethane-1,2-Diamine (SQ109). This new drug is an oral antibiotic currently undergoing Phase II clinical trial and could become part of a new first-line treatment regimen for TB infection. SQ-109 has low mean retention time, which is directly related to drugs low half life and affects the drug activity. To improve the physical and functional property of this important drug three new molecular complexes are reported in present study. Two of the molecular complexes are made using GRAS listed compounds, viz; Citric acid and Mandelic acid, while a drug-drug complex is prepared using an antimalarial drug: Atovaquone. All the molecular complexes were characterized by powder X-ray diffraction (PXRD), infrared (IR) spectroscopy and differential scanning calorimetry (DSC). Solubility of molecular complex of SQ-109: atovaquone shows a reduction of ~40%, which effectively can improve mean retention time of SQ-109. A detailed NMR and UV study of this molecular complex was done to understand the molecular interaction between SQ-

109 and Atovaquone. The Drug effectiveness was measured through the MABA screening and we found that SQ109-Atovaquone molecular complex has synergistic effect for anti-tubercular activity. Functional improvement of drug properties will be discussed in presentation.



OP - 5: ANTIMICROBIAL SUSCEPTIBILITY AND PREVALENCE OF UROPATHOGENIC EXTENDED SPECTRUM β -LACTAMASE (ESBL) PRODUCING *E. COLI*.

Ranjan Devkota¹, Karthik Pullela^{1,3}, Bhavani Manivannan¹, Niranjana Mahalingam², and Eswarappa Pradeep Bulagonda^{1*}

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Escherichia coli strains producing extended-spectrum β -lactamase (ESBL) pose potential threats to patient care because most clinical diagnostic laboratories may not attempt to detect these enzymes. Therefore, the objective of this study was to ascertain if *E. coli* isolates collected from Sri Sathya Sai Institute of Higher Medical Sciences, Prasanthigram, Puttaparthi possess ESBL's (bla_{CTX-M} , bla_{SHV} and bla_{TEM}). Between January 2011 and July 2012, 87 consecutive non-repeated strains of uropathogenic ESBL *E. coli* were evaluated for antimicrobial susceptibility using Vitek 2 compact system. Along with resistance to third generation cephalosporins these isolates showed resistance to ciprofloxacin (91.11%), Moxifloxacin (93.33%), trimethoprim (81.11%) and gentamycin (62.22%) and demonstrated sensitivity to imipenem (83.33%), meropenem (83.33%) amikacin (76.67%) and tigecycline (88.89%). Uniplex PCR analysis revealed that 74 (85.05%) isolates coproduce bla_{CTX-M} and bla_{TEM} and 2 (2.2%) were positive for the coproduction of bla_{CTX-M} , bla_{SHV} and bla_{TEM} ESBLs. 7 (8.04%) isolates produce bla_{CTX-M} alone, 2 (2.2%) isolates produce bla_{TEM} alone and 0 isolates (0.0%) produce

bla_{SHV} alone. The presence of underlying comorbid conditions such as congenital disorders, renal failure, urethral strictures, myocardial disorders, calculus and hyperplasia of prostate along with urinary tract infections further compounds this drug resistance. The current study demonstrates that resistance to third generation cephalosporins is mediated by several enzymes and should be a cause of concern to infection control boards in both the community and institutional settings.

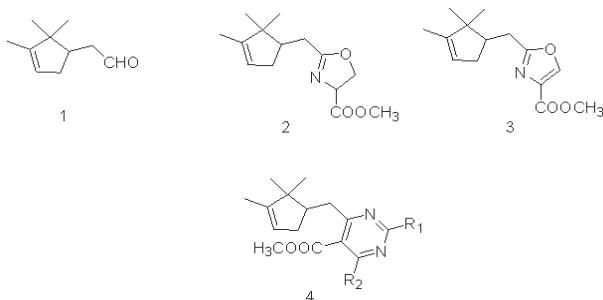
OP - 6: CAMPHOLENIC ALDEHYDE AS A SOURCE OF BIOACTIVE COMPOUNDS

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Natural Product based drug discovery provides a reliable platform to discover new drugs or drug like molecules. α Pinene is a naturally occurring terpenoid which can be easily converted to campholenic aldehyde 1, a useful starting material for further chemical transformations. Our studies are focused on chemical transformations of readily available campholenic aldehyde 1 into several biologically important novel molecules. We have theoretically designed several novel compounds and synthesized some of them using conventional methods of organic synthesis. In one of the chemical transformations Campholenic aldehyde 1 was treated with L-serine in the presence of iodine in ethanol which furnished oxazoline derivative 2. The product was characterized by spectral data and further converted into oxazole derivative 3. In another attempt, campholenic aldehyde was subjected to a multi component reaction by treating with urea and ethylacetoacetate to furnish a pyrimidine based heterocyclic compound 4. The results of our synthetic approach and preliminary biological properties, in particular antimicrobial and cytotoxicity results, will be presented.



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OP - 7: GENE THERAPY FOR INFECTIOUS DISEASES

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Infectious diseases due to different infectious agents are a challenge for antimicrobial therapy. The emergence of infectious organisms with multiple antibiotic resistance, non treatable diseases like AIDS, Hepatitis in the community is a potentially serious threat to human health. Unfortunately, newer antimicrobial development is unsatisfactory. Gene therapy is being investigated as an alternative treatment for a wide range of infectious diseases that are not amenable to standard clinical management. Approaches to gene therapy for infectious diseases can be divided into three broad categories: (i) gene therapies based on nucleic acid moieties, including antisense DNA or RNA, RNA decoys, and catalytic RNA moieties (ribozymes); (ii) protein approaches such as transdominant negative proteins and single-chain antibodies; and (iii) immunotherapeutic approaches involving genetic vaccines or pathogen-specific lymphocytes. It is further possible that combinations of the aforementioned approaches will be used simultaneously to inhibit multiple stages of the life cycle of the infectious agent.

OP - 8: BIOFILMS - A CHALLENGE AND FUTURISTIC APPROACH

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The basic right of any living creature is to survive. Man devised ways and means to combat microorganisms. But they adopted the survival strategy by various mechanisms as BIOFILMS production etc. Its time we alter our focus from killing bacteria to divide and rule.

A biofilm is a surface associated mono or poly microbial colony, where cells adhere to each other and also to the surface, under favourable or unfavourable conditions that is embedded in a self produced glycocalyx or extracellular

polymeric matrix, which protects the microorganisms from hosts immune system and antimicrobial therapy. Structural heterogeneity (due to different nutritional requirements of various colonizers), viability, genetic diversity i.e., altered phenotypes and genotypes. when a cell switches to biofilms mode of growth, it undergoes a phenotypic shift (cellular juxta position) in behavior and many genes are differentially regulated. Given sufficient resources for growth, a biofilm will quickly grow to be macroscopic and can be fossilized being asymptomatic.

Bacteria in biofilms communicate through Quorum sensing. Quorum sensing is the cell to cell signaling mechanism that enables the bacteria to collectively control gene expressions by releasing autoinducers called Acyl homoserine lactones(AHL), which are mediators of Quorum sensing. Its hight time to shift from antibiotic to antipathogenic drugs.

The antipathogenic agents play a major role in significant inhibition of , quorum sensing regulated gene expression , and has excellent potential as a control strategy for biofilms and prevent multidrug resistant infections.

The present original study is conducted to evaluate the role of biofilms in chronic infections as well as emergence of multidrug reistance strains.

The results are shown as the growth of biofilms by various methods, antibiotic resistant pattern and subjected to inhibitors. The results will be presented along with the data.

OP - 9: HANDLING INFECTIOUS DISEASES

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Endemic country like India has constant out breaks and many infectious diseases are prevailing in the country. To combat all these diseases an appropriate Diagnostic, R&D and Manufacturing facilities complying with CDC/NIH, NABL guidelines are necessary. To manipulate organisms, to develop new drugs against diseases; proper containment systems are necessary. If containment facilities are not constructed as per good engineering practices, there shall be several outbreaks and the personnel handling as well as environment get infected. Healthcare facilities, operation theatres has problem of post-operative diseases due to poor HVAC design. NABH, CDC guidelines needs to follow to build

any healthcare facilities. The presentation is about various infectious diseases, how to handle and where to handle the organisms while doing manipulations for research, manufacturing as well as for health care facilities.

OP - 10: RNA THERAPETICS

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After several years of waning enthusiasm for an approach to drug-making that was once called revolutionary, interest in RNA medicines is suddenly on the upswing. Several companies are developing drugs using gene silencing technology called RNA interference. Companies are developing a range of technologies in the complex realm of RNA drugs. But the goal of all of them is to battle diseases such as cancer and rare genetic disorders by turning on and off the genes that regulate proteins in people's cells. Several companies have developed experimental RNA drugs to treat a form of muscular dystrophy in young boys helped them their ability to walk. All these show that RNA can open up new therapeutic Pathways. Some companies have developed technologies which block diseases causing over production of proteins in cells, A decade after mapping of human genome, scientists are finally gaining "the molecular understanding" to produce "Precision medicines".

Work with RNA molecules, which long ago surrendered the spot light in the field of genetics to the better known DNA, has been the talk of the biopharmaceutical world. Many companies have developed RNA drugs to treat rare blood disorders such as hemophilia and porphyria. Those on the field say RNA therapeutics offer advantages over traditional small molecule drugs or biotech medicines from organic molecules. Many in the industry believe that they can do hundreds if not thousands of drugs by injecting messenger RNA in to cells. The messenger RNA contains instruments telling cells how to make protein and whether to leave it in the cell or carry it in to the blood stream. A brief history about development and discovery of micro RNA's especially RNA interference and its use in the development of RNA therapeutics will be presented in the conference.

PP1 - 1: HANTA VIRUS: AN EMERGING PUBLIC HEALTH THREAT IN ASIA AND AMERICAN COUNTRIES

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Hanta viruses are enveloped RNA viruses, most widely distributed zoonotic rodent borne viruses, can cause two important clinical syndromes hemorrhagic fever with renal syndrome (HFRS) and hanta virus pulmonary syndrome. Hantaviruses are enveloped RNA viruses (family: Bunyaviridae, genus: Hantavirus). The important species of hanta virus include Hantaan virus, Seoul virus, Puumala virus, Sin Nombre virus and Dobrava-Belgrade virus. Rodents are natural hosts and Humans are accidental hosts and get infected by aerosols generated from contaminated urine, feces and saliva of infected rodents. Initial symptoms include flu like symptoms like chills, fever and muscle cramps. In severe cases may cause acute respiratory syndrome, kidney failure, and sinusitis. Serological investigations of patients with pyrexial illness revealed presence of anti hanta viruses IgM antibodies in 14.7% of them. The seropositivity of hanta virus infection in the general population is about 4% and people who live and work in close to proximity with rodents have a greater risk of acquiring hanta virus infection. Possible complications in hanta viruses include kidney failure, heart and lung failure. There are no effective anti viral drugs for the treatment of hanta virus infections, but Supportive therapy is the best control progression and there is ongoing research on hanta viral vaccine. The present poster on hanta virus is to increase awareness of those emerging pathogen and threats that possess to the public health system.

PP1 - 2: EFFECTIVENESS OF DOTS IN TUBERCULOSIS PATIENTS

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Tuberculosis (TB) is the one of the most prevalent infection and adherence to treatment is the most important factor for the successful outcome of treatment. A DOT (directed observed therapy) is the best step to improve the compliance. Treatment regimens employed in DOTS under RNTCP (Revised National Tuberculosis Control Programme) have high cure rates. To determine the effectiveness of DOTS therapy in Tuberculosis patients.

The study was carried out at RNTCP Centre of Amrita institute of medical science, Kochi. The details of TB patients visited the center during the period of January 2012-August 2013

were collected retrospectively. Ethical committee approval was obtained before commencement of the study. 265 patients were included in the study and the treatment outcome was analyzed according to WHO guidelines. Patients were followed up by telephonic interview.

265 cases were identified, of which 14.33% had pulmonary tuberculosis and 85.66% had extra pulmonary, in which spine tuberculosis was 7.48%, lymph node tuberculosis 15.85% and pleural effusion 7.488%. Tuberculosis patient were mostly found in age group of 30-50 yrs in which male population dominates. 87.92% of cases were new, followed by 15% relapse, 1.59% failure and 4.107% defaulted cases. Treatment outcome were analyzed and found that 83.01% had success, 5.28% defaulted, 0.75% failed, 7.92% treatment completed and 2.22% died.

Monitoring the treatment outcome of Tuberculosis is essential in order to evaluate the effectiveness of therapy. DOTs therapy is the greatest success in improving tuberculosis treatment outcome.

PP1 - 3: IN-SILICO CHARACTERIZATION OF ENDOTOXIN: A FUTURE DRUG TARGET OF NEISSARIA MANINGITIDIS

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Neisseria meningitidis is a Gram negative bacterium, approximately 5000 people per year suffering from meningitis disease out of that 20.9% are dying in India. Lipopolysaccharide is a component of the outermost membrane of *N. meningitidis*, which composed of hydrophobic domain known as lipid A, non-repeating core oligosaccharide and a distal polysaccharide O-antigen. Lipooligosaccharide of *N. meningitidis* is structurally related to lipopolysaccharide of enteric gram negative *Bacilli* but it does not have repeating O-antigen. Lipooligosaccharide and lipopolysaccharide have conserved inner cores composed of heptose and 3-deoxy-D-manno-octulosonic acids (kdo) which are anchored in outer membrane by lipid A which acts as an endotoxin. Kdo transferase is an enzyme encoded by the *kdtA* gene, catalyzes the addition of kdo residues using cyclic monophosphate-kdo (cmp-kdo). Blocking of kdo transferase enzyme which causes no addition of kdo residue to lipid A, this ultimately leads to the blocking of endotoxin pathway. The purpose of this investigation is to carry out *in silico* characterization of kdo transferase. Primary protein sequence analysis was carried out using ProtParam tool. SOPMA was used to predict the secondary structure of protein which Alpha helix, 52.72%; extended strand, 12.53% and Random coil, 27.66%. 3D structure was predicted using Swiss model and the model quality was determined using PROCHECK. The functional domains were analysed which contain KdtA and PRK05749 as a multi-domain and belong to Glycosyltransferase superfamily. Thus kdo transferase serves as a potential drug target for the treatment of *meningitidis* disease.

PP1 - 4: THALASSEMIA INDUCED INFECTIONS: THERAPY RELATED COMPLICATIONS

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Thalassemias are a group of inherited autosomal recessive blood disorders which is caused by variant or missing genes resulting in production of less haemoglobin and fewer circulating RBC than normal. Infections are a frequent complication of thalassemia and they can be fatal. Clinical aspects like iron overload (IOL) and splenic absence (hypofunction) influence the outcome of certain infections such as Acquired Immunodeficiency Syndrome (AIDS), hepatitis C virus (HCV) or bacterial infections. Streptococcus pneumoniae is responsible for the majority of infections, followed by H. influenza type b, Escherichia coli and Neisseria meningitidis. Highest mortality rates were due to gram negative bacteria. The risk factors for Thalassemia induced infections were splenectomy, central venous catheters, bone marrow transplantations or nutritional deficiencies like zinc deficiency. In clinical practice, it has been observed that severe anemia; itself is a risk factor for bacterial infections in thalassemia, especially pneumonia. Since the spleen is a very important immunological organ and reservoir of immunocompetent lymphocytes asplenia results in impaired antibody production in response to new antigens. Another reason for infections is Human parvovirus B19, a non-enveloped single-stranded DNA virus which is also called Erythrovirus. There are evidences that persistently infected blood donors can transmit such infections through transfusions.

The primary preventive measure is the selection of appropriate criteria for blood donation. Vaccination does not completely protect against infections with encapsulated bacteria. Prophylactic antibiotics (penicillin) are helpful. Splenectomised and hyposplenic patients must receive routine vaccination, including both live attenuated and killed vaccines. Patients should be immunised against Streptococcus pneumoniae. Subtotal splenectomy may reduce the risk of postsplenectomy sepsis.

Although transfusions and bone marrow transplantations are important modalities to treat or cure the disease, the additional problems arising from these procedures and their adverse effects have different implications. Infectious diseases still represent a major challenge for assuring a good quality life and prolonged survival in such patients. Splenectomy in early stages of life also leads to complications in the longer run.

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PP1 - 5: DESIGN AND BIOLOGICAL EVALUATION OF NOVEL STAPHYLOCOCCUS AUREUS DNA GYRASE INHIBITORS

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Staphylococcus aureus is a gram positive bacteria that inhabits the human respiratory tract and skin. This S. aureus bacteria has become resistant to fluoroquinolones and most of the antibiotics available till date. A highly resistant S. aureus strain that evolved due to mutations recently is the MRSA strain. Gyrase is the best target as it is the first enzyme required for initiation of DNA replication among the cascade of enzymes, thus targeting gyrase may stop the infections from spreading at the preliminary stages as replication is inhibited so that an infected cell may not give rise to another infected one. DNA gyrase being a heterotetramer possess GyrA domain which was exploited extensively and GyrB which was not exploited, but this catalytic domain (GyrB) has a high scope for antimicrobial therapy as it is a well-established and validated target. Starting from the available structural information in PDB (Id: 3TTZ)¹, we identified a novel series of benzimidazole inhibitors of DNA gyrase B with low micromolar inhibitory activity employing a novel structure-based drug design strategy. Subsequently, this chemical class of DNA gyrase inhibitor was extensively investigated biologically through in-vitro assays, biofilm inhibition assays, cytotoxicity, and in-vivo studies. The binding affinity of the most potent inhibitor was further confirmed biophysically through differential scanning fluorimetry.

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PP1 - 6: ANTIBIOTIC INDUCED HAEMOLYTIC UREMIC SYNDROME IN E-COLI INDUCED DIARRHOEA: A CASE REPORT

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Ciprofloxacin is a fluoroquinolone antibiotic, which inhibits DNA gyrase in susceptible organisms. It is commonly used to treat diarrhoea caused by enteric bacteria. Haemolytic Uremic Syndrome is a known adverse reaction of this class of antibiotics. In the present case, a 25years male patient was admitted to a teaching hospital with the chief complaints of giddiness, weakness and anuria since three days. He had diarrhoea around one month back and gone through antibiotic medications (Ciprofloxacin & Oriandazole) and ORS

from local clinic. He continued same treatment for 5 days but he has taken ciprofloxacin for 15-18 days.

On admission, CBC, Stool culture, Urine Routine and other examinations were performed. Based on the findings, he was diagnosed with antibiotic induced HUS and started with symptomatic treatment and supportive therapy. The reason for HUS was the irrational use of antibiotic and lack of proper patient counselling. There is need for better monitoring and counselling when patients are on antibiotic therapy. In addition to increasing cost of treatment, such reactions also increase the number of hospital days, thereby affecting the productivity adversely.

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PP1 - 7: ANTIMICROBIAL ACTIVITY OF A BIOSURFACTANT ISOLATED FROM MARINE BACTERIUM

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Biosurfactants are amphiphilic compounds produced by micro-organisms with surface and emulsifying activities. These molecules exhibit a distinct tendency to accumulate at the interface between fluid phases that show different degrees of polarity and hydrogen bonding, such as oil and water or air and water, reducing the surface and interfacial tension. our paper deals with the determination of the antimicrobial properties of a biosurfactant isolated from marine bacterium against several micro-organisms, including Gram-positive and Gram-negative bacteria, yeasts and filamentous fungi. Antimicrobial and anti-adhesive activities were determined using the well diffusion method and using 96-well culture plates. The biosurfactant showed antimicrobial activity against all the micro-organisms assayed. Furthermore, the biosurfactant showed anti-adhesive activity against most of the micro-organisms evaluated. The results obtained in this study regarding the antimicrobial and anti-adhesive properties of this biosurfactant opens future prospects for its use against micro-organisms responsible for diseases and infections in the Respiratory, urinary, vaginal and gastrointestinal tracts, as well as on the skin, making it a effective alternative to conventional antibiotics.

PP1 - 8: USE OF INJECTABLE ANTIBIOTICS FOR SEPSIS IN NEW BORN

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This article assesses the current supply and demand of procaine benzylpenicillin, gentamicin, and ceftriaxone, the first step toward ensuring access to affordable, high-quality injectable antibiotics that are listed on the WHO EMLc[essential medicines of list for children] for neonatal sepsis treatment in low-resource settings. Neonatal sepsis is a bacterial infection in the blood that occurs in an infant younger than 90 days from birth. A review of available data about injectable antibiotics for treatment of neonatal infections in developing-country communities found that penicillin's and cephalosporin's have relatively favourable efficacy and safety profiles. Although the aminoglycosides (e.g., gentamicin) have narrow therapeutic indices, when used appropriately they are safe and effective. Although inexpensive and effective, chloramphenicol is the least preferred due to its potential association with significant life-threatening toxicity among neonates. The conclusion is that the preferred injectable antibiotic regimens for community and first-level facility use are procaine benzylpenicillin with gentamicin, or ceftriaxone alone. They are safe and retain efficacy when dosed at extended intervals (24 hours) by intramuscular administration. Currently lower-level government health facilities in some country contexts lack trained staff to manage neonatal infection. There is a need for governments within country health system contexts and regulations to increase access to antibiotics as close to home as is feasible and safe.

PP1 - 09: ROLE OF VITAMIN A IN MANAGEMENT OF TUBERCULOSIS

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Tuberculosis is one of the major infectious diseases caused by mycobacterium tuberculosis. It is the major global problem affecting more than 2 billion people worldwide and causing more than 2 million deaths annually. There are several problems associated with currently available TB treatment, like duration and complexity of treatment, may lead to suboptimal drug response and development of resistance. There is increase in incidence of multidrug resistance (MDR)

And extensively drug resistance (EDR).to overcome this problem, strengthening of immune system is the best existing alternative.

Vitamin A plays a vital role in maintaining immunity. It has been shown that vitamin A has immunocompetent role in human tuberculosis. Vitamin A was reported to inhibit multiplication of virulent bacilli in cultured human macrophages. In addition, vitamin A has a vital role in lymphocyte proliferation and in maintaining the function of epithelial tissues. It is essential for normal functioning of T and B lymphocytes, macrophage activity, and generation of antibody response.

Vitamin A-triggered antimicrobial activity against M. tuberculosis requires expression of NPC2. NPC2 is a cholesterol binding protein and it is key for normal intracellular trafficking of lipoprotein cholesterol, which is nutritional requirement for mycobacterial survival. Thus Vitamin A can manage tuberculosis effectively with minimum side effects and drug resistance development problem can be overcome.

PP1 - 10: INCIDENCE OF MICROBIAL INFECTIONS AND CLINICAL RESPONSE TO CURRENTLY USED ANTIBIOTICS IN MAJOR DEPARTMENTS OF A TERTIARY CARE TEACHING HOSPITAL

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Antimicrobial resistance (AMR) has become a major obstacle in the way of the treatment of infectious diseases worldwide. This was a prospective study done to evaluate the current usage of anti-microbial agents in various major departments of Amrita Institute of Medical Sciences, Kochi, Kerala. The various departments selected are Pulmonary medicine, General Medicine, Gastroenterology, Nephrology, Neurology, Oncology and Cardiology. The study extended for a period of two months in which data of 200 in patients who had undergone sputum culture collected randomly to analyse the surveillance of antibiotics in microbial infections. From the study it was concluded that Pulmonary medicine department showed highest degree of antibiotic resistance (32%) followed by General medicine department (23.5%) and the most prevalent microorganism isolated was Klebsiella Pneumoniae (37.5%) followed by E.Coli (25%). In Pulmonary medicine department the antibiotic which showed highest degree of resistance was Gentamicin (31.25%) and in the General medicine department, Co-trimoxazole (12.7%). Antibiotic resistance is increasing at an alarming rate due to the irrational prescribing habits of physicians, leading to increasing morbidity, mortality and treatment costs. Regular educational awareness programmes should be conducted in hospitals at a regular basis to prevent antimicrobial resistance.

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PP1 - 11: IRRATIONAL USE OF ANTI-BACTERIALS MAY REPEAT SUPERBUG CONCEPT!

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The control of infectious disease with anti-bacterials was considered as a potent therapeutic outcome. Today these magic bullets are called as 'SUPER BUGS'. The reasoning for such concepts is due to irrational, unnecessary use of anti-bacterials. The major controversies in the recent past on wide spread resistance to majority of the life saving anti-bacterials have drawn the attention of public, pharmacist and physician. The current study is undertaken to document the information on usage profile of anti-bacterials.

Collection of information on use of anti-bacterial from the house holds and recorded the awareness of such drugs in a structured schedule. The data has been analysed on usage of various anti-bacterial.

It is found that the antibiotics are been purchased in large numbers (30%) at the retail pharmacy outlet though they are belonged to the scheduled category of drugs. In addition these purchases were done for a day and not as a complete course. Similarly, the prescribed anti-bacterials were also purchased for a shortest period i.e., less than a day. These self request antibiotics purchased were around 14%. The prescription profile suggests that the doctors in rural areas preferred to have Pencillins (37%), Cephalosporins (32%), quinolones (15%). The inadequate purchase of antibiotics was around 36% due to various socio-economic reasons.

In conclusion unnecessary use of anti-bacterials can be due to unawareness on the serious the public has misconceptions on use of anti-bacterials with specific reference to duration and disease condition. Hence, the pharmacist who is the last man in giving the antibacterials against prescription must develop a strategy to explain the end user on the dangers of irrational and irregular use which can lead to resistance and will be detrimental to health. It requires awareness to be created in public for the promotion of rational use of antibacterials. Slogans can be displayed at every public places.

PP1 - 12: PHYTOCHEMICAL AND ANTIMICROBIAL STUDIES ON AMMANIA BACCIFERA LINN.

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The plant *Ammania baccifera* Linn. is a small annual herb, distributed naturally in India, and usually found in marshy places in the tropics. It is also called as Dadmari (in Hindi), Nirumelnerrupe (in Tamil) and belongs to the family Lythraceae. It is revealed that the herb is a rich source for vitamin C and has antityphoid and antitubercular properties; it is also used as an appetizer and also has diuretic properties. The leaves exhibit laxative and antipyretic properties and can also be used as rubefacient and external remedy for ringworms. The rhizomes have shown to cure uretic calculi. Keeping the above facts in mind, the main objective of this study was to focus on the extraction and investigation of antibacterial and antifungal properties of the whole plant extract by phytochemical methods. Preliminary phytochemical tests confirmed the presence of tannin, terpenoids, steroids and flavonoids. Fluorescence analysis and HPTLC were done. The antibacterial activity was carried out for both aqueous and ethanolic extract by cup plate method using *P.aeruginosa*, *E.coli*, *P.mirabilis*, *K.pneumoniae*, *S.aureus*, *E.faecalis*, *S.typhi* organisms by comparing it to standard ciprofloxacin. Similarly, antifungal activity was carried out by cup plate method using *C.albicans*, *C.tropicalis*, *C.Krusei* and *A.niger* by comparing it to standard drug Fluconazole. A significant antifungal activity was seen when compared to antibacterial activity. In conclusion, the present work provides a pathway for development of a lead molecule from *Ammania baccifera* which has exhibited antimicrobial properties and future studies can be done in in-vivo models.

PP1 - 13: CHANGING TREND IN ANTIBIOTIC RESISTANCE AND SENSITIVITY PATTERN OF SALMONELLA ENTERICA SEROVAR TYPHI STRAINS

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Multidrug-resistant typhoid fever (MDRTF) is a typhoid fever caused by *Salmonella enterica* serovar Typhi strains (*S. Typhi*), which are resistant to the first-line recommended drugs for treatment such as chloramphenicol, ampicillin and trimethoprim-sulfamethoxazole¹. Multidrug-resistant

(MDR) *Salmonella enterica* (serotypes typhi and paratyphi A) has become an emerging problem in endemic countries². This observational study was conducted by reviewing the relevant articles published from 1990 to 2013.

From 1990 to 1997 *S.Typhi* strains were found to be resistant to ampicillin, chloramphenicol, trimethoprim and sensitive to ciprofloxacin, norfloxacin, ceftriaxone. From 1997-2005 *S.Typhi* was found to be resistant to ampicillin, chloramphenicol, trimethoprim, nalidixic acid there was also emerging resistance to ciprofloxacin and sensitive to ofloxacin, ceftriaxone, cefixime, azithromycin. From 2006-2013 *S.Typhi* was found to be resistant to emerging resistant to azithromycin and sensitive to gatifloxacin, sparfloxacin, tricyclidines, carbenepams. Ceftriaxone and azithromycin are used as second line drugs. Ampicillin, chloramphenicol, and trimethoprim have re-emerged as a valuable oral option.

keywords: Multidrug-resistant typhoid fever (MDRTF), *Salmonella enterica* serovar Typhi strains (*S. Typhi*)

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PP1 - 14: A PROSPECTIVE INTERVENTIONAL STUDY ON EARLY SWITCH OVER OF ANTIBIOTICS FROM PARENTERAL TO ORAL THERAPY

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Majority of the patients admitted to a hospital with serious infections are initially started with intravenous antibiotics. Despite improvements in clinical signs of infection, a high proportion of the hospitalised patients continue to receive parenteral antibiotic therapy. This practice may lead to unnecessary health related costs, infections from I.V. lines and increased duration of hospital stay. One of the major aspects to improve the rational use of antibiotic injections is to switch over to the oral form within an appropriate time. Moreover, once the culture and sensitivity reports are available, a clinically effective narrow spectrum oral antibiotic can be chosen.

The main aim of this study was to assess clinical pharmacist initiated early switch over of parenteral antibiotics to oral therapy. The study was carried out in the general medicine department of a tertiary care hospital in Kochi for a period of 9 months. Patients over 18 years of age, having a functioning GI tract and improving clinical status were

included. Out of 340 patients included, 55.8% were males and 44.1% females in the pre intervention group and 58.8% males and 41.1% females in the post intervention group. After educational interventions by a clinical pharmacist to the physicians, the percentage of patients switched over from parenteral to oral within the appropriate time increased from 48.2 in the pre intervention group to 78.8 in post intervention group. Educational interventions by a clinical pharmacist facilitated early switch over of parenteral antibiotics to oral therapy and reduced the cost of treatment, duration of hospital stay etc.

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PP1 - 15: NEW PROTEINS AS TARGETS TO TREAT TOXOPLASMOSIS AND MALARIA

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Apicomplexa form a huge family of parasites that cause many different illness in humans and animals which includes toxoplasma gondi which causes toxoplasmosis and plasmodium the parasite that causes malaria. An unfortunate unifying theme for most human protozoan pathogens is that highly effective treatments for them are generally lacking. Thus a new protein has been discovered that in conjunction with other proteins with which it connects, could lead to new treatments for toxoplasmosis and through this discovery it could lead to new developments in treating malaria. An enzyme known as GCN5b a protein has been discovered, a protein considered crucial for parasite duplication and thus by inhibiting this protein we could control the parasite. GCN5b is part of molecular machinery that turns genes on and off in the parasite working with other proteins are more plant like than their counter parts in humans. That's what makes this exciting rather than just having one enzyme that we could go after, there could be a whole collection of associated enzyme components that could be potentially targeted for drug therapies to control parasite. we will review targeting protozoan enzyme as a novel drug discovery approach towards developing better therapies.

PP1 - 16: THE CLINICAL OUTCOME AND RENAL TOXICITY ASSESSMENT OF INTRAVENOUS COLISTIN AGAINST MULTI DRUG RESISTANT BACTEREMIA IN GASTRO SURGERY INTENSIVE CARE UNIT OF A TERTIARY CARE HOSPITAL IN SOUTH INDIA

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Multidrug resistant bacteremia is a significant cause of morbidity and mortality in the surgical intensive care unit. There is renewing of interest in Colistimethate sodium a polymyxin antibiotic in intensive care setting for severe multidrug resistant gram negative bacterial infections. Retrospectively analyse the use of colistin in a surgical ICU looking at patient profile, source of infection, organism isolated, antibiotic sensitivity, toxicity assessment and clinical outcome following treatment.

Retrospective analysis of patient records of 40 patients admitted in the surgical ICU from Jan.2013-Dec.2013 who had received colistin for treatment of sepsis. Infections were defined with the criteria proposed by the centres for disease control and prevention. Primary and secondary bactremia were also defined.

Out of 40 intensive care patients 57.5% were cirrhotic patients who had undergone live donor liver transplantation 57.5%. The remaining cases were abdominal cancer 20%, fulminant hepatic failure 5% and others 17.5%. The source of sepsis was peritoneal drain 35%, urinary infection 25%, Blood stream 22.5%, Bronchoalveolar lavage 10% and others 7.5% etc. Klebsiella pneumonia 60%, Pseudomonas aeruginosa 20% and Acinetobacter baumannii 10% and E.coli 10% were the most common isolates. Colistin was the only sensitive antibiotic. It was given most commonly in combination with Meropenem and Tigecycline. 37.5% developed renal toxicity as manifested by a rise in creatinine. There was a 60% survival outcome in patients who were treated.

There was a significant isolate of gram negative MDR bacteria in the surgical ICU. These Organisms were sensitive only to Colistin. The judicious use of Colistin could salvage life in 60% of patients with sepsis. Being a reserve drug against Gram negative Multi Drug Resistant bacteria there should be high clinical attention on its judicious use for better clinical and survival outcome.

PP1 - 17: USE OF ANTIMICROBIALS TO TREAT CANCER ASSOCIATED INFECTIONS

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Several recent clinical and pre-clinical studies states that adjuvant antimicrobial therapy is beneficial in cancer treatment. There could be several reasons for this effect, which include treating cancer associated bacteria and viruses, prophylaxis of post-chemotherapy infections due to immunosuppression, and antiproliferative effect of certain drugs. Targeting cancer associated viruses and bacteria with antimicrobial agents is currently used for gastric, cervical, hematopoietic, liver and brain cancer. Antiviral agents like ribavirin and acyclovir and some anthracycline antibiotics such as doxorubicin, daunomycin are used in the treatment of cancer associated with infections which have direct cytostatic, cytotoxic antiproliferative activities and can cause apoptosis. Moreover, some antimicrobials are known to be helpful in overcoming side effects of drugs commonly used in cancer treatment. Chemotherapy related bacteremia and neutropenia can be overcome by the appropriately timed use of antimicrobials.

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PP1 - 18: PHARMACOGENOMIC STRATEGIES AGAINST MICROBIAL RESISTANCE: FROM BRIGHT TO BLEAK TO INNOVATIVE

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There is an alarming increase in antibiotic resistance in infections, with more than 13 million deaths per year from infections. Counter strategies include hygiene, antibiotic restriction and new antibiotics such as quinupristin, linezolid, tigecycline, daptomycin and dalbavancin. Pharmacogenomics is the branch of genetics concerned with determining the likely response of an individual to therapeutic drugs. Presently, pharmacogenomics with basic research is revealing new antimicrobial peptides and is applying old drugs in new ways to break resistance. New approaches with host-directed drug targeting emerge to circumvent resistance. A future systems perspective from

large-scale molecular techniques and bioinformatic modeling allows pharmacogenomics to reveal new intervention angles. This includes the fight against resistance and its transmission, improved vaccines, disarmament of microbes and antibiotic options from novel molecular targets (lipids, RNA and carbohydrates). Such a system perspective is also essential for improved diagnostics and individualized medicine.

It is very clear that today an innovative systems perspective is apparent for pharmacogenomics treatment of infections. This new view will ultimately treat infections with unprecedented efficiency, personalized and long lasting, based on a fundamental understanding of all host-pathogen-drug interactions.

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PP1 - 19: COORDINATED EFFORTS TO CONTROL RESISTANCE

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Antibiotics are the crucial line of defense against micro organisms. Antimicrobial drug resistance is a major international concern. Antibiotic resistance can be reduced by using antibiotics prudently based on guidelines of antimicrobial stewardship programs and various data such as pharmacokinetic and pharmacodynamic properties of antibiotics, diagnostic testing, antimicrobial susceptibility testing, clinical response, and effects on the microbiota, as well as by new antibiotic developments. Collaborative efforts that begin in the community and extend across the globe are necessary to help stem the problem of antimicrobial resistance. According to the World Health Organization, the development of antimicrobial resistance is a naturally occurring phenomenon, but certain actions by humans accelerate the emergence and spread of the problem. Increasing public awareness of the issues associated with antimicrobial resistance is vital.

PP1 - 20: METALLOPROTEINASES AS TARGETS IN INFECTION CAUSED BY GRAM NEGATIVE BACTERIA AND IN SEPTIC SHOCK

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The mammalian immune system is optimized to cope effectively with the constant threat of pathogens. However when the immune system over reacts, sepsis or septic shock can develop. Despite extensive research these compounds remain the leading cause of death in intensive care units. The matrix metalloproteinases (MMP) constitute a family of proteases that are expressed in developmental, physiological and pathological process and also in response to infections. Studies using MMP inhibitors and MMP knockout mice indicates that MMPs play essential roles in infection and in the host defense against infection. This review provides a brief introduction to some basic concepts of infections caused by gram negative bacteria and reviews reports describing MMP expression and inhibition as well as models of infection caused by gram negative bacteria and septic shock. The remarkable diversity of MMPs in both substrates and functions demands tight control over these enzymes in order to avoid undesired cleavage. This control is established by the need of MMPs for induction, secretion and activation to achieve full activity.

PP1 - 21: DRUG INTERACTION BETWEEN LINEZOLID AND DOPAMINE: A CASE REPORT

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Linezolid interacts with Dopamine by Pharmacodynamic synergism. Their combinations are contraindicated due to the risk of acute hypertensive episode.

A 25 week preterm neonate on 29th day of life was admitted in NICU (Neonatal Intensive Care Unit) with complaints of PDA (Patent Ductus Arteriosus) and respiratory infection. Empirically Meropenem was started and continued after the culture report from endotracheal suction confirmed to have *Burkholderia Cepacia*. On the 5th day of admission sensitive Linezolid infusion 6.5 mg every 8 hours over 30 min (7 am, 3pm, 11 pm) was added when the culture report of arterial blood showed the presence of gram positive cocci (*Staphylococcus epidermidis*). By 11th day (39th day of life) the baby presented with deranged heart rate of 16 b/min and blood pressure of 52/28 (Mean BP 43) for which Inj. Dopamine 20 mcg/kg/min was started at 5 pm. Unfortunately the baby developed acute hypertensive episode at 5.30 pm. The half-life of dopamine is 2 min and that of Linezolid is 5.6 hours for preterm. For linezolid, the time to reach peak concentration was after 1-2 hours of administration. Linezolid infusion at 3.30 pm resulted in its peak concentration at 5.30 pm during which the interaction has been encountered.

So combination of Linezolid with Dopamine should be avoided if possible or otherwise the dosage interval has to be extended to minimize the adverse reaction.

PP1 - 22: ANTIMICROBIAL ACTIVITY AND PHYTOCHEMICAL ANALYSIS OF METHANOLIC EXTRACT OF CORIANDER (*CORIANDRUM SATIVUM L.*) SEEDS

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Spices have been added to foods since ancient times, not only as flavouring agents, but also as folk medicine and as food preservatives due to their antimicrobial (bactericidal and fungicidal) activity which forms the basis for many applications, including preservation of raw and processed foods, manufacture of pharmaceuticals, alternative medicines and natural therapeutics. The present study was aimed to evaluate methanolic extract of coriander seeds and various solvent fractions for the phytochemical constituents and also for antibacterial and antifungal activities using agar-well diffusion method against two foodborne pathogens (*Escherichia coli* and *Staphylococcus aureus*) and two fungal strains (*Fusarium* and *Penicillium*). A number of phytoconstituents are identified in the methanolic extract of the seeds and solvent fractions. However, ethyl acetate fraction had abundance of phytoconstituents. Methanolic extract and the various fractions of seeds of *Coriandrum sativum L.* possessed a moderately high inhibitory effect against both the tested bacteria *viz. E. coli* and *S. aureus* in addition to their moderate inhibitory effect against both tested fungal strains *Fusarium* and *Penicillium*. The ethyl acetate fraction showed larger zone of inhibition, *viz.* 17.2mm and 15.4mm against *E. coli* and *S. aureus* respectively indicating a broad spectrum of bacteriostatic activity could be attributed to its high phytochemical profile.

PP1 - 23: BIOFILMS-A CHALLENGE AND FUTURISTIC APPROACH

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The basic right of any living creature is to survive. Man devised ways and means to combat microorganisms. But they adopted the survival strategy by various mechanisms as BIOFILMS production etc. Its time we alter our focus from killing bacteria to divide and rule. A biofilm is a surface

associated mono or poly microbial colony, where cells adhere to each other and also to the surface, under favourable or unfavourable conditions that is embedded in a self produced glycocalyx or extracellular polymeric matrix, which protects the microorganisms from hosts immune system and antimicrobial therapy. Structural heterogeneity (due to different nutritional requirements of various colonizers), viability, genetic diversity ie altered phenotypes and genotypes. when a cell switches to biofilms mode of growth, it undergoes a phenotypic shift (cellular juxtaposition) in behavior and many genes are differentially regulated. Given sufficient resources for growth, a biofilm will quickly grow to be macroscopic and can be fossilized being asymptomatic. Bacteria in biofilms communicate through Quorum sensing. Quorum sensing is the cell to cell signaling mechanism that enables the bacteria to collectively control gene expressions by releasing autoinducers called Acyl homoserine lactones(AHL), which are mediators of Quorum sensing. Its high time to shift from antibiotic to antipathogenic drugs. The antipathogenic agents play a major role in significant inhibition of , quorum sensing regulated gene expression , and has excellent potential as a control strategy for biofilms and prevent multidrug resistant infections. The present original study is conducted to evaluate the role of biofilms in chronic infections as well as emergence of multidrug resistance strains. The results are shown as the growth of biofilms by various methods, antibiotic resistant pattern and subjected to inhibitors. The results will be presented along with the data.

PP1 - 24: ANTIMICROBIAL POLYPEPTIDES FROM NATURAL SOURCES

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Microbes that break through the defense barriers to cause infection and disease are referred to as pathogens. In humans, some of the bacterial flora, example *S.aureus*, *Streptococcus pneumonia*, *Haemophilus influenza*, and *Escherichia coli* become "opportunistic" pathogens that are able to cause disease when the host is immunocompromised and Microbes harm ranging from minor condition to fatal disease. Antibiotic resistance is increasing at a rate that far exceeds the pace of new development of drugs. Antimicrobial peptides, both synthetic and from natural sources, have raised interest as pathogens become resistant against conventional antibiotics. Indeed, one of the major strengths of this class of molecules is their ability to kill multidrug-resistant bacteria. Antimicrobial peptides (AMPs)

are an evolutionarily conserved component of the innate immune response, which is the principal defense system for the majority of living organisms, and are found among all classes of life ranging from prokaryotes to humans. Antimicrobial peptides are relatively small (6 to 100 amino acids), amphipathic molecules of variable length, sequence and structure with activity against a wide range of microorganisms including bacteria, protozoa, yeast, fungi, viruses and even tumor cells. They usually act through relatively non-specific mechanisms resulting in membranolytic activity but they can also stimulate the innate immune response. Several peptides have already entered pre-clinical and clinical trials for the treatment of catheter site infections, cystic fibrosis, acne, wound healing and patients undergoing stem cell transplantation.

PP1 - 25: ANTIBACTERIAL ACTIVITY OF PHENOLIC COMPOUNDS FROM STEM BARK OF POLYALTHIA LONGIFOLIA THW.

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The plant *Polyalthia longifolia* (Annonaceae) is an ornamental tree that finds its reference in Indian medicinal literature owing to its popular Hindi name Ashoka i.e, *Saraca indica*. However, *P. longifolia* is equated with the name Asoka and often used as an adulterant or substitute of the genuine Asoka bark. The present investigation was carried out with an object to separate and isolate active phytomolecules from stem bark of *P. longifolia* and evaluation of their antibacterial potential. Column chromatography of the butanol fraction of the hydroalcoholic extract (methanol:water, 1:1) has led to the isolation of two phenolic compounds. Structural elucidation by IR, ¹H NMR, ¹³C NMR, DEPT, COSY, HSQC, HMBC and mass spectroscopy techniques revealed the compounds to be 3-O-methylellagic acid (**1**) and 3-O-methylellagic acid 4'-rhamnoside (**2**), and the purity was checked by HPTLC and HPLC. Antibacterial activity was studied in facultative aerobic bacterial strains like *Staphylococcus aureus* 29213, methicillin resistant *Staphylococcus aureus* 562 (MRSA), *Pseudomonas aeruginosa* 27853, *Escherichia coli* 29212 and *Acinetobacter baumannii* 56231; fastidious aerobic bacterial strains like *Streptococcus pneumoniae* ATCC 49619, *Streptococcus pyogenes* ATCC 19615, *Streptococcus viridens* 661. The isolated compounds comprise promising antibacterial activity (MIC, 80-160 µg/ml and 160-320 µg/ml for compound **1** and compound **2** respectively).

PP1 - 26: IN VITRO DETERMINATION OF ANTIBACTERIAL AND ANTIOXIDANT ACTIVITIES OF MUNTINGIA CALABURA EXTRACTS

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The present investigation was carried out to evaluate the antibacterial and antioxidant activities of *Muntingia calabura*. Among the various parts of the plant were screened roots are found high antibacterial antioxidant activities. The antibacterial efficiency of roots was noticed high against gram negative bacteria compared to that from gram positive bacteria. *E. coli* was recorded for highest susceptibility 23 mm towards methanol root extract at 250 mg/ml. Whereas, other parts such as stem, leaves are showed minimum and considerable antibacterial activity against gram negative and positive strains tested. Stem methanol extract at 250 mg/ml was more significant against gram positive bacterial strains *Bacillus subtilis* and *Bacillus cereus* and produced zone of inhibition 13 and 15 respectively. On the other hand, methanol leaf extract was also showed antibacterial activity on these strains but in considerable amounts. Antioxidant activities of all extracts were proved to be significant in scavenging of free radicals at all tested concentrations. Antioxidant activity was found high with root extract compared to others. However, roots extract is also revealed to possess all most of all phytochemicals that are significant in pharmacological activities.

PP1 - 27: RATIONAL USE AND PRESCRIBING TRENDS OF ANTIBIOTICS AGAINST S.AUREUS POSITIVE INFECTIONS

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To evaluate the rational use of antibiotics against s.aureus infections and establishing a relationship between culture and sensitivity testing and selection of antibiotics and finding out the appropriate use of antibiotics. A Prospective observational study carried out in a total 100 patients infected from staphylococcus aureus were reviewed on a daily basis over a period of 4months. It involves collecting data of 100 s.aureus isolated with antibiogram from microbiology and patient information from different departments. The information is analyzed correlating the disease, patient condition, criteria for selecting the drug, mechanism of drug action and various monitoring parameters for each drug. Among 100 strains, the highest

frequency of sensitivity to s.aureus observed with levofloxacin(100%) followed by gentamycin(92%), ciprofloxacin(78.9%), ofloxacin(76.6%), ceftriaxone(71.4%), amoxicillin (63%), chloramphenicol (61.9%), erythromycin(52%), streptomycin(44.2%), cloxacillin(35.8%), tetracycline(31.2%), cotrimoxazole(15.5%) The least was observed with pencillin(7.2%) . The resistance to s.aureus was observed with pencillin(92.9%), cotrimoxazole(84.5%), tetracycline(68.8%), amoxicillin (67.3%), cloxacillin(64.5%), streptomycin(54.7%), erythromycin(47.6%), chloramphenicol (38.1%), amoxicillin(37%), ciprofloxacin(31.1%), ceftriaxone (28.6%), ofloxacin(23.4%) and the least was observed gentamycin(8%) The percentage of appropriate use of antibiotics was found to be 64.5% and the inappropriate use of antibiotics was found to be 35.5% .

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PP1 - 28: STUDY ON USAGE OF ANTIMICROBIALS IN INFECTIVE ENDOCARDITIS IN A TERTIARY CARE TEACHING HOSPITAL

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The changes in the host, agent, and environment in Infective endocarditis (IE) mandate regular reappraisal of the management strategies. To identify specific pathogen causing endocarditis, Risk factors of endocarditis and the empirical as well as definitive antibiotic therapy used . Retrospective study from 2013 January to December. Patients diagnosed to have either native or prosthetic valve endocarditis based on modified dukes criteria admitted in cardiology during study period were included. The sample size was 25. There was a male preponderance of 68%. Majority of (32%)of patients were within age group of 40-50 followed by 50-60 (24%). 64% patients were with native valve endocarditis and 36% with prosthetic valve endocarditis. The predisposing conditions 40% due to rheumatic heart disease, 36% due to prosthetic valves, 25% underwent previous cardiac surgery, 9% had history of endocarditis and only 9% had no previously known heart disease. Regarding empirical therapy 32% treated with Ampicillin + .Gentamycin, 12% ceftriaxone, + Gentamycin 12% with Crystalline penicillin + Gentamycin 8% with Vancomycin

+ Amikacin and 4% each received Linezolid and Cloxacillin. 32% cases were culture negative. 16% streptococcal sp. 9% enterococcus, staphylococci 9%. culture report was correlating with empirical therapy but in 3 cases gentamycin was either stopped or substituted with fluorquinolones due to nephrotoxicity. There is a male predominance and the major predisposing factors such as Rheumatic heart disease, and high culture negativity rates, increasing proportion of patients with no previously known heart disease, correlates with many developing world characteristics of infective endocarditis. These changes point to the fact that the disease now requires a new approach, relating to diagnostic and treatment options in the future.

PP1 - 29: PRESCRIBING PATTERN OF ANTIBIOTICS IN SURGERY DEPARTMENT-HOSPITAL BASED STUDY

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To study the prescribing patterns of antibiotics in surgery department. This is a prospective observational study performed for a period of nine months at the inpatient block of a tertiary care hospital. Patients admitted into the surgical ward were included in the study and the pattern of antibiotic prescription and utilization were observed and studied. The antibiotics used in pre and post operative depending on surgery were compared for duration, class of antibiotics and cost. Out of 346 patients, 202 (58.3%) were males and 144 (41.6%) were females. Among different surgical procedures highest percent were found to be in gastrointestinal department (38.7%). Total 1234 antibiotics were prescribed, among them 520 (42.1%) antibiotics were prescribed in pre-operative and 714 (57.8%) in post-operative. The average number of antibiotics per patient was 1.32 pre-operatively and 2.38 post-operatively. Frequently prescribed antibiotics are ceftriaxone, amikacin and cefotaxime, and the highest cost was found in gastrointestinal department. The data of all the patients shown that antibiotics were widely used in the patients admitted in all surgical units irrespective of their operative procedure. Newer cephalosporin's like ceftriaxone were used in most of the conditions, along with aminoglycosides. Establishment of therapeutic guidelines and a constant monitoring of the antibiotic resistance pattern of the common pathogenic organisms in the hospital are recommended in order to improve the usage of antibiotics.

PP1 - 30: DRUG UTILIZATION EVALUATION OF COLISTIN IN PODIATRY DEPARTMENT OF A TERTIARY CARE HOSPITAL

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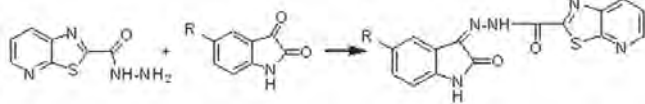
Being introduced into clinical practice since 1959, Colistin was extensively used in the treatment of gram negative infection, but fell out of favor because of its significant adverse effects. A number of centers around the world now use Colistin intravenously for multidrug resistant superbugs. To analyze the pharmacotherapy with Colistin in the year of 2012 to that of 2013. The presence of a clinical pharmacist in 2013 was compared with that of retrospective data. Patients were selected from the pharmacy wise consumption report. Individual case file with electronic data bases were reviewed via Hospital Information System. The empirical therapy with Colistin was exclusively examined along with the microbiological culture sensitivity reports. The prevalence of microbes and pattern of resistance in Colistin treated cases were perceived. A 26.82% reduction in Colistin prescription was observed due to clinical pharmacist intervention in 2013 compared with that of 2012. *Acinetobacter baumannii* (27%) and *Pseudomonas aeruginosa* (27%) held the major share in MDR superbugs, followed by *Klebsiella pneumoniae* (21%), *Enterobacter species* (12%), *Enterococcus species* (8%), *E.coli* (5%) and was sensitive to Colistin alone. Out of 82 cases, Colistin was started empirically in 26. Resistance to first line treated cases as observed in 56 patients ended up in Colistin prescription. Clinical pharmacist holds a vital role in reserving restricted antibiotics like Colistin which should be used only as a final resort. Reserved antibiotics should be used more sensibly and judiciously.

PP1 - 31: SYNTHESIS AND SCREENING OF NEW ISATIN DERIVATIVES

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Isatin, an endogenous compound existent in many organisms, shows a wide range of biological activities. Certain N'-(3z)-2-Oxo-1,2-Dihydro-3h-Indol-3-Yildene) thiazole (5,4-B) Pyridine-2-carbohydrazide derivatives were prepared by the reaction of thiazolo pyridine 2- carbohydrazide with different aryl substituted isatins in ethanol. The newly synthesized compounds were characterized on the basis of melting point, TLC, IR, H-NMR and mass spectra. All the synthesized compounds were tested for their anti-bacterial and anti-fungal activities. Among those 5-bromo, 5-chloro derivatives showed promising activities.



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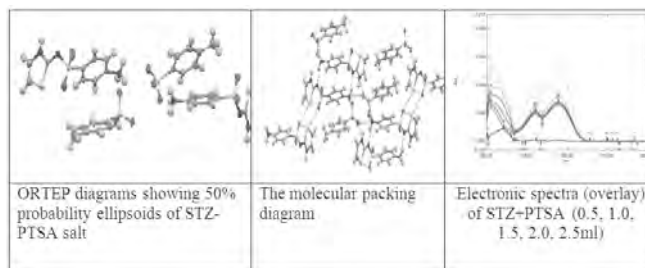
PP1 - 32: SYNTHESIS AND INVESTIGATION OF STRUCTURE OF NOVEL SALT OF SULFA DRUG VIA CHARGE ASSISTED HYDROGEN BONDS

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Sulfa drugs are chemotherapeutic agents which are extensively used for treating certain infections caused by Gram-positive and Gram-negative microorganisms, some fungi, and certain protozoa. Usually sulfa drugs are poorly soluble in aqueous mediums, and this fact is an essential obstacle for the drug delivery. Therefore, the molecular structure design is an important task for pharmaceuticals and crystal engineering. Sulfonamide molecules in crystals are inclined to create hydrogen bond networks with complicated topological structures. Moreover, we tried to describe donor and acceptor affinities of atoms in the molecules studied on the basis of the analysis of hydrogen bonds of crystals. In this study we report the synthesis and study of crystal structure of the compound obtained by proton transfer between a potential sulfa drug, Sulfathiazole (STZ) and paratoluene sulfonic acid (PTSA). Sulfathiazole has two types of donors (amine NH₂, and a sulfonamide NH) that in total bear three acidic protons. And, there are two types of acceptors, namely, two sulfoxo O atoms and one amine N that are capable of forming hydrogen bonds in the molecule. The salt of STZ and PTSA crystallizes in triclinic *P*-1, *Z*=2, with four molecules, two molecules each of STZ and PTSA in the asymmetric unit. The packing in this structure is mostly dominated by N-H...O hydrogen bonds formed between the

SO₃ group of PTSA and NH₃ group of STZ. The crystal packing is stabilized by N-H...N intramolecular hydrogen bonds in STZ and the resulting supramolecular assembly is shown in Figure 1b. The supporting information for the above observations is obtained from UV spectral absorptions of STZ with varying amounts of PTSA in Methanol. Examination of the spectra reveals that the absorption at longer wavelength exhibits a change in the absorption maxima (λ_{max}) i.e., at 290 nm and 260.8 nm.



PP1 - 33: SYNTHESIS OF HETERO RING ANNULATED TRISUBSTITUTED S-TRIAZINE DERIVATIVES

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s-Triazine derivatives have been known to contain various biological activities such as anti bacterial, antifungal, anticancer, etc. Pyrazoles, pyrimidines and sevenmembered ring compounds such as benzodiazepines and benzothiazepines have been known to possess several biological activities. In the present work it was thought to incorporate these biological active moieties to the s-triazine fragment. For this purpose reactivity of oxoketene dithioacetals, resulted from 2-(N-amino methyl substituted isatin-3-hydrazinyl)-4-(N₁-substituted-4'-amino benzene sulphonamidyl)-6-(8'-amino-4'-carbazolyl)-1,3,5-triazine derivatives on its reaction with carbon disulphide and methyl iodide has been described. These oxoketene dithioacetals were further exploited in the formation of pyrazole isoxazole, pyrimidine, derivatives respectively. Structure of all the compounds have been established by elemental analysis, IR, ¹H NMR and Mass spectral data. All the synthesized compounds were tested for anti microbial activity against two non pathogenic bacterial strains and two fungal strains. The results are satisfactory.

PP1 - 34: SYNTHESIS, MOLECULAR DOCKING AND *IN VITRO* EVALUATIONS OF NOVEL ISONIAZID INCORPORATED STYRYL QUINAZOLINONES AS ANTI-TUBERCULAR AGENTS AGAINST INH SENSITIVE AND MDR M. TUBERCULOSIS STRAINS.

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Tuberculosis is among the world's deadliest infectious diseases. APS reductase catalyzes the first committed step in bacterial sulfate reduction which is necessary for the synthesis of cysteine and is a validated drug target against latent tuberculosis infection. In the present investigation, a new series of isoniazid incorporated 2-styrylquinazolinone derivatives were synthesized by condensing 2-methyl-4H-3,1-benzoxazin-4-one with isoniazid and subsequent reaction with different aryl/heterocyclic aldehydes. The structures of newly synthesized compounds were confirmed on the basis of physical and spectral data. In anti-tubercular screening, compound **3j** was found to be highly active against both H₃₇Rv strain and MDR strain (DKU 156) with MIC values of 0.625 and 0.3125 µg/ml respectively. All the structures of synthesized compounds have been docked into the active site of APS reductase (PDB ID: 2GOY). Initial docking calculations were performed using APS substrate to evaluate docking methodology. The docking conformations of test ligands were determined by AUTODOCK and considered only the lowest predicted binding energy conformations for docking analysis.

Representative structure of novel isoniazid incorporated styryl quinazolinones



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3. Amin KM, et. al Eur J Med Chem 45: 2117- 2131
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PP1 - 35: SYNTHESIS OF NEW 6-AMINO SUBSTITUTED FLAVONES USING BUCHWALD COUPLING REACTIONS

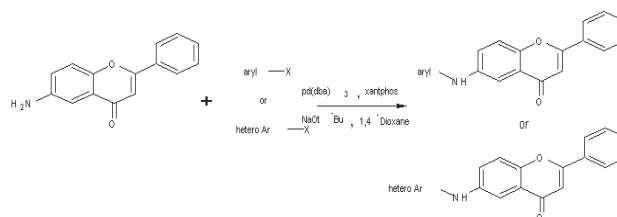
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Flavones have a wide range of biological and pharmacological activities in invitro and in vivo studies. Those are anti-allergic, anti-inflammatory, anti-oxidant, anti-microbial, anti-cancer

activities etc. Amino flavones have demonstrated antiproliferative activity against several renal, breast and ovarian cancer cell lines. Synthesized novel derivatives of amino flavones using new method "Buchwald-Hartwig cross coupling reaction". Carbon-Nitrogen bond formation is one of the most powerful routes to the synthesis of aryl amine compounds that have diverse range of potential applications. Palladium catalyzed C-N bond forming reaction have evolved into a versatile and efficient synthetic transformation. Cross coupling of amines with aryl halides using palladium catalysis is the preferred methodology.



An air-moisture stable palladium catalyst, Pd2(dba)₃ complex have been used for coupling of hetero aryl and aryl chlorides and bromides with 6-amino flavones is described. Most of the reactions occurred in high yield with 0.01-0.05 mol% catalyst loading.

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2. An Air stable, one component, Highly Efficient Catalyst for Amination of Hetero aryl halides. Qilong shen and John F. Hartwig. Org. Lett., vol-10, no-18, 2008, 4109-4112.
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PP1 - 36: TARGETING MYCOBACTERIUM TUBERCULOSIS' LYSINE-Å-AMINOTRANSFERASE: DESIGN, SYNTHESIS AND IN-VITRO EVALUATION OF NOVEL SMALL MOLECULE COMPOUNDS

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Mycobacterium tuberculosis (MTB), the pathogen that causes tuberculosis, shows significant changes in gene expression during latent stage of infection. Proteomic analysis shows that several enzymes involved in energy metabolism, lipid bio-synthesis and cell-division are down regulated whereas genes that may aid in long term survival are up-regulated^[1]. Lysine-Å-Aminotransferase (LAT) – a member of the super-family of vitamin B6 dependent enzymes and involved in lysine catabolism is up-regulated 40-fold in latent MTB^{[1][2]}, which indicates that LAT is essential

for the survival of MTB. Also, the *lat* gene encoding LAT is induced three fold in stationary and oxygen-depleted NRP phase of mycobacteria [3]. This, coupled with the fact human body follows a different pathway for Lysine catabolism, makes LAT a promising target for the treatment of latent infection. In this study, virtual high-throughput screening of our in-house database compounds identified ethyl 4-hydroxy-2-phenyl-1, 3-thiazole-5-carboxylate as a potential inhibitor of LAT. Using this molecule as lead, we synthesized 40 structural analogues via a four step synthesis procedure. These molecules were then screened against *Mycobacterium tuberculosis* LAT enzyme and the minimum inhibitory concentrations (MIC) were determined using MTB H37Rv using agar dilution method. Most of the compounds showed good inhibition with an IC₅₀ in the range of 1-10 µM. Among the 40 synthesized compounds, compound 11 was found to be most active with an IC₅₀ of 1.877 µM and an MIC of 6.25 µg/ml.

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PP1 - 37: SELECTING NEW INHIBITORS FOR SWINE FLU (H1N1) USING IN-SILICO METHOD

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Swine flu is a contagious and acute respiratory infection. This is due to classical influenza virus H1N1 strains which have known for its ability to mutate. Due to highly mutation till now there is no any appropriate drug and vaccine also. The swine flu virus, however, typically affects the younger population, i.e. from 5 to 65 years. About 120 million people in North America, Japan, and Europe infected each year. It is pandemics in the twentieth century. First case of Influenza A H1N1 was again confirmed on April 2009 and till now it is problem for all world. Present study deals the drug against mutant protein in H1N1 flu. We can target three surface protein Neuraminidase (NA), Hemagglutinnine (HA) and M2-protein channel which present on virus cell and are responsible for the penetration in host cells. Among this

Neuraminidase (NA) is good target for inhibitor. Oseltamivir is the main target for Neuraminidase (NA), but due to mutation in NA at position H274Y it had been fully resistance towards any drug including oseltamivir. We make virtual library of FDA approved drug, derivative of oseltamivir, flavone derivative and new receptor based binding compound. By help of various In-silico studies like virtual screening, docking study and molecular modeling, we developed the potent inhibitors as lead compound against resistant protein neuraminidase which could be future drug for swine flu.

PP1 - 38: IDENTIFICATION OF NOVEL INHIBITORS AS A POTENTIAL THERAPEUTICS TARGETING HIV-1 VIRAL INFECTIVITY FACTOR (VIF)

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Most currently available antiviral drugs target the *pol*-encoded retroviral enzymes or integrases, in addition, inhibitors that target HIV-1 envelope-receptor interactions have also been recently approved. Recent understanding of the interactions between HIV-1 and host restriction factors has provided fresh avenues for development of novel antiviral drugs. For example, viral infectivity factor (Vif) now surfaced as an important therapeutic target in treatment of HIV infection. Vif suppresses A3G antiviral activity by targeting these proteins for polyubiquitination and proteasomal degradation. In the present study we analyzed the potential of established inhibitors VEC 5 and RN 18 to inhibit the Vif-A3G interaction through protein- protein docking studies. Perusal of the study showed that, VEC 5 and RN 18 though inhibits the interaction however showed sub optimal potential. To overcome this set back, through virtual screening approach we identified 35 structural analogues to VEC 5 and 18 analogues to RN 18. Analogue with PUBCID 71624757 and 55358204 –structurally akin to VEC5 and RN18 respectively showed much appreciable interaction than their respective parent compound. Evident from vif-A3G ; protein – protein docking studies, analogue 71624757 demonstrated 2.5 folds better inhibitory potential than its parent compound VEC 5 while analogue 55358204 was 1.8 folds better than RN 18. Further these analogues passed drug likeness filters and predicted to be non- toxic. We expect these analogues can be put to pharmacodynamic studies that can pave way the breakthrough in HIV therapeutics.

PP1 - 39: ASSESSMENT OF INHIBITORY POTENTIALS OF RN-18 AND VEC-5 IN A3G-VIF INTERACTIONS: AN *IN SILICO* APPRAISAL

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The HIV-1 protein vif is essential for *in vivo* viral replication and targets the human DNA-editing enzyme, APOBEC3G (A3G), which inhibits replication of retroviruses. The Vif-A3G interactions are believed to be important targets for antiviral drug development. Since the interactions of A3G and vif evade the ubiquitination pathways in human host, the viral replication takes on, which otherwise spreads infection. In the present investigation, two potent vif inhibitors RN-18 and VEC-5 have been evaluated for their inhibitory potential employing ligand receptor and protein- protein interactions approaches. In the study we found, VEC 5 demonstrated better interaction with vif than RN-18. As evident from protein-protein docking studies VEC-5 bound vif and RN-18 bound vif showed diminished interaction to A3G compared to inhibitor unbound vif. Although we found no significant difference in potentials of RN-18 and VEC-5 in inhibiting vif-A3G interaction, nevertheless, unbound vif and A3G interactions were much stronger suggesting importance of therapeutic potential of RN-18 and VEC-5 in hampering the vif – A3G interaction.

PP1 - 40: 2D & 3D QSAR STUDIES OF BIARYL ANALOGUES OF PA-824 HAVING VARIOUS ETHER LINKERS: AN APPROACH TO DESIGN ANTI-TUBERCULAR AGENTS.

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New analogues of PA-824 having alternative side chain ether linkers of varying size & flexibility shows 8-fold better activity than parent drug i.e. PA-824 as Mycobacterium tuberculosis (M.tb.) inhibitors. Recent work suggests that bicyclic nitroimidazole-based prodrugs PA-824 as intracellular nitric oxide (NO) releaser is key to their activity against nonreplicating persistent M.tb. 2D & 3D QSAR studies were performed on a set of 72 Biaryl analogues of PA-824 having various ether linkers using V-Life Molecular Design Suite (MDS 3.5) QSAR plus module. The best model were generated using Multiple linear regression (MLR) analysis ($r^2 = 0.8416$, $q^2 = 0.7853$, F test = 47.8273, $\text{pred}_r^2 = 0.8481$, $\text{pred}_r^2\text{se} = 0.2880$) and Principle Component Regression (PCR) ($r^2 = 0.7781$, $q^2 = 0.7274$, F test = 42.517, $\text{pred}_r^2 = 0.8405$, $\text{pred}_r^2\text{se} = 0.2951$) for 2D and 3D QSAR respectively. For each set of descriptors, the best multi-linear QSAR

equations were obtained by the stepwise variable selection method using leave-one-out cross-validation as selection criterion. Alignment independent descriptors were the most important descriptors in predicting antitubercular inhibitory activity. New Chemical Entities (NCEs) were designed using results of QSAR studies.

PP1 - 41: MEDICINAL CHEMISTRY ON NITROIMIDAZOOXAZOLINES: TRIAZOLYL CONTAINING 6-NITRO-2, 3-DIHYDROIMIDAZOOXAZOLE COMPOUNDS AS NEWER GENERATION ANTI-TB AGENTS

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Vishwakarma^{1,2} Parvinder Pal Singh^{1,2} *

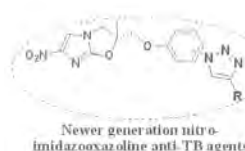
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Tuberculosis (TB) remains a leading infectious disease worldwide and infected about one-third of the world's population. World Health Organization (WHO) reported that TB caused more than 8 million cases of illness and 1.4 million deaths globally in 2011.¹ Emergence of multidrug resistant TB (MDR-TB) and extensively drug resistant (XDR-TB) has further complicated the world situation.² Therefore, the current situation necessitates the discovery and development of new anti-tuberculosis agents with low toxicity profiles and having potency against both drug-susceptible and drug-resistant MTB. In the last decade, nitroimidazole skeleton developed great interest among the researchers of academic and industrial fields, which lead to the discovery of two anti-TB clinical candidates namely PA-824 and OPC-67683. In this direction, we have initiated a medicinal chemistry programme on nitro-imidazolooxazoline skeleton to generate a newer generation anti-TB agents. Small focused library of triazolyl containing 6-nitro-2, 3-dihydroimidazooxazoles derivatives generated, lead to the identification of new lead molecule IIIM-019 which has shown potent minimum inhibitory concentration (MIC) of 0.12 µg/ml against sensitive and resistance strains of MTB and also active against non-replicating strain of MTB 18b. IIIM-019 has excellent oral pharmacokinetic profile with 59% oral bio-availability. Further, IIIM-019 is also compatible with several first line anti-TB drugs such as rifampicin (additive), isoniazid (synergistic) and ethambutol (additive) and didn't show any CYP liabilities at 100 µM, representing an another lead molecule and taken up for detailed pre-clinical studies.



MIC H ₃₇ Rv MTB	= 0.12 µg/ml
MIC Rif ^r MTB	= <0.12 µg/ml
MIC MDR MTB	= <0.12 µg/ml
Bactericidal	@ 0.5 µg/ml
PF ₆ @ 2.5 mg/kg P.O	
C _{max}	= 1444 ng/ml
AUC ₀₋₄	= 123.29 ng.h/ml
Oral bioavailability (F%)	= 59%

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PP1 - 42: 3D PHARMACOPHORE PHASE MODELING AND DOCKING STUDIES OF 1-AMINO-5H-PYRIDO [4, 3-b] INDOL-4-CARBOXAMIDE INHIBITORS OF JANUSKINASE 2(JAK2)

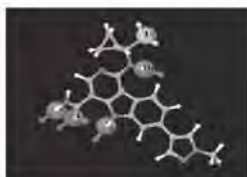
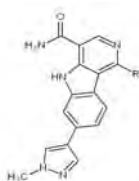
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Janus kinase 2(JAK2) is an intracellular non receptor tyrosine kinase that belongs to the JAK family kinases (JAK1, JAK2, JAK3, and Tyr2). The JAK-Signal Transducer and Activator of Transcription (JAK-STAT) pathway mediate signaling by cytokines, which control survival, proliferation and differentiation of a variety of cells.

Pharmacophore based 3D- QSAR analysis were performed on 44 molecule series of 1- AMINO-5H-PYRIDO [4, 3-b] INDOLE-4- CARBOXAMIDE reported as inhibitors of JAK2 Activity. Five point pharmacophore with one hydrogen bond acceptor (A), Three hydrogen bond donor (D), and one hydrophobic group (H), as pharmacophoric features were developed. The pharmacophore hypothesis ADDDH yielded statistically significant 3D-QSAR model with 0.962 as R² value and 0.688 as Q² value. The developed pharmacophore model was validated by predicting the activity of test set molecules. The squared predicted correlation coefficient of 0.7(R²Pred) was observed between experimental and predicted activity values of test set molecules. Further analysis for these inhibitors was done to understand the binding mode to the receptor by molecular docking. Inhibitors were docked into sixteen conformations of JAK2 protein obtained from protein data bank (PDB ids: 2B7A, 2W1I, 3FUP, 3I07, 3LPB, 3Q32, 3RVG, 3TJC, 3TJD, 4AQC, 4BBE, 4BBF, 4E4M, 4E6Q, 4F08, 4F09) using GLIDE5.6. A correlation between average Emodel and biological activity (pIC₅₀) gave a correlation coefficient (r) of 0.74. The results obtained from 3D-QSAR and docking studies was used for rational design of potent inhibitors against JAK2.



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PP1 - 43: DESIGN OF NOVEL RHODANINE DERIVATES AS INHIBITORS OF PLASMODIUM FALCIPARUM ENOYL-ACYL CARRIER PROTEIN REDUCTASE (PFENR)

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Plasmodium *flaciparum* (pf) is the most lethal species of plasmodium genus infecting human beings, leading to malaria. 220 million cases are diagnosed and one million deaths per year. The elongation model of fatty acid biosynthesis pathway (FAS II) in (pf) has opened new opportunities for malaria drug development. Fatty acids play a vital role in cells as metabolic precursors for biological membranes and energy storage. Many of FAS II enzymes are involved in malarial viability. The newly identified class of rhodanine inhibitors working against pfENR. Docking and 3D quantitative structure activity relationship (3D-QSAR) studies involve comparative molecular field analysis (CoMFA) and comparative molecular similarity indices analysis (CoMSIA) were performed on a series of rhodanine reported as inhibitors of pfENR. Ligands were built and docked into protein active site using GLIDE 5.6, the docked poses were analyzed, and the best docking poses were selected as is for further 3D-QSAR analysis using CoMFA and CoMSIA methodology. Gasteiger-Hückel charges were applied to the molecules. CoMFA and CoMSIA field were calculated using SYBYL-X 1.2 .The molecules were divided into training and test set , a PLS analyses was performed and QSAR models were generated using 42 molecules in training set by applying leave one out cross validation method. Developed models showed good statistical reliability which is evident from r²_{ncv} and r²_{loo} values . The predictive ability of these models was determined using a test set of 11 molecules that gave predictive correlation (r²_{pred}) of 0.68 and 0.69 for CoMFA and CoMSIA respectively. The information rendered from 3D QASR model initiated us to optimize the lead and design new potential inhibitors.

PP1 - 44: MOLECULAR DOCKING, 3D-QSAR STUDIES OF INDOLE HYDROZONE AS STAPHYLOCOCCUS AUREUS PYRUVATE KINASE INHIBITOR

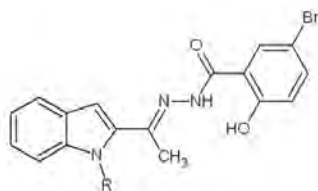
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Staphylococcus aureus is a bacterium that is a member of the firmicutes, and is frequently found in the human respiratory tract and on the skin. Although S.aureus is not

always pathogenic, it is a common cause of skin infection, respiratory disease and food poisoning. Pyruvate kinase is an enzyme involved in glycolysis it catalyses the transfer of a phosphate group from phosphoenolpyruvate to ADP, yielding one molecule of pyruvate and one molecule of ATP. Docking and 3D quantitative structure activity relationship (3D-QSAR) studies involving comparative molecular field analysis (CoMFA) and Comparative molecular similarity indices analysis (CoMSIA) were performed on 46 molecule series of Indole hydrazone reported as inhibitors of Pyruvate kinase. Ligands were built and docked into protein active site using GLIDE 5.6. The docked poses were analyzed, the best docked poses were selected for further 3D-QSAR analysis using CoMFA and CoMSIA methodology. Gasteiger-Huckel charges were applied to the molecules. CoMFA and CoMSIA fields were calculated using SYBYL-X1.2. The molecules were divided into training and test set, a PLS analysis was performed and QSAR models were generated using 35 molecules in the training set by applying leave one out cross validation method. Developed models showed good statistical reliability which is evident from r^2_{ncv} and r^2_{loo} values. The predictive ability of these models was determined using a test set of 11 molecules that gave predictive correlation (r^2_{Pred}) of 0.65 and 0.66 for CoMFA and CoMSIA respectively indicating good internal predictive ability. The CoMFA models provide the most significant correlation of steric and electrostatic fields with biological activities. The CoMSIA model provides a correlation of steric, electrostatic, acceptor, donor and hydrophobic fields with biological activities. The information rendered 3D QSAR model initiated us to optimize the lead and design new potential inhibitors.



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PP1 - 45: INSILICO DETERMINATION OF VACCINE CANDIDATE FOR MADURA FOOT

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Madura foot is a chronic suppurative granulomatous subcutaneous infection with multiple discharging cell sinuses which is also known as mycetoma. Two types of organism which cause mycetoma are actinomycotic bacteria and eucomycotic fungi. Most common causative agent of mycetoma in Asian countries is *Madurella mycetomatis*. Eumycetoma, characterized by tumorous swellings isolated

from *M. mycetomatis*. Initially it starts with a lesion that swells, followed by mucotranssinuses and purulent and seropurulent exudates. Translationally controlled tumor protein (TCTP) from *M. mycetomatis* is a known biomarker for tumorous mycetoma progression. It elicits an elevated immunological response with very large amounts of antibodies specific to it. Thus a vaccine candidate based on TCTP will be effective in preventing mycetoma. Here, we have used *Insilico* methods to predict a good vaccine candidate. NETCHOP was used to find proteosomal cleavage peptides. TAPPRED was used to predict Transporter associated with antigen processing (TAP) peptides. MAPPP was used to predict the MHC I binding peptides and ProPRED for MHC II binding peptides. A peptide was found to be commonly predicted by all the above tools and thus suggested that it can be used as vaccine candidate. This vaccine candidate was further docked with the HLA molecule to view the molecular interactions and the energy associated with antigen antibody complex.

PP1 - 46: INSILICO COMPARITIVE DOCKING STUDIES OF TUBERCULOSIS DRUGS TO TARGET HtrA2

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Tuberculosis (TB) is an infectious disease that is caused by a bacterium called *Mycobacterium tuberculosis*. TB primarily affects the lungs, but it can also affect organs in the central nervous system, lymphatic system, and circulatory system among others. Three types of drugs available are FIRST line: Pyrazinamide, Isoniazid, Rifampicin; SECOND line: Ethionamide, Clarithromycin, p-Aminosalicylic acid; THIRD line: rifabutin, linezolid (LZD), thioidazine, arginine. The available drugs were downloaded from Pubchem, Zinc database, chem bank and the target protein downloaded from the PDB database. Comparative docking study was done using Schrodinger software to "HtrA2" PDB id: 2Z91. Prediction to know the binding mode and their inhibition. Future aspect is to find the best drug that binds to the target and work efficiently.

PP1 - 47: IN-SILICO DRUG DESIGNING FOR PRIMARY AMEBIC MENINGOENCEPHALITIS CAUSED BY NAEGLERIA

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Naegleria is a genus of protozoa. A rare and severe infectious brain disease Primary Amebic Meningoencephalitis (PAM) in humans is caused by amoeba called "*Naegleria fowleri*". It is commonly found in fresh water places like lake, rivers and hot-springs. In humans, *N. fowleri* enters the central nervous system via the nose. It attaches itself to the olfactory nerve and migrates to the olfactory bulbs, where it feeds on the nerve tissue resulting in necrosis and hemorrhaging. The fatality rate is greater than 95%. The infected person undergoes death within 7 to 14 days, and no vaccine is clinically approved for this disease yet. In this project, we have analyzed various proteins and short listed a protein called 'Spermidine Synthase' which play important role in activity of amoeba. The drug was designed by computational methods which inhibits this protein activity and reduces the severity of disease. The docking of drug and target, and also the pharmacokinetic and pharmacodynamic properties of drug were examined by virtual screening methods.

PP1 - 48: IN SILICO DRUG DESIGN FOR HISTOPLASMOSIS AGAINST CYCLIN-DEPENDENT KINASE 1 IN THE ORGANISM AJELLOMYCES CAPSULATUM

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Histoplasmosis is caused by inhalation of *Ajellomyces Capsulatum* spores and the symptoms of this infection vary greatly, but the disease affects primarily the lungs. It is generally referred to as *Histoplasma Capsulatum*, with *Ajellomyces Capsulatum* referring to acomycetes perfect stage. In the present study, cyclin dependent kinase 1 which plays a key role in the control of eukaryotic cell cycle in higher cells for entry into S-phase and mitosis is considered as the target sequence and was retrieved from uniprot. The target was modeled using SwissModel and EasyModeller with the templates selected after performing BLAST. The modeled structures were validated using SAVES server and the best model was selected. Anti fungals were considered as the ligands from the databases Pubchem and DrugBank. Docking was performed using SwissDock. The receptor-ligand docking revealed a suitable interaction thus indicating a possible drug candidate. Histoplasmosis, cyclin-dependent kinase1, Docking, drug candidate

PP1 - 49: MICROWAVE ASSISTED SYNTHESIS OF NOVEL BIS [1,2,3]-TRIAZOLE SCAFFOLDS AND THEIR ANTIMICROBIAL ACTIVITY

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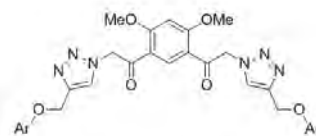
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1,2,3-Triazole derivatives possess a wide range of biological activities such as antitumor, anti-inflammatory, antibacterial, antifungal and anti-HIV activities¹. The environmental protection has become a global concern and the synthetic organic chemists are searching the ways of developing and applying more efficiently and environmentally benign strategies for future sustainable growth. Microwave assisted synthesis has gained popularity as a non-conventional method for rapid organic synthesis. The salient features of this protocol are enhanced reaction rates, easy work up, high yields, economical and eco-friendly. Microwave assisted synthesis of heterocyclic compounds has emerged as a powerful technique for generating new heterocyclic scaffolds useful for drug discovery². Biological importance of 1,2,3-triazoles, prompted us to take up the microwave assisted synthesis of some novel Bis-1,2,3-triazole scaffolds by Copper(I)-catalyzed cycloaddition reaction in good yields. Structures of the newly synthesized compounds were established by IR, ¹H-NMR, ¹³C-NMR and Mass spectral data. All the compounds were screened for their antimicrobial activity. Results of our study will be presented.



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PP1 - 50: SYNTHESIS OF NEW 1,2,4-TRIAZOLE[3,4-B][1,3,4]THIADIAZOLES BEARING PYRAZOLE AND 1,2,3-TRIAZOLE AS POTENT ANTIMICROBIAL AGENTS

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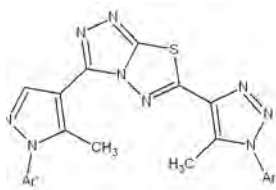
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Pyrazole and its derivatives represent one of the most active classes of compounds possessing a wide spectrum of biological activities, including antifungal, herbicidal, insecticidal and other biological activities. Similarly, the biological activities of various triazole derivatives and their N-bridged heterocyclic analogs have been widely investigated as antitumor, antiviral, anti-inflammatory, analgesic and antidepressant. Triazole system is also an important starting

material in the synthesis of biologically active heterocycles, which constitute an important class of organic compounds with diverse biological activities, including antiparasitic, analgesic, antibacterial and anti-inflammatory activities. Further, triazole fused with other heterocyclic rings is also found to possess diverse applications in the field of medicine. The commonly known systems are triazolo-pyridines, triazolo-pyridazines, triazolo-pyrimidines, triazolo-pyrazines, triazolo-triazines and triazolo-thiadiazines. In addition, it has been reported that thiadiazoles exhibit a broad spectrum of biological effectiveness such as anti-parkinsonism, hypoglycemic, anticancer, anti-inflammatory, anti-asthmatic and anti-hypertensive activities.

Inspired by the biological profile of triazole, thiadiazole, and in continuation of our research on biologically active heterocycles¹⁻³, it was thought worthwhile to synthesize some new triazolothiadiazoles. We report herein the synthesis of a new series of 1,2,4-triazole[3,4-*b*][1,3,4] thiadiazoles bearing pyrazole, 1,2,3-triazole and their antimicrobial activity.



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PP1 - 51: IN-SILICO DRUG DESIGNING FOR TUBERCULOSIS CAUSED BY MYCOBACTERIUM TUBERCULOSIS

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Tuberculosis is a fatal infectious disease caused by various strains of mycobacterium usually caused by *Mycobacterium tuberculosis*, which typically attacks lungs, but there are evidence and reports where the effects have been extended to other organs in the host. Treatment for tuberculosis is difficult because the host has to be subjected to various antibiotics for a long period of time. The currently available Vaccine is Bacillus Calmette-Guerin (BCG), but it is effective only to the children. Also, its effectiveness gets reduced in ten years of time. In this project, we have analyzed various proteins and short listed some proteins which play important role in infection & one of the selected the protein is

“Diacylglycerol acyltransferase/mycolyltransferase Ag85B”. This protein plays a major role in the binding of *Mycobacterium tuberculosis* to the murine alveolar macrophages and also help to maintain the integrity of the cell wall by catalyzing the transfer of mycolic acids to cell wall arabinogalactan. The drug was designed by computational methods which inhibits the protein activities and reduces the severity of disease, and its docking with target protein was examined by virtual screening methods. Tuberculosis, BCG, Non infective after ten years, Ag85B.

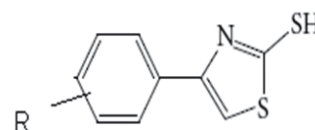
PP1 - 52: DESIGN OF THIAZOLE AS POSSIBLE ANTICANCER ACTIVITY

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Small Cell Lung Cancer (SCLC) is a very violent cancer that comprises 15 to 25% of all lung cancers and has its highest occurrence in smokers. Although it is normally considered to be very responsive to chemotherapy in the limited stage, it has a high incidence of recurrence. Despite its overall response rate which has been reported to be as high as 75 to 85%, patients with extensive stage disease are often refractory to the initial treatment. The long-term survival rate for SCLC for the limited disease state is approximately 15% while the advanced stage disease is often fatal in less than 1 year. Due to the asymptomatic characteristics of SCLC it often goes undiagnosed until it has progressed into the extensive stage. The development of new treatments including off-label use of existing therapies and new chemical entities has fallen well behind therapeutic advances for other forms of cancer. While chemotherapy is a powerful tool in the clinicians' arsenal against cancer, a positive impact on improving survival can be made by improvements in prevention and early detection.



Thiazole ring used as anti cancer activity using PDB ID 1M17,2J5F by Glide v 5.0 software. We were found to possess good docking scores for all the above receptors which were selected for further synthesis and evaluation for possible anti cancer activities.

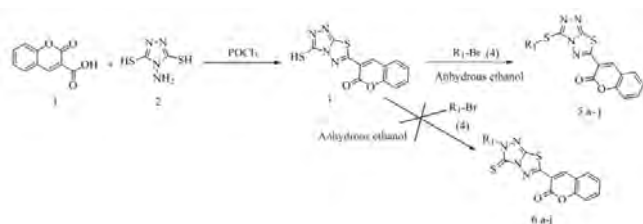
PP1 - 53: SYNTHESIS OF 3-(3-(PHENACYL/ALKYL/BENZYLTHIO)-[1, 2, 4] TRIAZOLO [3, 4-B] [1, 3, 4] THIADIAZOL-6-YL)-2H-CHROMEN-2-ONES

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Reaction of coumarin-3-carboxylic acid with 3,5-di mercapto-4-amino-s-triazole in POCl₃ to gave 3-(3-mercapto-[1,2,4]triazolo[3,4-b][1,3,4]thiadiazol-6-yl)-2H-chromen-2-one (3). Reaction of 3 with different substituted phenacyl/benzyl/allyl bromides in anhydrous ethanol gave corresponding 3-(3-(phenacyl/alkyl/benzylthio)-[1,2,4] triazolo[3,4-b][1,3,4] thiadiazol-6-yl)-2H-chromen-2-ones 5. The structures of newly prepared compounds were confirmed from their analytical and spectral data.



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PP1 - 54: SYNTHESIS AND CHARACTERIZATION OF NONEL 2-(3,5-DIMETHOXYPHENYL) 2-(PIPERAZINYL)-1-(PYRIDINE-3YL)ETANONE-AND ITS REDUCTIVE ALKYLATION ANALOGS.

Venkata Rami Reddy.V¹, Saidu Reddy.S², Ravi.V³, TejeswaraRao.A¹, Jayashree.A^{1*}

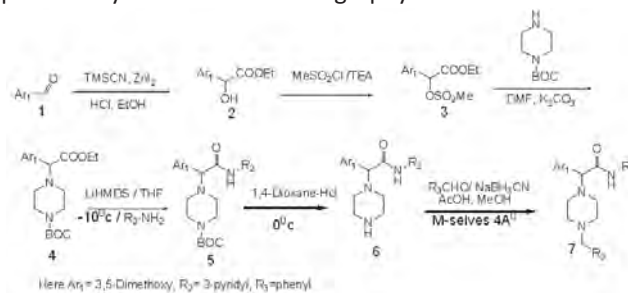
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In these Multi- step synthesis, LiHMDS is a good variety reagent in peptid coupling, Excellent yields are obtained, conditions are THF is a Solvent, reaction temperature is -10°C and finally reductive amination using reagents are NaCNBH₃, MeOH, molecular sieves 4A⁰ and Acetic Acid, All reactions are successfully done and purified by column chromatography. Alkylation, Peptid Coupling, Reductive-

Amination, Amide reagents are LiHMDS, HOBT. In these Multi-step synthesis, LiHMDS is a good variety reagent in peptid coupling, Excellent yields are obtained, conditions are THF is a Solvent, reaction temperature is -10°C and finally reductive amination, All reactions are successfully done and purified by column chromatography.



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PP1 - 55: A SIMPLE AND EFFICIENT SYNTHESIS OF 2-(2-(METHYLTHIO)PHENYL)-3,4-DIHYDRO-2H-PYRROLE

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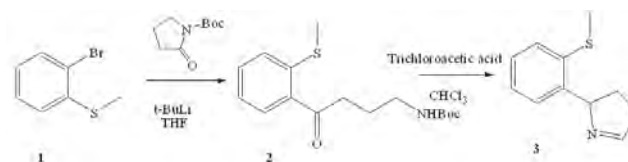
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A simple and efficient synthesis of 2-(2-(methylthio)phenyl)-3,4-dihydro-2H-pyrrole is carried out using trichloroacetic acid from tert-butyl (4-(2-(methylthio)phenyl)-4-oxobutyl) carbamate (2). The structures of the compounds are confirmed by ¹H NMR and LC Mass analyses.

Pyrrole, Butyl lithium, Trichloro acetic acid, Carbamates



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PP1 - 56: ANTIBIOTIC RESISTANCE: CHALLENGES AND SOLUTIONS

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Antimicrobial resistance is one of our most serious health threats. The development of antibiotics represents one of the most important advances in the therapeutics both in control or cure of serious infections and in the prevention, treatment of infection complications. However as the antibiotics are vastly overprescribed in OPD settings and the availability of these drugs without prescription, are facilitating the development of resistance, the present work outlines the causes and mechanisms of antibacterial resistance as well as simple preventive measures at various levels and future prospects.

PP1 - 57: PROPER DOCUMENTATION OF ANTIBIOTIC USE COULD REDUCE EMERGENCE OF ANTIBIOTIC RESISTANCE

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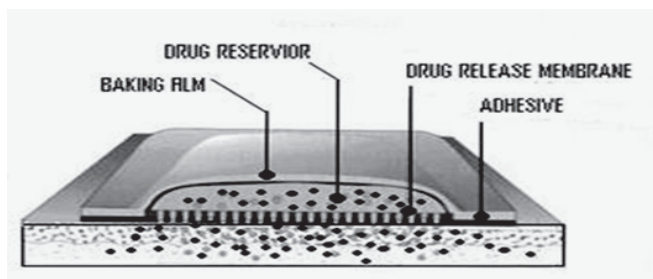
Antibiotic resistance is an alarming problem across the globe, including in India. The burden of infectious diseases is high and healthcare expenditure is low. The US National Health Board reported that at least 2 million people are affected with serious infections with bacteria that are resistant to one or more of the antibiotics designed to treat those infections. At least 23,000 people die each year as a direct result of these antibiotic-resistant infections. A large amount of antibiotics are consumed to treat these infections, some save lives, but long-term use would cause antibiotic resistance in bacteria. Antibiotic use is increasing gradually particularly certain antibiotic classes (beta-lactams), most notably in the more prosperous states. Hence, cautious use of antibiotics is required, but acceptable approaches to achieve this goal and to address the challenges must be developed and

communicated. Antimicrobial resistance makes it challenging and more expensive to treat a variety of infections thereby delaying in providing effective treatment or inability to provide appropriate therapy Poly-pharmacy and improper documentation is the major cause of antibiotic resistance. Recommendations to rationalize antibiotic use include (i) reducing use of antibiotics; (ii) Reducing the disease burden and spread of infection (iii) improving access to appropriate antimicrobials (iv) Increasing the use of diagnostic tests for rationalizing antibiotic use (v) enhance infection prevention and control (vi) encouraging the development of appropriate new drugs and vaccines (vii) maintaining database reporting (viii) eradicating antibiotic use in agriculture (ix) strengthening health systems and their Surveillance capabilities would help to reduce the disease burden enormously and spare antibiotics.

PP1 - 58: FORMULATION AND EVALUATION OF MEMBRANE-CONTROLLED TRANSDERMAL DRUG DELIVERY OF TOLTERODINE TARTARATE

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Delivery of drugs through the skin has been an attractive as well as a challenging area for research. The objective of the study was to formulate and evaluate membrane-controlled transdermal delivery system of Tolterodine tartrate (TT). TT membrane controlled transdermal patches were prepared by fabricating drug reservoir in a rate controlling membrane. Drug reservoir gel was prepared by using various polymers, rate controlling membrane is prepared by solvent casting method using Eudragit RL100&RS100 in different ratios and are evaluated. The optimized formulations were fabricated and evaluated. The membrane controlled transdermal patch of TT was optimized with HPMC K4 M gel (2.5%) and Eudragit RL100&RS100(8:2) for rate controlling membrane. *In-vitro*, *ex-vivo* studies were conducted on rat abdominal skin and release at Q_{12} was 52.98 ± 1.12 $\mu\text{g}/\text{cm}^2$ for F3 formulation over the control (8.85 ± 0.74 $\mu\text{g}/\text{cm}^2$). The flux was 3.574 $\mu\text{g}/\text{cm}^2/\text{hr}$, lag time was 0.8 hrs, permeability coefficient was 1.068 cm/hr and permeation was enhanced by 2.33 fold for F3 formulation. The optimized F3 formulation showed steady state transdermal flux of 3.574 $\mu\text{g}/\text{cm}^2/\text{hr}$, lag time of 0.8 hrs, enhancement ratio of 2.33 with permeability coefficient of 1.068 cm/hr and was subjected to ANOVA. ANOVA results showed significant difference between control and F3 in all skin permeation parameters. The optimized formulation (F3) exhibited controlled drug release profile with zero order kinetics and Fickian diffusion mechanism.



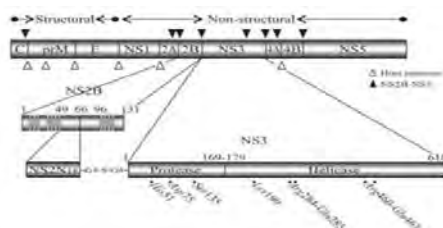
Saritha thatikonda, Shiva kumar yellanki. Formulation and evaluation of reservoir type selegiline transdermal delivery system. Asian journal of pharmaceutical and clinical research 2012;5(4):252-254.

PP1 - 59: REVIEW - RECENT ADVANCES IN DENGUE MANAGEMENT

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Dengue is emerging disease and of volatile concern. According to WHO 50 to 100 million infections occur annually in over 100 epidemic countries. Dengue virus is Flavi virus belonging to Flaviviridae, is a +SS RNA virus. There are 4 antigenically different serotypes DENV1-4. Secondary infection with heterogenous strain results in life threatening complications due to the process involved known as antibody dependent enhancement.

STRUCTURE OF DV PARTICLE



Dendritic cell receptors including DC SIGN, L SIGN, M receptor, grp 78, rab 5 are proved to interact with viral particle for its entry and replication and thus found to be important targets in dengue therapy. And other proteins like proteases, kinases and glucosidases are also involved.

SiRNA mediated intervention proved effective. Ivermectin an anti parasitic agent -inhibits viral replication by targeting NS3 helicase.

UV 4B an antiviral developed from imino sugars is also under trials.

NITD008- Concept of adenosine nucleoside inhibitor could be helpful

NS3, NS2B protease and NS5 RNA polymerase activities, kinase inhibitors and products which inhibit cholesterol metabolism are being explored.

VACCINES: TETRAVAX , recombinant tetravalent vaccine is under phase I trials.

NANOVIRICIDE: Denguicide is being developed.

Monoclonal antibodies against viral proteins are being developed.

NO, reported to inhibit the DENV RNA-dependent RNA polymerase.

1. www.denguevirusnet.com

2. www.unither.com

3. www.niv.co.in

PP1 - 60: A REVIEW ON EFFICACY OF VARIOUS ANTIBIOTICS USED IN TREATMENT OF DIABETIC FOOT INFECTION.

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Foot infections in diabetic patients are predominantly caused by staphylococcus aureus (38.4%),psuedomonas aeruginosa (17.5%),proteus mirabilis(18%),anerobic gram-ve organisms (bacterioides fragilis) 10.5%. It ranges from local fungal infection of nails to life threatening conditions. In addition to proper cleansing, debriment and local wound care foot infections in diabetic patients require carefully selected antibiotic therapy.Mild to moderate conditions require total oral therapy, moderate to severe conditions require parenteral therapy till stabilized and then oral therapy and in life threatening conditions prolonged parenteral routes are preffered. The duration of therapy for mild to moderate conditions is 7-10 days, severe to very severe conditions depends up on the patient but most commonly about 2 weeks of I.V therapy is given.

Depending up on the severity of infection,efficacy of drugs based on parameters like duration of therapy,dose of administration and current cost are provided .

Indian Journalof Pharmacy Practice

PP1 - 61: FOLATE MEDIATED DRUG DELIVERY SYSTEMS

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Targeted delivery via selective cellular markers can potentially increase the efficacy and reduce the toxicity of therapeutic agents. The folate receptor (FR) has two glycosyl phosphatidylinositol (GPI)-anchored isoforms, alpha and beta. FR-alpha expression is frequently amplified in epithelial cancers, whereas FR-beta expression is found in myeloid leukemia and activated macrophages associated with chronic

inflammatory diseases. Conjugates of folic acid and anti-FR antibodies can be taken up by cancer cells via receptor-mediated endocytosis, thus providing a mechanism for targeted delivery to FR+ cells. A wide variety of molecules and drug carriers, including imaging agents, chemotherapeutic agents, oligonucleotides, proteins, haptens, liposomes, nanoparticles and gene transfer vectors have been conjugated to folate and evaluated for FR-targeted delivery. Substantial targeting efficacy has been found both in vitro and in vivo. In addition, mechanisms and methods for selective FR upregulation have been uncovered, which might enhance the effectiveness of the FR-targeted delivery strategy. FR-targeted agents have shown promising efficacy in preclinical models and significant potential for future clinical application in a wide range of diseases.

PP1 - 62: MENINGITIS

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Meningitis causes an inflammation of the membranes surrounding the brain and spinal cord, and it can be life threatening. Some forms of bacterial meningitis are contagious and are spread through the air in much the same way as a cold or flu. It also can be transmitted by direct contact with an infected person. Also, people may carry the infection without actually being sick. Viral meningitis is fairly common. The approach to diagnosis includes many factors, such as symptoms; medical, medication, and exposure history; physical examination; CSF profile; and other tests. Symptoms are nonspecific and may include headache, fever, neck pain, ataxia, lethargy, nausea, and alterations of alertness and cognitive function. Patients with acute meningitis typically present abruptly with severe symptoms, whereas patients with chronic meningitis typically present more gradually with symptoms that may fluctuate, worsen, or remain static. The duration of symptoms in chronic meningitis generally ranges from 17 months to 43 months. Lumbar puncture is important in the diagnosis of chronic meningitis, although CSF findings are nonspecific. Lymphocyte counts are elevated in more than 90% of cases; elevated neutrophil counts occur in fewer than 10% of cases. Glucose levels may be normal or decreased. Protein levels usually are increased. CSF neutrophils are elevated in 90% of acute meningitis cases, and lymphocytes are elevated in only about 10% of cases. CT and MRI can exclude conditions such as abscesses, tumors, and nonmeningeal infections. In a small number of patients, meningeal biopsies are helpful for diagnosing chronic meningitis.

PP1 - 63: DESIGN, FORMULATION AND EVALUATION OF TOPICAL METHOTREXATE NIOSOMAL GEL FOR THE TREATMENT OF PSORIASIS.

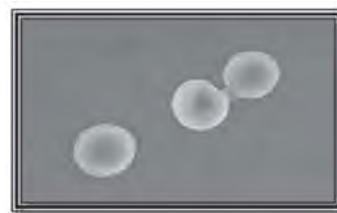
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Niosomes are globular submicroscopic vesicles containing non-ionic surfactants. Methotrexate incorporated niosomal gels are a viable alternative in improving the skin penetration by better retention of the drug and reduced systemic toxicity. The aim of the present study was to formulate topical gel containing methotrexate niosomes to prolong the duration of action and to prevent its side effects. The methotrexate niosomes were prepared by non-ionic surfactants Span 20, 40, 60, 80, cholesterol and dicetyl phosphate by the standardized lipid layer hydration-sonication method. Formulations were optimised using Taguchi orthogonal array design of experiment. Purified niosomes were lyophilized and incorporated in chitosan gel. In vitro permeation studies were carried out using Keshary Chien diffusion cell. Prepared niosomal gel was compared with the plain methotrexate gel and a marketed methotrexate gel. Methotrexate niosomal gel showed better permeation characteristics over the plain methotrexate gel and marketed methotrexate gel. Drug release studies were conducted, the results showed sustained release of the drug for longer intervals. On the whole, methotrexate niosomal gel with formulation code CH1MTX2 [CH1- chitosan (mol.wt:5.47x10⁵D), cholesterol: Span (200:200 μ m), dicetyl phosphate (0.04%)] produced a better formulation compared to the marketed methotrexate gel available at present.



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PP1 - 64: OPTIMIZATI3N OF PRODUCTION VARIABLES FOR DEVELOPMENT OF MULTIPLE EMULSION OF LAMIVUDINE, ANTI-HIV DRUG

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Multiple emulsions possess numerous applications in pharmaceutical industry but has disadvantage of their inherent instability. Stability can be achieved by using a combination of hydrophilic and hydrophobic surfactants and by fixing various process variables. Hence the aim of present research work was to prepare stable multiple emulsion of lamivudine, a nucleoside reverse transcriptase inhibitor (NRTI) used for treatment of HIV and Hepatitis. Multiple emulsions containing 100 mg of lamivudine were prepared by two step emulsification method including primary emulsification and secondary emulsification by using different variables like type of oil, type and concentration of primary emulsifier. Six formulations Viz., F1 to F6 were prepared. In the optimization studies, when selecting one parameter other parameters are kept constant and based on the stability and encapsulation efficiency of the formulation the optimum parameter selected. Among oils such as arachis oil, sunflower oil and olive oil, olive oil was selected due to high encapsulation efficiency of $83.34\% \pm 0.008$. Different polyvinyl alcohol (PVA) con. in external aqueous phase was tried and 2% PVA was selected for exhibiting high encapsulation efficiency $86.73\% \pm 0.140$. Similarly span 80 was selected as lipophilic surfactant and tween 80 was selected as hydrophilic surfactant. Stirring speed of 5000 rpm and time of 10min were found to be optimum. Among 6 formulations, three formulations Viz., F3 to F6 showed long stability and were assessed for encapsulation efficiency, *In-vitro* dissolution studies, physical stability testing by microscopic analysis and centrifugation. Formulation F5 containing 1.5 ml of span 80, 2.5 ml of tween 80, 15 ml of olive oil, 40 mg of sodium chloride and 2% polyvinyl alcohol made at 5000rpm was considered as promising formulation due its optimum release characteristics of 97.9% of lamivudine in 60mins. Physical stability assessed in terms of mean globule size after 1day, 1week and 1,2 and 3months when stored at $4 \pm 1^\circ\text{C}$, $25 \pm 1^\circ\text{C}$ and $40 \pm 1^\circ\text{C}$ revealed no significant change in average globule size indicating no sign of physical destabilization.

Fig.1: Multiple emulsion of lamivudine multiple emulsion, F5 under Optical Microscopy

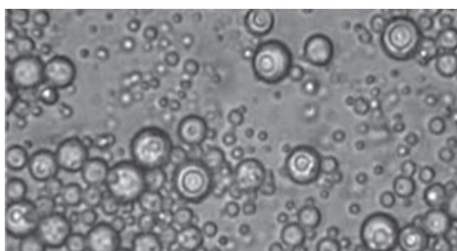
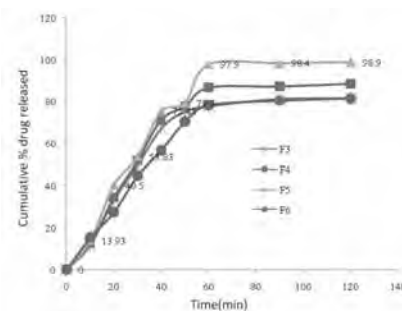


Fig.2: Cumulative % drug release profile of Lamivudine multiple emulsions (F3- F6).



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PP1 - 65: SYNTHESIS, CHARACTERIZATION AND *IN VITRO* CYTOTOXIC ACTIVITY OF SOME RU (II) COMPLEXES

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There is no doubt the success of previous metals in the clinic, for example, platinum compounds being widely used in the treatment of cancer, silver compounds being useful antimicrobial agents and gold compounds used routinely in the treatment of rheumatoid arthritis. The medicinal properties of the other platinum group metals are now being recognized and of these a ruthenium anticancer agent has entered the clinical trials, showing promising activity. Like all metal drugs, the activity of the ruthenium compounds depends on both the oxidation state and ligands¹. In addition, ruthenium has unique properties which make it particularly useful in drug design.

Ruthenium anticancer chemistry has already yielded many promising results. Several compounds have been described which display an activity compared to that of cisplatin, and in some cases activity are even better². The main aim of this study was to synthesize and characterize ruthenium complexes and to test their activity with reference to that of substituting different heterocyclic compounds.

The synthesis and characterization of ruthenium complexes (Ru-1 to Ru-6) of the type $[\text{Ru}(\text{S}_2)(\text{K})]^{2+}$, (Where S=1,10-phenanthroline/2,2'-bipyridyl and K=Acetyl coumarin-inh, pyrazole-tch, Acetyl coumarin-tsz, are described. These ligands form bidentate octahedral ruthenium complexes. The *in vitro* cytotoxic activities of the complexes measurement against the human cancer T-lymphocyte cell lines. In vitro evaluation of these title complexes revealed cytotoxicity from 0.34 to 1.4 $\mu\text{g}/\text{ml}$ against CEM, 0.28 to 1.8 $\mu\text{g}/\text{ML}$ against L1210, 0.22 to 2.5 $\mu\text{g}/\text{ML}$ against Molt4/C8 0.98 to 1.6 $\mu\text{g}/\text{ML}$ against HL60 and 0.66 to 1.4 $\mu\text{g}/\text{ML}$ against BEL 7402. Ruthenium complexes Ru-5 $[\text{Ru}(\text{phen})_2(\text{Acetylcoumarin-thiosemicarbazone})]\text{Cl}_2$ and Ru-6 $[\text{Ru}(\text{bpy})_2(\text{Acetylcoumarin-thiosemicarbazone})]\text{Cl}_2$ showed that quite significant anticancer activities over standard drug.

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PP1 - 66: SPIONs AS DRUG DELIVERY VEHICLES

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SPIONs consist of cores made of iron oxides that can be targeted to the required area through external magnets. They show interesting properties such as super paramagnetism, high field irreversibility, high saturation field, extra anisotropy contributions or shifted loops after field cooling. Due to these properties, the particles no longer show magnetic interaction after the external magnetic field is removed. Since the pioneering concept of using an external magnetic field coupled with magnetic carriers was proposed by Freeman et al. in the late 1970s, a variety of magnetic NP and microparticle carriers have been developed to deliver drugs to specific target sites *in vivo*. The optimization of these carriers continues today with the objectives (i) to reduce the amount of systemic distribution of the cytotoxic drug, thus reducing the associated side effects, and (ii) to reduce the dosage required by more efficient, localized targeting of the drug. SPIONs typically have two structural configurations: (i) a magnetic particle core (usually magnetite, Fe₃O₄, or maghemite, γ -Fe₂O₃) coated with a biocompatible polymer

or (ii) a porous biocompatible polymer in which SPIONs are precipitated inside the pores. The coating acts to shield the magnetic particle from the surrounding environment and can also be functionalized by attaching carboxyl groups, biotin, avidin, carbodiimide and other molecules in order to increase the targeting yield. These molecules then act as attachment points for the coupling of cytotoxic drugs or target antibodies to the carrier complex.

PP1 - 67: ONCOLYTIC VIRUSES-AN OVERVIEW

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An oncolytic virus preferentially infects and lyses cancer cells; these have obvious functions for cancer therapy, both by direct destruction of the tumor cells, and, if modified, as vectors enabling genes expressing anticancer proteins to be delivered is a virus that specifically to the tumor site. Virotherapy is not a new concept, but recent technical advances in the genetic modification of oncolytic viruses have improved their tumor specificity, leading to the development of new weapons against cancer. The nature of viral delivery, infection, and replication makes oncolytic virotherapy valuable for treating cancer patients, especially those with inoperable tumors. Oncolytic virotherapy targets cancer cells to achieve a strong cytolytic effect. In this review, the basis of oncolytic virotherapy and the development of genetically modified tumor-specific viruses were described.

PP1 - 68: FUTURE CHALLENGES FACING THE DEVELOPMENT OF NEW ANTIMICROBIAL DRUGS

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The emergence of resistance to antibacterial agents is a pressing concern for human health. New drugs to combat this problem are therefore in great demand, but as past experience indicates, the time for resistance to new drugs to develop is often short. Conventionally, antibacterial drugs have been developed on the basis of their ability to inhibit bacterial multiplication, and this remains at the core of most approaches to discover new antibacterial drugs. Here, we focus primarily on an alternative novel strategy for antibacterial drug development that could potentially alleviate the current situation of drug resistance — targeting

non-multiplying latent bacteria, which prolong the duration of antimicrobial chemotherapy and so might increase the rate of development of resistance.

PP1 - 69: THE CHALLENGE OF NEW DRUG DISCOVERY FOR TUBERCULOSIS

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Tuberculosis (TB) is one of the most dangerous infectious disease now a days in the world than at any other time in human history which is increasing the mortality rate rapidly than any other disease. Mycobacterium tuberculosis an acid fast pathogen responsible for causing TB and uses diverse strategies to survive in a variety of host lesions and to evade immune surveillance. This Tuberculosis easily spreads than the any other disease because air being the easy means of carrying the infected droplets from the infected person. A key question is how robust are our approaches for discovering new Antitubercular drugs, and what measures could be taken to reduce the long and protracted clinical development of new drugs. The emergence of multi-drug-resistant strains of M. tuberculosis makes the discovery of new molecular scaffolds a priority, and the current situation even necessitates the re-engineering and repositioning of some old drug families to achieve effective control with maximum therapeutic action and with minimal drug resistance and side effects. Whatever the strategy used, success will depend largely on our proper understanding of the complex interactions between the pathogen and its human host especially at the molecular level and where exactly the pathogen is invading the immune system of the host. In this review, we are discussing the means of innovations in TB drug discovery and evolving strategies to bring newer agents quickly to the patients to get rid of the deadly life threatening disease.

PP1 - 70: POTENTIAL APPLICATION OF NON AQUEOUS EMULSION FOR DELIVERY OF ANTIMICROBIAL DRUGS.

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Conventional emulsions are heterogeneous system in which one immiscible liquid is dispersed as droplets in another liquid. That may be water-in-oil or oil-in-water emulsions. However emulsion can be formulated waterless or without an aqueous phase to produce anhydrous or non-aqueous or

oil-in-oil emulsions may be used as reservoirs to deliver lipophilic or hydrolytically unstable drugs, could be considered as depot formulations for sustained release drug delivery. Such systems, presence of water to be avoided. Some Antimicrobial drugs are unstable or not soluble in water, formulation of such drug for oral administration has more difficult. Oral drug delivery vehicles must be capable of maintaining sufficient drug concentration in a bioavailable form that will enable expected absorption and biological activity. Such drug delivery vehicles must also be capable of maintaining the drug in its dissolved state and maintain stability of drug. This can be achieved by formulating the non aqueous emulsion. Surfactant concentration is most important factor considering formulation of stable anhydrous emulsion for oral delivery it shouldn't disadvantageous to the intestinal mucous.

Non-Aqueous Compositions For Oral Delivery of Insoluble Bioactive Agents,
United States Patent no: US 8,187,615 B2

Non-Aqueous Microemulsions For Drug Delivery, United States Patent no:
US 5,110,606

PP1 - 71: PREVALENCE OF ANTIBIOTIC ABUSE IN OUT-PATIENT DEPARTMENTS- A SYSTEMIC APPROACH

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The overuse of antibiotics, which can lead to an increase in resistant bacteria (antibiotics can't kill them anymore), has been a problem. In fact, it is now considered a critical public health threat. Recent analysis has found the downward trend in antibiotic use, observed for more than a decade, is coming to an end, which is very disturbing when it finally results to antibiotic resistance already causes at least 2,049,442 illness & 23,000 deaths each year. As per the analysis produced by WHO, antibiotics are more commonly misused to other category as of 2010 reports. Hence the reports showcase how antibiotics are being misused & how people are dependent on these drugs. Here the prime duty lies on regulatory authorities to safeguard the use of antibiotics in community levels. As out-patients are more prone to these issues, regular monitoring & Follow-up of medication taking behaviour may help reduce these issues to some extent, and helps attain desired outcomes.

PP1 - 72: CHEMOBRAIN/CHEMOFOG/MENTAL FOG- CHALLENGES IN FUTURE

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Chemotherapy induced cognitive impairment termed as 'Chemobrain' is a highly proven complication in cancer survivors that compromises the day to day activities. The concept has arrived from clinical complication of cognitive dysfunction faced by cancer survivors following adjuvant chemotherapy especially in Breast cancer survivors because of the longer survival rates and feasibility of prolonged follow-up.

With newer chemotherapy modalities, survival rate of breast cancer patients has been improved significantly. So they have very high incidences of Chemobrain states that will have a great negative impact on their health related Quality of Life (QOL). This directs the researchers to find interventions for Chemobrain to improve the QOL of cancer survivors, in particular breast cancer survivors by reversing cognitive deficits. Researchers found various mechanisms that may play a role behind Chemofog namely, oxidative imbalance, reduced blood flow, reduced glucose metabolism in brain, reduced white/grey matter, disrupted adult hippocampal neurogenesis, neuro-inflammation with an ultimate neurotoxicity of chemotherapeutics to major brain regions like hippocampus, frontal cortex, prefrontal cortex and amygdala etc. that are involved in formation of learning and memory processes. No proven intervention has been reported till to date that can have potential efficacy to reverse the chemotherapy induced memory deficits. This is due to the lack of relevant animal models for replicating Chemobrain like condition.

Improving the cognitive abilities in cancer survivors thereby improving their QOL is a great challenge in front of us in nearby future. This can be achieved by unravelling the molecular mechanisms underlying Chemofog and developing clinically relevant animal models there by evaluating the potential candidate molecules that can improve the learning and memory processes in cancer survivors.

PP1 - 73: ROLE OF HUMAN LEUKOCYTIC ANTIGEN

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The main objective of this review is to explain the role of human leukocytic antigen (HLA) in human beings, which is controlled by genes on the short arm of chromosome six. The HLA loci are part of the genetic region known as the major histocompatibility complex (MHC). The MHC has genes (including HLA) that form part of the normal function of the immune response. The essential role of the HLA antigens lies in the control of self-recognition and thus defense against microorganisms. The discovery of Major Histocompatibility Complex (MHC) and its involvement in graft rejection, immune response and the genetic basis of disease

associations lead to the birth of this new field of science called Immunogenetics. HLA plays a major role in graft rejection, immune response and the genetic basis diseases, autoimmune disorders. In graft rejection HLA recognizes self and non self tissues in the body produce primary immunity and it differentiate self and non self cell and micro organisms and also plays important role in donor selection for organs, bone marrow transplantation and also help in identification of infections and auto immune etiology, prediction of risk development for diseases in families, anthropological characterization of different races and ethnic groups and for understanding the control and regulation of immune system. HLA plays prominent role in treating variety of auto immune disorders and also AIDS, cancer etc

PP1 - 74: PEDIATRIC INFECTIOUS DISEASES: AN OVER VIEW

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Infectious diseases are caused by pathogenic microorganisms, such as bacteria, viruses, parasites or fungi; the diseases can be spread, directly or indirectly, from one person to another. Zoonotic diseases are infectious diseases of animals that can cause disease when transmitted to humans.

Infectious diseases in childrens include chickenpox, rubella, HIV, streptococcal, staphylococcal, cholera and T.B

Some important factors in the child include age, immunity, nutrition, genetic makeup, and general health. Newborns are at risk because their protective systems are not yet tested and are not always mature. Most of the infections are mainly caused due to foreign microorganisms and also due to damage of micro flora of the body by various factors. Another important factor for a child is the use of medical devices such as catheters and other tubes which provides a way for inlet of microorganisms. Hence evidence based guidelines and necessary counselling should be performed by the health care professionals including pharmacists to the guardians and parents which includes the preventive measures and vaccination at right time.

Childhood infectious can be prevented by necessary measures and guidance with care. Many infections can be avoided altogether, or the effect of disease can be minimized with proper planning and follow-up. It is the responsibility of the pharmacists to promote rational use of drugs and prevent drug related problems like resistance.

PP1 - 75: A REVIEW ON THE EFFECTS OF DRUG ABUSE THROUGH FEMORAL TRIANGLE

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The most common form of intravenous or parenteral drug abuse is through the femoral region. The femoral vein is frequently used to gain vascular access by habitual drug abusers. The most common complications of this form of drug abuse are cellulitis, abscess formation, acute on chronic deep venous thrombosis, infected thrombi in the vein and artery, arteriovenous fistulae, infective endocarditis, and pseudoaneurysm formation. This complication of intravenous drug abuse is not only limb threatening but can also be life threatening. The management of IFAP is difficult and controversial. The new clinical service has proved popular and may be a valuable tool for detecting morbidity at an early stage. Longer term evaluation of its effectiveness as a harm reduction intervention among patients who inject in the FV is now needed. Ligation of IFAPs is an effective, safe and simple option. Primary repair with preservation of the native vessel is suggested if infection is limited. The extent of the treatment depends on the extent of the infection.

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PP1 - 76: PROBIOTIC POTENTIAL OF LACTIC ACID BACTERIA AGAINST URINARY TRACT INFECTIOUS DISEASES

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Probiotics are defined as “live microbial food supplements or components of bacteria which have been shown to have beneficial effects on human health”. The probiotic bacteria usually produce several useful compounds such as bacteriocins, exopolysaccharides, short chain-fatty acids, free amino acids, bioactive peptides, vitamins, digestive enzymes, immunomodulatory compounds and oligosaccharides. Among all these compounds bacteriocins are ribosomally synthesized, extracellularly released bioactive peptides

displaying antimicrobial activity against other bacteria. In this study a total of 17 colonies were isolated from soil sample taken from the Bapatla Vegetable Market, Bapatla, Guntur (Dt), Andhra Pradesh. 6 colonies showed catalase negative and among 6 colonies 3 showed bacteriocin activity against *Escherichia coli*(MTCC9537), *Staphylococcus aureus sub sps* (MTCC3103), *Streptococcus sps* (MTCC9724), *Pseudomonas aeruginosa* (MTCC10636), *Klebsiella pneumonia* (MTCC10309), *Proteus vulgaris*(MTCC7299), *Enterobacter aerogenes* (MTCC8558) and *Bacillus subtilis*(MTCC10518). The 3 colonies were named as strain 5, strain 6 and strain10. The probiotic potential of these strains were checked and the tests carried out are the acid tolerance test, bile salt tolerance test and antibiotic resistance test. Since the mode of action of bacteriocins is remarkably different from conventional antibiotics, they may be considered as a novel source or the “designer drugs” for the control of microbial pathogens.

PP1 - 77: ANTIMICROBIAL RESISTANCE-A THREAT TO MEDICAL AND PUBLIC HEALTH AND TRENDS OF DRUG APPROVAL IN INDIA

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Antimicrobial resistance is a threat to medical and public health practice. It challenges the control of infectious diseases progress on health outcomes by increasing morbidity, mortality and imposes huge costs on societies. Lack of data, Unassured drug quality, irrational use, poor prevention and control of infections are responsible for this. Antimicrobial resistance is a global problem and there is a need for new antimicrobial agents. The aim is to assess the drug lag for new antimicrobial agents approved in the United States, Europe and India.

The new antimicrobial agents approved in the US, Europe and India between 2000-2010 were identified and information was gathered primarily from the websites of regulatory agencies of these 3 regions. Assessment of absolute and relative drug lag for new antimicrobial agents approved was done. Of the 50 new antimicrobial agents, 43 (86%) were approved in the US, 43 (86%) in the Europe and 40 (80%) in India. The median approval lag for India (38.7 months) was high as compared to the US (0 month) and Europe (5.5 months). This study confirms that India's drug lag in the case of new antimicrobial agents is quite substantial. Further detailed analyses are necessary to find the background factors and impacts of drug lag for antimicrobial agents in India.

PP1 - 78: PREVENTION STRATEGIES FOR ANTIMICROBIAL RESISTANCE – A REVIEW

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Antibiotics offer great benefits by reducing the duration and severity of illnesses and aiding in infection transmission control. With this being said, the inexorable process of antimicrobial drug resistance is to some degree unavoidable. Although drug resistance will likely persist and is to be expected, the overall level can be dramatically decreased with increased attention to antibiotic overuse and the pharmacokinetic and pharmacodynamic properties of different drug formulations, and the use of proper hygiene and protective barriers. Implementation of such practices as microbial surveillance and prophylaxis has been shown to result in decreased hospital length of stay, health care costs and mortality due to drug-resistant infections. This review will summarize current progress in preventative techniques aimed at reducing the incidence of infection by antimicrobial-resistant bacteria and the emergence and spread of antimicrobial-resistant strains. By employing a variety of prevention strategies, including proper personal hygiene, prescreening for carrier status before hospital admission, disinfection of hospital rooms, and careful monitoring of antimicrobial prescribing, marked progress can be achieved in the control of drug-resistant pathogens, which can translate into more effective antimicrobial therapy.

PP1 - 79: STRATEGIES AGAINST THE MULTI DRUG RESISTANT TUBERCULOSIS

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Tuberculosis (TB) is an infectious disease that is caused by a bacterium called *Mycobacterium tuberculosis*. TB primarily affects the lungs, but it can also affect organs in the central nervous system, lymphatic system, and circulatory system among others. Resistance to the conventional anti-TB drugs is the major area of concern among the medical fraternity. The resistance can be classified in to multidrug-resistant (MDR-TB) and extensively drug-resistant (XDR-TB). There is an urgent need to improve the treatment either by enhancing the application of existing agents or introducing new drugs. Hence the present review article will assess the possibility

of potential new combination of anti-TB regimen that should reduce the treatment duration, increase the tolerability and overcome the MDR/XDR TB. The clinical trials of rifamycin have shown promising effect in TB patients especially if it is administered in high doses. Moxifloxacin and gatifloxacin were reported to shorten the duration of treatment if used in combination with rifapentine. Vitamin D supplementation also has exhibited potential role in the prevention and treatment of infection. Bedaquiline is in the new class of TB drugs in 3d phase of clinical trials and has shown potential effect. New classes of drugs such as Nitroimidazopyrans, Diamines, and Diarylquinolines have also demonstrated prospective anti-TB result in some of the preclinical and clinical studies. These new drugs should be extensively tested in diverse group of populations such as MDR/XDR TB, human immunodeficiency virus-coinfected persons, children, pregnant and lactating women before they are released in the market.

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PP1 - 80: NANOTECHNOLOGY AND NANOMEDICINE APPLICATIONS IN INFECTIOUS & PARASITIC DISEASES

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Nanotechnology represents a promising approach to develop and utilize the novel and improved technologies for testing and diagnosing various infectious diseases & dermatological infections like Parasitic Infections. Rapid and specific diagnosis of skin and soft tissues infections require improved diagnostic methods and tools. Infectious diseases can trigger a large range of clinical symptoms in humans and animals Ideally, these should be able to provide simple, cost-effective, rapid, specific and sensitive detection, identification and quantification of the etiologic agent. New diagnostic approaches based on biosensor technology, especially involving nanotechnological structures are in demand for the infectious diseases. The present work is aimed at the applications of nanotechnology in diagnosis and cure of several critical infectious diseases and neurodegenerative diseases. Clearly, nanotechnology is a welcome development that is set to transform drug delivery and drug supply chain management, if optimally developed.

PP2 - 1: ASSESSMENT OF CLINICAL OUTCOME WITH DORIPENEM IN A TERTIARY CARE HOSPITAL

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Doripenem is the newest addition to the carbapenems approved in 2007 and indicated for complicated intra-abdominal and complicated urinary tract infections. To assess the clinical outcomes and adverse effects of Doripenem in the treatment of various infections. Retrospective study of one year duration. Patients prescribed with Doripenem for the treatment of various infections was included. Patients were selected from the pharmacy wise consumption report. Individual case file with electronic data bases were reviewed via Hospital Information System.

Out of 37 patients studied, 91.89% of the patients with Doripenem treatment were between the age group of 18-65 and 8.10% comprises of geriatric population. Severe transaminase elevation was observed in 7, Thrombocytopenia in 8 and oral candidiasis in 2 cases. 89.18% use of Doripenem was in department of gastro-intestinal surgery followed by 10.81% in medical intensive care unit. In Doripenem treated patients, 27 were used for post-transplant infection prophylaxis, 8 for complicated urinary tract infection and 4 was a part of empirical antimicrobial regime.

In spite of significant safety concerns, Doripenem is still considered as safe and effective for its approved indications. Doripenem may be considered as a last resort antibiotic in patients who cannot be treated with Colistin due to safety issues. No patients experienced seizures with Doripenem even though it is the class effect of carbapenems especially Imipenem/Cilastatin.

PP2 - 2: GENE NETWORK RECONSTRUCTION FROM GENE EXPRESSION PROFILES BY IDENTIFYING HUBGENES IN KAPOSI SARCOMA

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Kaposi sarcoma (KS) is a tumor caused by human herpesvirus 8. It is a rhadinovirus and is remarkable since it has stolen numerous genes from host cells including genes that encode for complement-binding protein and inhibitory protein (FLIP). The viral cause for this cancer was discovered in 1994. These genes were analyzed from six different datasets present in the GEO database. The genes thus involved was filtered by different filtering method whose relation was evaluated in STATPLUS by using PEARSON CORRELATION method. The relation obtained was then used to construct network in another tool known as CYTOSCAPE, the highest correlation were found among them. From these, the genes

having highest total interaction were taken from each datasets and a collection of hub genes were found. Thus the network was now validated for all the hub genes in GENEMANIA and STRING to check the existence in system biology from which only few molecules have passed and is involved in the metabolic pathway of the disease, thus the network constructed was shown true for them. These genes having the highest correlation were the most important genes involved in this disease and were found to specially trigger the infection was filtered and then the network was thus reconstructed. These specific genes can be mainly focused as potent drug targets new inhibitors at genetic level.

PP2 - 3: DRUG INDUCED HEPATOTOXICITY ASSOCIATED WITH ANTITUBERCULAR MEDICATIONS

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Tuberculosis is the one of the most prevalent infection of human being and contributes considerably to illness and death around world. Drug induced hepatotoxicity is a significant problem associated with antitubercular medication.

To study the pattern of drug induced hepatotoxicity associated with antitubercular medications.

The study was carried out at Amrita Institute of Medical Sciences, Kochi, Kerala. Patients taking antitubercular medications and showed elevation in liver function tests were included in the study. Ethical committee approval was obtained before commencement of the study. Relevant data such as age, gender, alterations in the liver function tests, clinical manifestations of drug induced hepatotoxicity etc were collected retrospectively.

A total of 25 patients were included in the study of which 20 patients were males. The incidence of hepatotoxicity was predominant in the age group of 31-50 years. Majority of the patients had pulmonary tuberculosis (92%). TB meningitis and lymphnode TB were the extrapulmonary cases obtained during the study period. Alterations in liver function tests (LFT) was analysed by comparing the baseline and follow up data of ALT, AST and bilirubin. ALT values were elevated from 18.9 to 67, AST from 26 to 43 and bilirubin from 0.71 to 1.03. Clinical manifestations observed were gastritis (64%), fever (56%), vomiting (44%), anorexia (40%), weight loss (32%) and breathlessness (20%).

This study analysed the hepatotoxicity associated with antiTB medications and there was significant elevations in LFT. Close monitoring, early detection and withdrawal of the offending agent is essential to prevent further complications.

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PP2 - 4: DETERMINATION OF TRIVALENT CONSENSUS VACCINE FOR POLYOMA VIRUS FAMILY CAUSING PROGRESSIVE MULTIFOCAL LEUKOENCEPHALOPATHY, NEUROPATHY AND MERKEL CELL CANCER

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Polyomaviridae are double-stranded DNA based family of virus. The virus present in Polyoma family are generally oncogenic in nature, they stay latent and does not affect the host until their immune system is weak. Polyomaviridae are relevant as they contribute to pathologies such as Progressive multifocal leukoencephalopathy (JC virus), nephropathy (BK virus), and Merkel cell cancer(Merkel cell virus).As mentioned earlier the effect of viruses is seen only when there is a case of immunodeficiency, and thereby the virus attacks host with low immune system (Ex : HIV-AIDS patients). There is no drug or vaccine clinically approved for the disease caused by the above virus, because all three different viruses attack different parts of the host. Thereby, by observing and analysing the proteins encoded by these viruses, and predicting the epitopic sites of the proteins along with their binding affinities with B-cell and T-cell a trivalent vaccine is be obtained which can bind to the three conserved epitopes of the viruses that are present in the family all at the same time with single administration as prevention is better than cure.

PP2 - 5: INVESTIGATION OF THE ANTIMICROBIAL ACTIVITY OF ACALYPHA INDICA L.

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Acalypha indica is a common annual shrub found growing in the gardens, backyards and waste lands throughout the plains of India. The methanolic, chloroform, ethyl acetate and hexane extracts of the aerial parts of *Acalypha indica* were screened for antibacterial and antifungal activities against the pathogenic microorganisms like *Bacillus subtilis*, *Bacillus cereus* (Gram's positive bacteria), *klebsiella pneumonia*, *Escherichia coli*, *Pseudomonas aerogenes* (Gram's negative bacteria), *Aspergillus niger* and *Candida albicans*.

The methanolic and the ethyl acetate extracts have shown a significant zone of inhibition against all the selected bacteria; whereas, no significant zone of inhibition was found against the selected fungal pathogens. The in-vitro antimicrobial assay

may open way for future investigations in identifying potentially useful bioactive compounds with pharmacological importance.

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PP2 - 6: STABILITY OF BACTERIOPHAGE IN DIFFERENT pH CONDITIONS

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Bacteriophages are the viruses that infect and replicate in the bacteria making them their host ultimately killing them through lytic pathway. Because of this ability phages show a promising future in medicinal biotechnology to be used as the treatment for bacterial diseases which forms the foundation for phage therapy making them the cures of future. In order to use phages, they must be compatible with various physical and environmental factors to remain stable with the conditions in application area. In present study, bacteriophages were checked for their stability in various conditions of pH. Bacteriophages isolated from stagnant water of Haryana, India and obtained from UIET, Kurukshetra University, were revived and stored in refrigerator at 4°C. Phosphate Buffer Saline (PBS) was used to set pH in both acidic and basic conditions. The pH of PBS 2,3,10,11 and 12 was adjusted in different McCartney vials using pH meter. Bacteriophages (10⁵- 10⁶ pfu/ml) were added in the equal amount in each vial and kept in incubator overnight for 12 hours. Next day top agar containing phage specific bacteria i.e. *Escherichia coli* was spread on Luria Bertani agar plate. The spots of 4µl phages kept in different pH conditions were put on these plates. The results in the form of clear plaques were observed in 6 hours. The plates containing phages incubated at pH 3, 10 and 11 showed clear plaques indicating that these phages were able to infect the bacteria. Phages at pH 12 showed a very little activity. Phages at pH 2 showed no activity i.e. they were least stable in it. Thus, it was concluded that phages under study of *E. coli* are stable and could be used in future within a pH range of 3-11. More environmental and stability parameters are being studied for further use of phages for therapeutic and industrial applications.

PP2 - 7: APPLICATION OF PHARMACOECONOMIC ANALYSIS AS A TOOL TO TREAT DIABETIC FOOT INFECTIONS

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Diabetes mellitus (DM) is one of the most prevalent diseases in world with 382 Million People with DM. India with 65.1 million DM is second country among the world .80% of people with diabetes live in low- and middle-income countries. In this article application of pharmacoeconomic analysis and its importance for treating diabetic foot infection will be discussed. The patients with diabetes mellitus will have the complications like foot infections. Research done by American diabetic association (ADA) reported that 15% of people with diabetes will experience a foot ulcer at some point in their lifetime, recent research suggests this figure may be as high as 25% People with diabetes are 25 times more likely to lose a leg than people without the condition. Cost effective analysis and cost minimization analysis are one of the best tools for minimizing the cost of the therapy since people in lower socioeconomic groups tend to be at increased risk for diabetic foot disorders Diabetic foot infections are treated with antibiotics, so selection of antibiotic should be done based on culture sensitivity test and after administration of the antibiotic the effect of antibiotic should be measured by inoculating the wound swab culture into growth medium and observed for reduction in growth of bacteria. In cost minimization analysis one can observe the difference in the cost of two equivalent antibiotics and their price variations should be compared.

PP2 - 8: CURCUMIN AS A POSSIBLE IMMUNOMODULATOR FOR THE MODULATION OF PLASMODIAL-ANTIGENS-INDUCED COLONY-STIMULATING FACTORS ELABORATION BY MACROPHAGES

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Curcumin has evolved from its background as a widely used kitchen ingredient to the level of a dominating field of its own under the umbrella of various scientific topics. One of such area includes using curcumin as a possible immunomodulator in various disease conditions. Having noted for its diversified mechanisms of action ranging from its antioxidant usage to possessing immunomodulatory effects certainly makes it a topic of interest. Usage of a proper scientific method to evaluate and prove the mode of action is highly appreciable. Here we have made an attempt to decipher the role of curcumin as a probable anti-malarial candidate in view of its role as a modulator of

colony-stimulating factors (CSFs) induced by macrophages stimulated with soluble total antigen of Plasmodium berghei (P.b.SA.), in vitro. Macrophages were prepared from mouse peritoneal exudates cells, involving various steps of isolation and purification. These isolated macrophages were used in the production of macrophage-conditioned medium containing CSFs, incubating for 2-48 h with or without curcumin. Any further manipulation in this step allows in the identification of mechanisms underlying the induction of CSFs. All these procedures will ensure a rapid yet very powerful tool of deciphering the role of various immunomodulators.

PP2 - 9: REGULATION OF QUORUM-SENSING SIGNAL MOLECULES IN THE BIOFILM PRODUCING MARINE BACTERIUM

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Quorum sensing is the intercellular communication in bacteria and is the ability to sense changes in levels of signalling molecules that regulates their own gene expression. Bacteria produce various sensing molecules that allow bacteria to communicate about population size such as biofilm formation leads to several infectious diseases. It is a type of process that allows bacteria to increase in number before starting to produce a particular gene product such as an extracellular enzyme or a virulence protein. Each species that employs quorum sensing produces a small signal molecule which differs from gram negative and gram positive bacteria. QS Inhibitors have been synthesized and have been isolated from several microbial and natural extracts. In our present work we observe whether or not autoinducer production as a function of cellular density in gram negative marine sample to see whether the signalling molecules involved in their communication and their biofilm inhibition. On the addition of the inhibiting agent, we observed the biofilm inhibition in Acinetobacter strain, isolated from marine source. Growth may be due to the inhibition of QS molecules by garlic which are responsible for limiting the growth and the biofilm formation is due to the stress conditions offered by garlic in the medium. At higher concentrations (2%) biofilm signalling molecules were inhibited.

PP2 - 10: HIGH THROUGHPUT SCREENING IDENTIFIES NOVEL INHIBITORS OF THE ACETYLTRANSFERASE ACTIVITY OF ESCHERICHIA COLI GLMU

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Human infections due to Gram-negative bacteria are prevalent and result in significant morbidity and mortality. The

development of novel antibiotics is essential to combat emerging drug-resistant infections that threaten medicine's nearly 70-year predominance over bacterial pathogens. One of the most promising novel antibacterial targets of Gram-negative bacteria is the acetyltransferase activity of a bifunctional enzyme GlmU.

The bifunctional GlmU protein catalyzes the formation of UDP-N-acetylglucosamine in a two-step reaction using the substrates glucosamine-1-phosphate, acetyl coenzyme A, and UTP. This is a common precursor to the synthesis of bacterial cell surface carbohydrate polymers involved in the maintenance of cell shape, permeability, and virulence. The C-terminal acetyltransferase domain of GlmU exhibits structural and mechanistic features unique to bacterial UDP-N-acetylglucosamine synthesis, making it an excellent target for antibacterial design.

Identification of inhibitors for acetyltransferase activity of E.coli GlmU was done with the screening of compounds from chembridge database which were found positive against Gram negative bacteria. E.coli GlmU protein was cloned, expressed and purified and an absorbance based assay was developed to screen the inhibitors for acetyltransferase activity of E.coli GlmU. IC50 values of the identified inhibitors were calculated.

20,000 compound library (chembridge) was screened for anti-Gram negative activity and 25 active compounds were obtained which were screened against acetyltransferase activity of E.coli GlmU in the absorbance based assay. Five compounds were identified as potent inhibitor of the acetyltransferase activity of E.coli GlmU with the IC50 values in the range of 1.5 to 20 μ M.

Screening of the compounds against acetyltransferase activity of E.coli GlmU lead to the identification of potent inhibitors which may represent novel chemical scaffolds for future antimicrobial drug discovery.

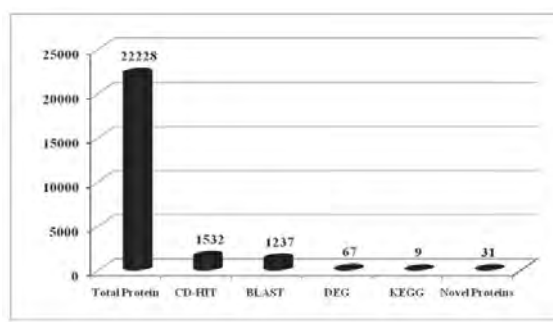
PP2 - 11: AN IN SILICO APPROACH FOR THE IDENTIFICATION OF THERAPEUTIC DRUG TARGETS IN CANDIDA ALBICANS

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Candidiasis is an infectious disease caused by the yeast *Candida*. *Candida albicans* is a normal inhabitant of human body found in organs like skin, mouth, gastrointestinal tract and seldom becomes an opportunistic pathogen. The infection by *Candida* may enter the blood stream or internal organs like liver or spleen. Though there are few treatment options available for candidiasis, still there is a need for specific drugs. Computational advances in biology have contributed many tools for the identification of novel drug targets in a microorganism. In the present work computational tools were employed for the identification of novel drug

targets in *Candida albicans*. All the sequences in the proteome of *Candida albicans* were retrieved from the Uniprot database. The protein sequences were analysed by CD-HIT algorithm to identify non-redundant proteins that serve as better targets. The non-redundant sequences were then compared with the human genome, to eliminate homologous proteins using BLAST. The non-homologous proteins were then analysed using DEG to find out the essential proteins for survival; these proteins were analysed using KAAS (KEGG) database to know the pathways which were involved in organism survival and different cellular activity. Further the essential proteins were subjected to TTD and Drug bank to identify successful drug targets. The prediction of transmembrane proteins were done using TMHMM tool; these surface localized proteins could contribute to host-pathogen interaction. This in silico approach resulted in the prediction of 31 novel target proteins and 14 transmembrane proteins which could be considered for further analysis as drug targets.



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PP2 - 12: IL-12 LEVEL INCREASED AFTER TREATMENT WITH INHIBITOR OF ODC GIVES SOME POSITIVE CLUE TO CONTROL VISCERAL LEISHMANIASIS.

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Immuno-pathogenic relevance of Ornithine decarboxylase enzyme in Visceral Leishmaniasis infection was investigated in five VL cases and healthy controls. Recombinant ODC protein was obtained from grown *L. donovani* culture by procuring ODC gene using LD ODC specific primer in a PCR

reaction and carried out in a suitable vector pET-28a. The human PBMCs were stimulated from VL patients & control with rODC protein in the presence and absence of DFMO (ODC-inhibitor) and immunological changes in macrophage were mentioned. Cytokine analysis of IL-12 in ELISA revealed 0.84 fold higher than IL-10 release which was after stimulation with ODC (10ug) and DFMO(30mM) in compare to ODC (10ug) alone in patients and healthy control and it also affect the effector mechanism such as mip-1alpha and ROS.

PP2 - 13: A STUDY OF RESISTENCE PATTERN OF ANTIBIOTICS IN GASTROENTEROLOGY DEPARTMENT OF A TERTIARY CARE TEACHING HOSPITAL

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Antibiotics are widely used for the treatment of various microbiological infections. But antibiotic resistance is common due to increasing use. The antibiotic resistance occurs when antibiotics has lost its ability to effectively control or kill the microbial growth. The main aim of this study was to assess the effect of surveillance of antibiotics in microbial infection. It was a prospective study and was conducted in the gastroenterology department of Amrita institute of medical sciences Kochi, Kerala. All in- patients were included in the study, and the study was extended up to a period of 6 months. *H.pylori*, and *E.coli*, are the most common infection seen. Ceftriaxone, cefotaxim, cefaperosone-sulbactam are the commonly used antibiotics. Out of 200 patients, more incidence of antibiotics resistance was shown in male patients (56%) compared to female (44%). The patients under the age group of 65-75 having the high rate of antibiotic resistance. According to the study, cefixime is the most resistant antibiotic (21%), followed by amoxicillin (19%). It is possible to reduce antibiotic resistance on the basis of proper selection of antibiotic according to culture and sensitivity report along with minimum duration of therapy.

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PP2 - 14: POST TRANSPLANT PYOGENIC INFECTIONS: INCIDENCE, SPECTRUM, RISK FACTORS AND OUTCOME IN 250 LIVER TRANSPLANT RECIPIENTS.

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Infections are a major cause of mortality and morbidity after liver transplantation. Up to 80% of liver recipients will develop at least one infection within one year of transplantation.

To analyze the frequency and spectrum of pyogenic infections in liver transplant recipients, assess the antibiotic sensitivity; identify the risk factors for post transplant pyogenic infections and to study the impact of pyogenic infections on overall outcome following liver transplantation.

Retrospective analysis of prospectively recorded data of 250 liver transplant recipients in the period from 2005 to 2013. Blood and urine cultures on Pretransplant and post transplant day 3. Additional cultures as clinically indicated.

Total number of positive cultures were 798. The most common organism was Klebsiella in Blood culture and Ecoli in Urine Culture. Klebsiella also dominated in Airway and Body fluid cultures. Antibiotic sensitivity for Klebsiella and E-coli was mainly Cephalosporins and for Acinetobacter species it was Colistin and for Enterococcus Species sensitive mostly to Linezolid. While Assessing the Risk factors for bactremia Pretransplant ICU admission, Pretransplant SBP and Pretransplant Positive blood culture were found to be significant. Positive blood cultures and body fluid cultures have an adverse outcome on post transplant survival. Urine and airway cultures were not significant in the study. Blood cultures and body fluid cultures were found to be significant with p values 0.01 and 0.04. Out of the 798 cultures there were 101 and 85 ESBL and MDR positive cultures ESBL(12.65%) and MDR(10.65%) resistant organisms have a significant role in blood stream infections. Pre-transplant SBP, pre-transplant positive blood culture and pre-transplant ICU admissions increase the risk of post transplant bacteremia. Positive blood cultures and body fluid cultures have an adverse outcome on post transplant survival.

PP2 - 15: PHYTOCHEMICAL ANALYSIS AND ANTIBACTERIAL ACTIVITY OF TRIBULUS TERRESTRIS L.

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Antibiotic resistance has become a global concern. There has been an increasing incidence of multiple resistances in human pathogenic microorganisms in recent years, largely due to indiscriminate use of commercial antimicrobial drugs commonly employed in the treatment of infectious diseases. This has forced scientists to search for new antimicrobial substances from various medicinal plants. Search for new antibacterial agents should be continued by screening many plant families. The antibacterial properties of Aqueous, Ethanol and Chloroform extract of *Tribulus terrestris L.* leaves and fruits were tested against five pathogenic bacterial strains: *Klebsiella spp.*, *Citrobacter spp.*, *Enterococcus spp.*, *Enterobacter spp.* and *E. coli*. The zone of inhibition was

recorded in mm for each bacterial strain, using agar plate diffusion method. These extracts exhibited sensitive to the ethanolic fruit extract of *Tribulus terrestris* L. as compared to Gram negative bacterial strains. The fruit and leaf extract of *Tribulus terrestris* L. showed the presence of reducing sugars, flavonoids, tannins, saponins and alkaloids. Thin layer chromatography was carried out to separate the phytoconstituent. FTIR analysis clearly indicates that ethanolic leaf and fruit extract has potent antibacterial constituents such as flavonoids and alkaloids. The ethanolic fruit extract shows significant antioxidant activity. Hemolytic activity of the ethanolic fruit extract was not detected. This indicates ethanolic fruit extract of *Tribulus terrestris* L. can be used as medicine against bacterial infections.

PP2 - 16: SCREENING AND IDENTIFICATION OF INHIBITORS OF SHIKIMATE KINASE OF MYCOBACTERIUM TUBERCULOSIS FROM WHOLE CELL ACTIVE LIBRARY

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Tuberculosis (TB), owing to M. tb infection is a global health concern. Drug resistance and HIV co-infection causes millions of deaths; hence requiring an urgent need for therapeutic options, possessing different chemical scaffolds and novel mechanisms.

Shikimate pathway leads to the biosynthesis of aromatic amino acids [1]. Due to its essentiality and absence from mammals it presents an excellent opportunity to identify new chemical entities to combat tuberculosis [2-3]. Aro K (Rv 2539c) gene is 531bp in length, codes 176 Amino acid long Shikimate Kinase (18.5kDa) which converts Shikimate to Shikimate-3-phosphate using ATP as co-substrate [4].

Mycobacterium tuberculosis Shikimate Kinase (MtSK) was cloned, expressed and purified. Enzyme kinetics was carried out using a double coupled assay involving Pyruvate Kinase and Lactate Dehydrogenase. Compounds found active against M. tb H37Rv whole cell were screened to identify MtSK inhibitors. Inhibition kinetics was carried out to find IC50 values. Cytotoxicity profiles of the inhibitors were also evaluated using MTT assay.

Shikimate Kinase was successfully cloned, expressed and purified. The Km of the enzyme was found to be in agreement with already reported value. Twenty one compounds were found to be inhibiting Shikimate Kinase with 12 compounds exhibiting IC50 below 20µM. MIC values of the inhibitors were found to be in range of 1.23 µM to 73.65 µM.

Most of the compounds with potent inhibitory effects on MtSK display low MIC values against M. tb and low cytotoxicity. These compounds can provide a platform for developing new anti TB agents with novel mechanism.

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PP2 - 17: ANTIMICROBIAL SUSCEPTIBILITY TESTING OF ENTERIC PATHOGENS FROM CLINICAL SAMPLES BY DISC DIFFUSION TEST

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The antimicrobial resistant pattern are evolving and multi-drug resistant (MDR) organisms undergo progressively antimicrobial resistance, updated data on antimicrobial susceptibility profile will continue to be essential to ensure the provision of safe and effective therapies. This present study reports on the assessment of antibiotic susceptibility profiles of some selected enteric pathogens from clinical samples from Ashwini Hospital, Solapur-Maharashtra. The antibiotics and their susceptibility profile were evaluated against cultures isolated from clinical samples. The antibacterial activity of Ampicillin, Penicillin, Streptomycin, Cephalosporin, Levofloxacin, Chloramphenicol against enteric pathogens viz., *E.coli*, *Klebsiella*, *Salmonella*, *Shigella*, *Proteus*, *Enterobacter* were performed by disc diffusion assay. The results were expressed as susceptibility/resistant according to the zone of inhibition obtained on the nutrient agar plate.

PP2 - 18: A STUDY ON THE EFFECT OF ANTI RETROVIRAL THERAPY (ART) ON CD⁴⁺ T LYMPHOCYTE COUNT

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Human Immune Deficiency Virus(HIV)/ Acquired Immune Deficiency Syndrome(AIDS) has posed different set of challenges towards the country's growth and has also changed the strategic approach of public health for containing the further growth of the diseases. HIV/AIDS is considered as more of a social problem than a medical problem alone. Reports of variable response to antiretroviral therapy as indicated by CD⁴⁺count has been of concern as facilities for viral load

estimation/drug resistance testing is not available everywhere the present study was done to assess the magnitude of problem in high prevalence state of Kerala as evidenced by the CD4⁺T lymphocyte count. This study we have observed a preponderance of male patients 83% in comparison to female 17% which is similar with other published reports and also this study revealed that HAART must be used judiciously as 15.3% showed no improvement in CD4⁺ T lymphocyte count. UNAIDS: 2.5 million people in India living with HIV, according to New Delhi, July 6th 2007.

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PP2 - 19: DETECTION OF VIRULENT GENES OF E.coli IN STOOL SAMPLES

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Diarrheal diseases continue to be one of the most common causes of morbidity and mortality among young children in developing countries. The objective of this study is to use genotypic methods to isolate and characterize diarrheogenic, non diarrheogenic & commensal bacterial from faeces of patients attending the paediatric outpatient department (OPD), IPD patients hospitalized for more than 72 hours receiving treatment with i.v. antimicrobials and healthy patients and to evaluate the multiplex PCR as a rapid diagnostic tool for simultaneous detection of three categories of diarrheogenic E. coli (ETEC, EPEC and EAEC) in two (5+2 genes) PCR reaction using seven virulent genes. During the period from June 2011 to March 2013, 150 stool samples were collected from children suffering from diarrhea, from diseases other diarrhoea & healthy children in University College of Medical Sciences and Guru Teg Bahadur Hospital in east Delhi. E coli were isolated and diagnosed using set of conventional biochemical tests. DNA extraction was done, and then the DNA was used as a template for PCR. The multiplex PCR detected target genes of diarrheogenic E. coli in 38% diarrhoeal stools specimens. Genes of ETEC (east, It and st) were detected in 24.39%, 14.63% and 37.39% specimens. Gene of EPEC (eaf, eae and bfp) was detected in 36.58%, 34.95% and 43.9% specimens. Genes of EAEC was detected in 50.4% specimens. Multiplex PCR for the simultaneous detection of several pathogenic genes in one PCR reaction will save time and effort involved in analyzing various

virulence factors and will help investigators to clarify the role of Diarrhoeogenic E. coli in diarrheal diseases.

PP2 - 20: BETA-LACTAM ALLERGY: CLINICAL IMPLICATIONS AND COSTS

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β-lactam allergy is the most commonly reported medication allergy and it remains a key issue in antibiotic prescribing. A detailed and accurate history taking play a key role in preventing potentially serious clinical incidents and it may contribute in reducing costs. It is important to maintain a high level of vigilance and constantly educate all healthcare professionals involved in prescribing and dispensing antibiotics in order to avoid the unnecessary use of non-penicillin-based antibiotics and associated cost implication. Data were collected for patients with a documented penicillin allergy on their drug chart during a six month period. Sources included the inpatient drug charts and medical notes. Adherence to hospital guidelines was audited and costs of treatments were calculated.

94 patients with a history of penicillin allergy were included. Compliance with the hospital antibiotic policy was 81% and 52% of cases had a description of the reaction documented.

PP2 - 21: MADURA FOOT- A CASE REPORT

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Madura foot is a chronic infection of the skin and underlying tissues caused by both bacteria (actinomycetomas-60%) and fungi (eumycetomas-40%) which is commonly seen in developing countries like India. This disease commonly affects young adults, particularly males aged between 20 and 40 years and people of low socioeconomic status, manual workers like agriculturalists, labourers are worst affected. The clinical presentation include initial lesion a small subcutaneous swelling following minor trauma. Further, sinus discharge and destruction of deeper tissues occur. In the later stages deformity and loss of function in the affected limbs is seen. The case report presented here is of a 40 year old male patient who came with complaints of painful swelling of foot. Based on the physical examination, histopathological and microbiological studies he was diagnosed with Madura foot. He was started initially with Tab. ketoconazole 200mg twice daily and Cap Amoxicillin 500mg thrice daily for both bacterial and fungal coverage. He was reviewed on a 2 month basis and was found to be improving symptomatically. As a result,

Tab ketoconazole was stopped after a period of 4 months treatment but this led to worsening of symptoms(increased swelling and pain). He was therefore started on Tab Itraconazole 100mg twice daily. Cap Amoxycillin 500mg twice daily was continued throughout the therapy. The patient is clinically stable and symptomatically better at present.

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PP2 - 22: INHIBITION OF IN BIOSYNTHETIC PATHWAY H1N1 VIRUS BY SHIKIMIC ACID WHICH IS AN EXTRACT OF TINOSPORA CORDIFOLIA

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Swine flu (swine influenza) is a respiratory disease caused by viruses (influenza viruses) that infect the respiratory tract of pigs, resulting in nasal secretions, a barking cough, decreased appetite, and listless behavior. It is caused by H1N1 virus, which exhibits two main surface antigens, H1 (hemagglutinin type 1) and N1 (neuraminidase type 1). *Tinospora cordifolia* (Guduchi) is an important drug of Ayurvedic system of medicine, which is used to treat diseases like jaundice, edema, gout, diabetes, swine flu (H1N1), hepatitis, hyper acidity, dyspepsia, fever, urinary and skin diseases. This plant contains Shikimic acid, which can be used to treat Swine flu and other allergies. Shikimate can be used to synthesize (6S)-6-Fluoroshikimic acid, an antibiotic which inhibits the aromatic biosynthetic pathway. A better understanding of both how H1N1 virus infects host cells and the intracellular signaling pathways that are activated by infection is essential for the development of antiviral drugs and other effective therapies.

PP2 - 23: A CLINICO-MICROBIOLOGICAL STUDY OF DIABETIC FOOT ULCER IN A TERTIARY CARE HOSPITAL

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Diabetic foot ulcer is the most common indication for hospital admission in the ever increasing population of diabetic patients. The prospective observational study was conducted over a period of 7 months including 51 diabetic patients. It was aimed to assess the microbiology and the antibiotic susceptibility of organisms isolated and to evaluate the various risk factors associated with diabetic foot ulcer. It was found that the demographic parameters had no significant relation with diabetic foot ulcer. Common co-morbid factors were neuropathy- 88.88% (n=24) and hypertension 48.18%

(n=13). About 52.94% (n=27) of the patients showed foot ulcers of multi drug resistant bacterial origin. Diabetic foot ulcer of mono microbial origin constituted a greater percentage (90.19%) and other 10% was polymicrobial. Infection due to gram positive organisms (n=39) included staphylococcus aureus (26.78%), streptococcal species (25%) and enterococcus (12.5%) and that due to gram negative organisms (n=17) included E-coli (8.9%), klebsiella species (8.9%) and pseudomonas species (7.14%). On the clinical side, Beta lactam antibiotics with lactamase inhibitors (n=28) and clindamycin (n=18) were the most common antibiotics prescribed. However, most gram positive organisms (79.9%) were sensitive to vancomycin (n=30) and most gram negative organisms (76.4%) were sensitive to imipenem (n=13). The results indicate an increasing pattern of resistance to the commonly used antibiotics that calls forth for close monitoring of the antibiotic usage to reduce the occurrence of multi drug resistant infections, the antibiotics for which we may fast be running out of.

PP2 - 24: A STUDY ON ANTIBIOTIC RESISTANCE PATTERN: APPROACHES TO MINIMIZE - FUTURE SURVIVALANCE OF ANTIBIOTICS

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The increased antibiotic resistance now-a-days has become a serious global problem which is the major concern for public health. Increased antimicrobial resistance may lead to increased mortality and morbidity among patients and a reduction in the number of useful drugs for future generations. A few bacterial organisms associated with infections in the health care setting now are completely resistant to all commonly used antimicrobial agents. Resistance to multiple antibiotics has developed among many common pathogens, such as Staphylococci, Pneumococci, Pseudomonas organisms, and Extended-spectrum β -lactamase (ESBL)-producing strains of Enterobacteriaceae. The Study for Monitoring Antimicrobial Resistance Trends (SMART) is the premier global surveillance system on antimicrobial resistance of microbes. A study was conducted in a tertiary care hospital regarding the pattern of antibiotic resistance and to plan various strategies to minimize antibiotic resistance. Observations from this study indicated that the most common resistant pathogens are *Escherichia coli* and *Klebsiella*. There are several mechanisms for the development of resistance among the bacteria. The present study summarizes various strategies to minimize antibiotic resistance. A strict guideline-based antimicrobial use leads to reduced antibiotic resistance. Educating patients, public and relevant health care professionals regarding various bacterial infections, their features, rational use or prescribing, public hygiene will become major strategies for reducing

antibiotic resistance. A key knowledge on drug pharmacodynamics versus bacteria with different resistant mutations and susceptibility levels, dosing regimens is also needed to prolong the lifespan of existing and new antibiotics by preventing emergence of preexisting and newly formed mutants.

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PP2 - 25: FORMULATION, EVALUATION AND IN-VITRO ANTHELMINTIC ACTIVITY OF VETERINARY SUSPENSION OF TETRAMISOLE HYDROCHLORIDE

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Helminthiasis is an infection of the animal and human body with parasitic worm such as roundworms, earthworms, hookworms, flukes, tapeworms and pinworms. Tetramisole is active against intestinal nematodes and acts by paralyzing susceptible worms by stimulating the nematode ganglia. Till date no research has carried out on veterinary science, many of the animals are infected with anthelmintic disease and to control this infection it is necessary to formulate a drug that helps to kill the worms. Many anthelmintic formulations for Tetramisole HCL is formulated of various dosage forms such as pour-on, bolus, pre-mixed oral soluble powder. There are few number of medicine which are time tested, useful for the number of helminth disease. In present study veterinary Tetramisole Hydrochloride drug is selected for developing the oral suspension. The present study was carried out with the aim to formulate, evaluate and In-vitro anthelmintic activity of oral suspension of Tetramisole HCL. The three forms of Suspension (S1, S2 and S3) were evaluated for pH, Specific gravity, sedimentation rate, Stability study in that S2 formulation show better result as well as the anthelmintic activity was carried out using adult earthworms *Pheritoma posthuma* against Tetramisole HCL. (25.33±0.33 to paralysis and 38.33±0.33 to death of worms), Albendazole as standard reference (12.03±0.62 to paralysis and 22.94±2.42 to death of worms) and normal saline as control (No change) were S2 formulation shows 12.22±2.12 to paralysis and 22.77±0.33 to death of the worms was determined.

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PP2 - 26: IN VITRO ANTIVIRAL ACTIVITY OF PHYLLANTHUS AMARUS EXTRACTS AGAINST ROTAVIRUS

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Rotaviruses are major etiologic agents of childhood gastroenteritis in infants worldwide. In this study, we determined the prevalence of rotavirus infection and characterized group A rotavirus in stool samples by genotyping. Out of 180 stool samples, 109 samples were positive for rotavirus. Among 109 samples, 52 belong to G1P[8] strain. The study evidenced G1P[8] as the prevalent strain. *Phyllanthus* species are well known for their biologically active compounds having antiviral property. This study also determines the antiviral screening of three different extracts, each from *Phyllanthus amarus* fruits and *Phyllanthus amarus* leaves against simian rotavirus strain SA11 and G1P[8] strain of rotavirus as well as their cytotoxicity in MA104 cells. Cytotoxicity due to extracts was determined by cell morphology assessment and antirotavirus activity by inhibition of cytopathic effect.

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PP2 - 27: A STUDY OF ANTIBIOTIC SENSITIVITY IN A PEDIATRIC WARD POPULATION

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Antibiotic sensitivity is the susceptibility of bacteria to a specific antibiotic. Antibiotic susceptibility testing (AST) is carried out to determine the sensitivity of an antibiotic to a particular microorganism. Antimicrobial susceptibilities may vary depending on the geography as well as the host-related factors. The aim of this study is to evaluate the prevalence of bacterial infection in a tertiary care hospital and also to ascertain the antibiotic sensitivity of commonly encountered organisms. Results indicated that *Escherichia coli* and

Klebsiella are the commonest pathogens found in our hospital. The sensitivity of these bacteria towards different antibiotics are found to be E.coli 30.7% and Klebsiella 69.2% for Gentamicin, E.coli 50% and Klebsiella 50% for Imipenem, E.coli 50% and Klebsiella 50% for Cefotaxime, E.coli 33.3% and Klebsiella 66.7% for Ceftazidime, E.coli 40% and Klebsiella 60% for Meropenem. The data from our study indicated that Klebsiella has shown more sensitivity to Gentamicin while E.Coli is found to be more sensitivity to both Imipenem and Cefotaxime. Care for pediatric patients could be improved with use of a pediatric-specific antibiogram.

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PP2 - 28: A FOCUS ON RARE, COMPLICATED INFECTIOUS DISEASE-BUBONIC PLAGUE

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Bubonic plague is a zoonotic disease, circulating mainly in fleas on small rodents and is one of three types of bacterial infections caused by *Yersinia pestis* (formerly known as *pasteurella pestis*) that belongs to the family enterobacteriaceae. Without treatment bubonic plague kills about two third of infected humans within four days. Swollen lymph nodes (buboes) especially occur in the armpit and groin in persons suffering from bubonic plague. Bubonic plague is an infection of the lymphatic system, usually resulting from the bite of an infected flea, *Xenopsylla cheopis* (the rat flea). In very rare circumstances, as in the septicemic plague, the disease can be transmitted by direct contact with infected tissue or exposure to the cough of another human. Confirmation is through the identification of γ *pestis* culture from a patient sample. To quickly screen for the *Y. Pestis* antigen in patients, rapid dipstick tests have been developed for field use. Several classes of antibiotics are effective in treating bubonic plague. These include amino glycosides such as streptomycin and gentamicin, tetracyclines (especially doxycycline), and the flouroquinolone, ciprofloxacin. In 1994, India reported 876 cases of plague. This article emphasise for the need of attention among the medical professionals and public towards this rare but complicated infectious disease.

PP2 - 29: QUALITY STANDARDIZATION AND ANTI-MICROBIAL ACTIVITY OF HERBAL DRUG (NIRGUNDI) ON STAPHYLOCOUS

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Today we are observing great deal of public interest in use of herbal remedies. Most of people use herbal medicines based on the fact that herbal remedies contain natural substances and supports health. Quality maintenance into herbal raw materials and formulations according to pharmacopeial specifications is recommended by WHO. Hence, it is very crucial to uphold quality, purity and safety of raw material as well as finished product. So the quality standardization study is carried on including the antimicrobial activity of the plant Nirgundi which is compared to the Ciprofloxacin which shows inhibition area on the Petri plate by cup and plate method all the standards were take according to the pharmacopeial specifications which includes parameters to complete standardization of herbal drugs like particle size analysis, microscopical evaluation, extractive values, ash values, flow characteristics, moisture content, heavy metal content, disintegration, dissolution and toxicity study. So standardization is important as it helps in formulating a correct dosage to patient, in detecting adulteration in commercial samples and also in authentication of other species. With the progressing research in herbals, some kinds of side effects have been reported for herbal medicines. So there is need for standardization of herbal drugs and formulations, along with a safety profile so that quality, safety, purity and potency of herbal drug is maintained and assured.

PP2 - 30: INFECTION CONTROL AND PREVENTION - HOSPITAL-ACQUIRED INFECTIONS AND THE ECONOMIC IMPLICATIONS

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The Centers for Disease Control and Prevention estimates that 2 million patients suffer from hospital-acquired infections every year and nearly 100,000 of them die. Most of these medical errors are preventable. Hospital-acquired infections result in up to \$4.5 billion in additional healthcare expenses annually. The Medicare Program has proposed changes to the Hospital Inpatient Prospective Payment System and Fiscal Year Rates: Proposed Rule CMS 1488-P-Healthcare-associated infection. Payment will be linked to performance. Under the

new rule, payment will be withheld from hospitals for care associated with treating certain catheter-associated urinary tract infections, vascular catheter-associated infections, and mediastinitis after coronary artery bypass graft surgery. Infection-prevention strategies are essential. In the healthcare setting, the infection control department is categorized as non-revenue-producing. Funds dedicated to resources such as staff, educational programs, and prevention measures are vastly limited. Hospital leaders will need to balance the upfront cost needed to prevent hospital-related infections with the non-reimbursed expense accrued secondary to potentially preventable infections. The purpose of this paper is to present case studies and cost analysis of hospital-acquired infections and present strategies that reduce infections and cost.

PP2 - 31: SYNTHESIS, CHARACTERIZATION AND EVALUATION OF SOME NOVEL 4-THIAZOLIDINONE DERIVATIVES AS A POTENTIAL ANTICANCER AGENT.

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The objective of the present work is the synthesis of N-[2-(4-substituted phenyl)-4-oxo-1,3-thiazolidine-3-yl]-2-(naphthalene-2-yloxy)acetamide and evaluation of in-vitro anticancer activity. Based on this a new series of compound have been planned to synthesize by reacting β -naphthol, ethyl chloroacetate, hydrazinemonohydrate, ethylalcohol and various aromatic aldehydes. The synthesized compounds were characterized by IR, NMR, and Mass spectroscopy. The in-vitro anticancer studies were carried out against human cancer cell line (HeLa) and MTT assay was used to analyze the cell growth inhibition. The results showed that compounds A5, A2, A7, A10 and A3 were exhibited a good to moderate amount of anticancer activity and the IC50 value was greater than 100 μ g/ml.

PP2 - 32: FACILE SYNTHESIS OF 2-AMINOPYRIMIDINE DERIVATIVES AND STUDY OF THEIR ANTIBACTERIAL ASSAY AGAINST HUMAN PATHOGENIC ISOLATES

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The harmful endemic human pathogenic bacterial species such as *Salmonella typhi*, *Vibrio cholerae*, *Shigella dysenteriae*

and *Enterococcus faecalis* etc., poses a serious threat to mankind which necessitated the search for new antimicrobial compounds.

Pyrimidines are important constituents of several biological molecules such as nucleic acids, cofactors, various toxins and to their current use as various chemotherapeutic agents.

In continuation of our work on biologically active heterocycles, a great attention has been paid to synthesize some new chemical entities i.e., 2-aminopyrimidines (5a-5h). The well characterised compounds have been screened for their efficacy against various multidrug-resistant (MDR) clinical isolates of human pathogenic bacteria viz., *S. typhi*, *V. cholerae*, *S. dysenteriae* and *E. faecalis* causing typhoid, cholera, dysenteriae, gastrointestinal/genital tract infections respectively. These bacterial isolates were collected and characterised by King George Hospital of Andhra medical college, Visakhapatnam, Andhra Pradesh, India.

The antimicrobial studies of 5a-5h were carried out by agar well diffusion method using ciprofloxacin as a standard. Among the ten derivatives (5a-5h) screened for, fluoro compound (5f) exhibited highest activity towards gram negative bacteria viz., *S. typhi*, *V. cholerae*, *S. dysenteriae* and trimethoxy compound (5e) showed prominent activity against gram positive bacteria viz., *E. faecalis*.

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PP2 - 33: MOLECULAR DOCKING STUDY TO EVALUATE INHIBITORY ACTIVITY OF ISONIAZID AND ITS DERIVATIVES ON ENOYL ACP REDUCTASE

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Isoniazid 'INH' (Isonicotinylhydrazine) targets the enzyme InhA in the biosynthetic pathway for 'mycolic acids' - cell wall biomolecules essential for the survival of bacteria (*Mycobacterium Tuberculosis* 'MTB')[1]. Experimental evidence that INH-NAD adduct is a slow, tight binding competitive inhibitor of InhA [2] has led to the popular prescription of Isoniazid as an effective antibiotic against TB [3].

35 INH derivatives previously docked with Autodock 3.0 against 2ZID [4] were again docked against 1ZID using Autodock Vina and the corresponding binding energy values and predicted activities compared to probe for a mechanistic rationale [5]. Based on this success of this method, 6 sets of another 85 INH derivatives [6] categorized as Methathiazanone analogues, Hydrazones, Benzoic acid hydrazides and Benzene derivatives of hydrazines were used for an extensive molecular docking study upon 'Enoyl - ACP Reductase' receptor using 'pyrex-Autodock-Vina' and the interactions viewed in Pymol.

The docking study helped arrive at linear co-relation equations between Binding-Energy and Activity of the drug ligand for each set with appreciable linearity of ' $R^2=0.793$ ' on an average. Also, the factors contributing to increased binding energy and deviation from the linearity were logically deduced by analyzing the docking scores obtained with respect to each set.

The docking study confirmed established SAR properties [5,7]. Also, Hydrazone derivatives were found to be the most active class of compounds with substitution at the terminal Nitrogen increasing the binding affinity. Hydrazides of Benzoic acid had lesser binding energies than those of isonicotinic acid while Methathiazanone derivatives produced higher binding affinity and activity with electron withdrawing substituent groups.

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PP2 - 34: SYNTHESIS, ANTIMICROBIAL AND ANTITUMOR EVALUATION OF NOVEL PIPERINE ANALOGS OF DIPEPTIDYL BORONIC ACID

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In the present study three piperine analogs of dipeptidyl boronic acid (1 to 3) were synthesized. Structures of the synthesized compounds were characterized by spectral studies. All the compounds were screened for their antineoplastic activity against three cancer cell lines and antimicrobial activity against seven bacterial and five fungal species. In vitro results showed that most of the compounds displayed moderate to good inhibitory activity on the tested cancer cell lines, among them compound 1 showed excellent antitumor activity where as Compound 3 was found to be potent antimicrobial agent against *Asperigillus fumigates* at a concentration of 62 µg/mL than other tested compounds and the parent molecule piperine. All the compounds were subjected to molecular docking studies for Leucyl-tRNA synthase as well as for 20S proteasome inhibition. The in silico molecular docking study results showed that, all compounds have minimum binding energy toward the active pocket and thus they will act as a good Leucyl- tRNA synthase inhibitor, this is in concordance with our in vitro results.

PP2 - 35: SYNTHESIS, CHARACTERIZATION&IN-VITRO STUDIES OF ANDROGRAPHOLIDE AND ITS DERIVATIVES AS POTENT ANTI-TUBERCULAR AGENTS

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Infectious diseases such as Tuberculosis (Mycobacteria tuberculosis- an ever moving target) has become increasingly prevalent in India and in many developed countries. Top priority today is the urgent need to develop novel therapeutic agents to replace older entities that have become ineffective in the context of multiple drug resistant micro-organisms. Recently it was reported that Andrographolide, a naturally occurring diterpenoid isolated from the plant *Andrographis paniculata* (Acanthaceae) exhibits Anti-TB activity¹ apart from its many other therapeutic activities such as anti-cancer, anti-diabetic, anti-inflammatory, anti-bacterial, anti-malarial, and anti-HIV. The structure of Andrographolide is unique and amenable for a number of structural modifications, thus providing an opportunity to generate a diverse array of novel analogues. In the present work, well known Anti-TB drugs such as Isoniazid, Pyrazinamide & Ethionamide (2nd line drug) were introduced into the C-12 of 3,14-19-triacetyl andrographolide via addition-elimination reaction to afford novel β-amino γ-butyrolactone derivatives with high stereoselectivity. The following compounds were purified by column chromatography and characterized by 1H-NMR, 13C-NMR, ESI-MS, IR, UV & MP. In-vitro studies of these compounds are in progress.

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PP2 - 36: ANTIMICROBIAL, ANTHELMINTIC AND INSECTICIDAL ACTIVITY OF SOME SYNTHESIZED PYRAZOLINE COMPOUNDS

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The Pyrazoline derivatives are very key role in medicine and agriculture. The compounds have been shown to have various

important diverse chemical reactivity and have been found to possess useful bioactivities such as antibacterial, antifungal, insecticidal, antiarthritic, anti-inflammatory, abortifacient and antiproteolytic properties. Certain pyrazolines due to their non toxic properties have been used as local anesthetics also. The structures of new synthesized compounds are confirmed by elemental analysis, IR and P-NMR spectral studies. These compounds are screened for their antimicrobial, anthelmintic and insecticidal activities.

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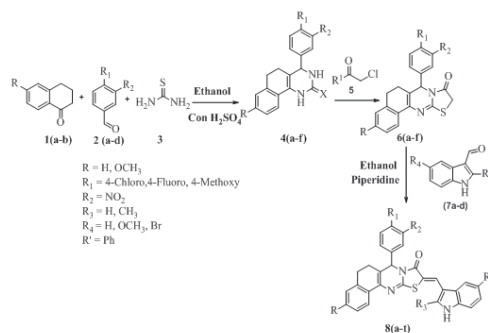
PP2 - 37: SYNTHESIS OF NOVEL THIAZOLO[3,2-A]PYRIMIDINONES AND EVALUATION OF THEIR ANTIMICROBIAL ACTIVITY

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A novel series of indole incorporated thiazolo[3,2-a]pyrimidinones were synthesized starting from Biginelli product. All the synthesized compounds were well characterized by IR, NMR, mass and elemental analyses. All the compounds were evaluated for their *in vitro* antibacterial activity against *S. aureus*, *S. pyogenes*, *P. aeruginosa*, *K. pneumoniae* and *in vitro* antifungal activity against *C. albicans*, *C. glabrata*, *A. niger*, *A. parasiticus*. Antibacterial activity results revealed that the compound possessing 5-bromoindole on thiazole ring and 4-fluorophenyl on pyrimidine ring (8q) has shown equipotent activity when compared with the standard drug streptomycin (ZOI 22mm, MIC 25µg/mL) against antibacterial strain *S.aureus*, compound 8i, 8j, 8k, 8l, 8q, 8t are broad spectrum antibiotics. Antifungal activity results revealed that compound possessing 2-methyl-indole on thiazole ring and 3-nitrophenyl on pyrimidine ring (8j) has shown equipotent activity when compared with the standard drug clotrimazole (ZOI 20mm) against antifungal strain *A. niger*, compound 8e, 8i, 8j are broad spectrum antifungal agents. This investigation can be useful for further development of more potent antimicrobial agent.



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PP2 - 38: IN-SILICO DESIGN OF ISATIN ANALOGS AS POSSIBLE ANTI-HIV AGENTS WITH BROAD SPECTRUM CHEMOTHERAPEUTIC PROPERTIES.

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The HIV is among the most important challenge to public health care systems worldwide. Reverse Transcriptase is an important target for AIDS. Non-nucleoside reverse transcriptase inhibitors (NNRTIs) are a group of structurally and chemically diverse compounds that non-competitively and selectively bind to the unique allosteric hydrophobic non nucleoside inhibitory binding pocket (NNIBP) causing non-competitive inhibition of the viral polymerase. The NNRTIs also act synergistically with other classes of antiviral agents. Any compound classified as an NNRTI possesses specific pharmacophoric groups either in a butterfly or a horseshoe conformation for binding to NNIBP of the Reverse Transcriptase enzyme as shown in Fig. 1.

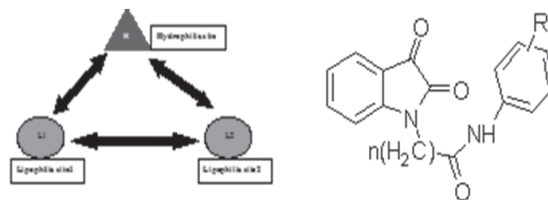


Fig. 1 (1)

R=hydrophobic groups, n=1, 2

An ideal anti-HIV agents should not only suppress HIV replication but should also be able to block the other opportunistic. Based on the pharmacophoric requirements as shown in Fig. 1, we wish to report in the present study the

molecular modeling studies of 40 novel isatin analogs (1) which were docked into the NNIBP of HIV-1 reverse transcriptase with PDB ID 1RT2, in the active site of cytochrome P450DM of Mycobacterium tuberculosis with PDB ID 1EA1 for antimycobacterial activity as well as in the active site of chimeric 1EA1 (mutated form of cytochrome P450DM of Mycobacterium tuberculosis) for antifungal activity and GlcN-6-P-synthase PDB ID 2VF5 for antibacterial activity by using Glide v 5.0. Various hydrophobic substituent's were found to possess good docking scores for above four receptors which were selected for further synthesis and evaluation for possible anti-HIV, antimicrobial activities.

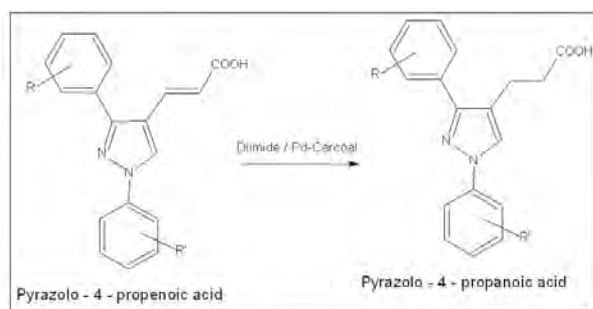
PP2 - 39: EFFICIENT SYNTHESIS OF NOVEL PYRAZOLE-4-PROPANOIC ACIDS FROM PYRAZOLE ACRYLIC ACIDS USING DIIMIDE REDUCTION METHOD

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In recent years, considerable attention is focused on pyrazole derivatives because of their diverse biological activities such as anticancer, antiviral, antimicrobial, anti-diabetic, analgesic, antipyretic and anti-inflammatory. In the present study, different pyrazole-4-carbaldehydes were synthesized using Vilsmeier-Haack reaction of different phenyl hydrazones using DMF and POC13. Pyrazole aldehydes were then converted into pyrazole acrylic acids by reacting with malonic acid in pyridine and in presence of catalytic amounts of piperidine. Usually, the reduction of double bonds in α, β -Unsaturated acids is carried out using catalyst such as Pd-charcoal, Pt, Ni, Rh, Nickel boro hydride etc which are highly expensive and in many of these cases disposal of catalyst is the major problem. In the present work pyrazole acrylic acids were converted into pyrazole propanoic acids using Pd-charcoal & Diimide reduction methods and yields were compared. Though the yields were slightly less in diimide method when compared with Pd-charcoal method, the method was found to be economical with operational simplicity and reasonable yields without any disposal problem of catalyst.

Reaction:



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PP2 - 40: SYNTHESIS OF NOVEL THIAZOLIDINEDIONE INCORPORATED PYRAZOLE DERIVATIVES AS ANTICANCER AGENTS

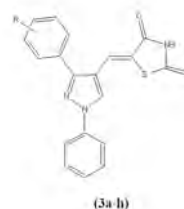
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The PPAR- activating thiazolidinediones such as rosiglitazone, pioglitazone, troglitazone, ciglitazone etc., are a new class of antidiabetic drugs used to improve lipid and glucose metabolism in type-2 diabetes. Recent studies indicate that beside insulin sensitization action, these drugs also have tumour suppressor action which is proved in several invivo and invitro models. In recent years, pyrazole and pyrazoline derivatives have been identified as potential anticancer agents with B-Raf kinase inhibitor activity and also as targets for EGFR tyrosine kinases. In continuation of our research on pyrazole or pyrazoline derivatives, in the present study, we tried to study the influence of pyrazole moiety and thiazolidinedione scaffold combination on anticancer effect. New derivatives of thiazolidinedione incorporated pyrazoles were synthesized by condensing different pyrazole aldehydes with 2,4-thiazolidinedione in glacial acetic acid in presence of piperidine as catalyst. Pyrazole aldehydes were synthesized using Vilsmeier-Haack reaction. The structures of the synthesized compounds were characterized on the basis of FTIR, ¹H NMR, ¹³C and mass spectral data. The compounds were evaluated for anticancer activity against three different cancer cell lines (human lung cancer cell line A549, human breast cancer cell line MCF-7 and human prostate cancer cell line DU145) using MTT assay method. Some of the tested compounds showed promising anticancer activity against the cell lines and the results were comparable to the standard drug, Doxorubicin.

Representative structure of synthesized pyrazole derivatives (3a-h)



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PP2 - 41: SYNTHESIS AND ANTIMICROBIAL ACTIVITIES OF SOME TRIAZOLES, THIADIAZOLES AND OXADIAZOLES OF SUBSTITUTED PHENYL PYRAZOLES

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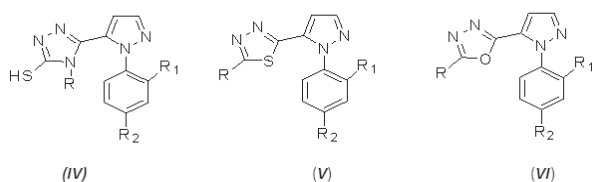
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A simple and highly efficient procedure has been described for the synthesis of 1-arylpyrazole substituted derivatives of triazoles, thiazoles and oxadiazoles. The cyclization of pyrazole ring is carried under microwave irradiation on dimethyl maleate, substituted phenyl hydrazine and chloramine-T as catalyst to form 2,4 -substituted phenyl-5-oxopyrazolidine-3-carboxylate(I). This on further treatment with hydrazine hydrate in boiling ethanol gave the hydrazide compound (II). The resulting hydrazide was reacted with substituted aryl isothiocyanates to form thiosemicarbazides compounds (III). These thiosemicarbazide derivatives underwent cyclization with NaOH, H₃PO₄ and I₂/KI reagents under different reaction conditions to furnish 1-phenyl-pyrazole derivatives possessing triazoles(IV), thiadiazoles(V) and oxadiazoles(VI) respectively. The antimicrobial and antifungal activities of all compounds have been screened against *Bacillus subtilis*, *Escherichia coli*, *Aspergillus niger* and *Candida albicans*. Arylpyrazole-thiosemicarbazides, triazoles, oxadiazoles, thiadiazoles and antimicrobial activity.



PP2 - 42: SYNTHESIS, ANTIMICROBIAL AND ANTICANCER STUDIES OF NOVEL ANGULAR FUROBENZOPYRONE DERIVATIVES

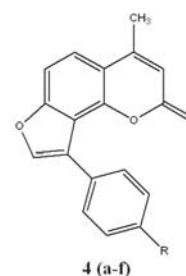
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Furobenzopyrones or furocoumarins are an important class of organic compounds produced by a variety of plants and possess photodynamic, antifungal, anticancer, antioxidant and vasorelaxant properties. In the present investigation, we tried to synthesize some novel angular furocoumarins i.e. 4-methyl-9-(substituted phenyl)-2H-furo[2,3-h]chromen-2-ones by reacting different p-substituted acyl bromides with 4-methyl-7-hydroxy coumarin followed by cyclisation with polyphosphoric acid. The synthesized compounds were characterized on the basis of FTIR, proton NMR and mass spectral data. All the compounds were evaluated for in vitro antimicrobial and cytotoxic activities. Some of the compounds showed appreciable activity in cytotoxic screening and the results were comparable to the standard drug, 5-fluorouracil. In antibacterial screening, none of the compounds exhibited any significant activity.

Representative structure of novel angular furobenzopyrones



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PP2 - 43: SYNTHESIS AND EVALUATION OF NEW ISATIN QUINOLINE HYDRAZONE DERIVATIVES FOR ANTIOXIDANT ACTIVITY

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A series of twelve New 2-methyl-N'-[(3Z)-2-oxo-1, 2-dihydro-3H-indol-3-ylidene] quinoline-3-carbohydrazone derivatives (7a-l) were synthesized by the condensation of Quinolinyldiazide (6) with different isatins (3a-l). The synthesized compounds were characterized by their physical and spectral data (FT-IR, ¹HNMR, and MS) and the compounds were

evaluated for in vitro Antioxidant activity by using two models 1, 1-diphenyl-2-picryl-hydrazyl (DPPH) and Hydrogen peroxide (H₂O₂) scavenging assay. The results were reveals that all the synthesized compounds possess moderate to good activity at the tested dose as compared with that of the standard, ascorbic acid. The compounds with halogens and electron withdrawing group at the C 5 position of isatin exhibit the significant antioxidant activity.

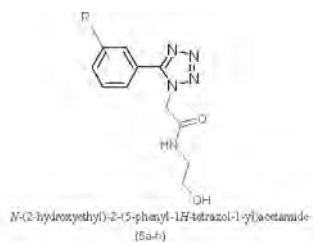
PP2 - 44: DESIGN, SYNTHESIS AND ANTIPROTOZOAL STUDY OF NOVEL N-2(HYDROXYETHYL)-2-(5-PHENYL-1H-TETRAZOLE-1-YL) ACETAMIDES

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In the present study, some novel N-2(hydroxyethyl)-2-(5-phenyl-1H-tetrazole-1-yl) acetamides were designed based on the structure of Etanidazole, a well known anti-protozoal drug and its radio protective activity is under clinical trails. In recent years, tetrazoles and their derivatives have attracted great attention due to their broad spectrum biological activities such as anti-hypertensive, anticancer, anti-inflammatory, antibacterial, anti-allergic and antifungal activities. In the present investigation new derivatives of tetrazolyl acetamides were synthesized by 1,3-dipolar addition of aryl nitriles with sodium azide in presence of tetra ethyl ammonium chloride as phase transfer catalyst. The resulted substituted tetrazoles were reacted with ethyl chloroacetate to give tetrazolyl esters which on subsequent reaction with ethanolamine afforded the title compounds in good yields. The structures of the compounds were confirmed on the basis of FTIR, ¹H NMR, ¹³C NMR and mass spectral data. The synthesized compounds were evaluated for antiprotozoal activity against *E. histolytica* and some of them showed significant activity than the standard drug, metronidazole.

Representative structure of synthesized tetrazolyl acetamides



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PP2 - 45: DESIGN, SYNTHESIS AND ANTI-TUBERCULAR EVALUATION OF NOVEL BENZO[D]ISOXAZOLE HYBRID ANALOGUES

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A J. Subbalakshmi¹,

D. Sriram², B P. Yogeeswari², B K.V.G. Chandra Sekhar^{2*}

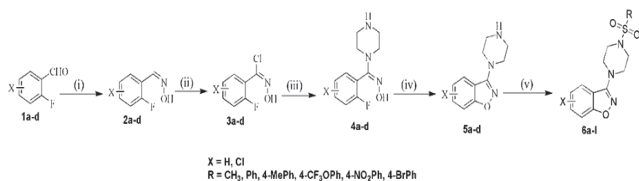
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Tuberculosis (TB) represents one of the prime public health concerns worldwide after the human immunodeficiency virus. According to the World Health Organization, more than one third of the world's population is infected with tubercle bacilli [1]. Benzisoxazoles and its derivatives have broad spectrum of biological activities. In particular Subash et al., reported 5-tert-butyl-N-pyrazol-4-yl-4,5,6,7-tetrahydrobenzo [d]isoxazole-3-carboxamide derivatives with excellent anti-TB activity [2]. On other hand piperazine sulfonamide derivatives are known to exhibit wide range of pharmacological activities. As part of our ongoing TB research [3] and inspired by the biological importance of benzisoxazole and piperazine sulfonamide skeleton, we envisaged to incorporate these two pharmacophores into a single framework. Hence, 12 new 3-(4-substitutedsulfonylpiperazin-1-yl) benzo[d]isoxazole derivatives were synthesized, characterized (¹H, ¹³C NMR and MS) and evaluated for their antimycobacterial activity. Among the tested compounds 6a and 6j exhibited moderate activity (MIC = 12.5 µg/mL), while 6b and 6i exhibited good anti-TB activity (MIC = 3.125 and 6.25 µg/mL respectively). Further, active analogues 6a, 6b, 6i and 6j were subjected to cytotoxic studies against mouse macrophage (RAW 264.7) cell lines to evaluate the cytotoxic effect of the novel compounds and selectivity index of the most active compound was found to be >130 indicating suitability for further drug development. Structure of 6b was further substantiated through single crystal XRD.



Reagents and conditions: (i) NH₂OH.HCl (1.2 eq), CH₃COONa (2.0 eq), EtOH, H₂O, 0 °C-rt, 1h (ii) NCS(1.2 eq), CCl₄, 0 °C-rt, 45 min (iii) Piperazine (8.0 eq), TEA(2.0 eq), CH₂Cl₂, rt, 2h (iv) 30% aq. KOH, Dioxane, 120 °C, 4h (v) RSO₂Cl (1.2 eq), TEA(2.0 eq), CH₂Cl₂, 0 °C - rt, 1h.

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PP2 - 46: APPLICATION OF ANTI-MICROBIAL AGENTS IN WOUND CARE

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Antibiotics are potent antimicrobial agents with high specificity. However the relentless emergence of antibiotic resistant strains of pathogens, together with the retarded discovery of novel antibiotics has led to the need to find alternative treatments. The most frequently used topical antimicrobials in modern wound care practice include iodine and silver containing products. In the past acetic acid, chlorhexidine, honey, hydrogen peroxide, sodium hypochlorite, potassium permanganate and proflavine have been used. Some of these products seem to be making a return, and other alternatives are being investigated. This review attempts to provide insight into the controversy that surrounds the use of topical antimicrobials by describing their respective mechanisms of action, reviewing supporting evidence and outlining perceived limitations.

PP2 - 47: DEVELOPMENT OF ANTIMYCOBACTERIAL TETRAHYDROTHIENO[2,3-C]PYRIDINE-3-CARBOXAMIDES AND HEXAHYDROCYCLOOCTA[B]THIOPHENE-3-CARBOXAMIDES: MOLECULAR MODIFICATION FROM KNOWN ANTIMYCOBACTERIAL LEAD

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Twenty derivatives of 2,6-disubstituted 4,5,6,7-tetrahydrothieno [2,3-c]pyridine-3-carboxamide and ten of 2-substituted 4,5,6,7,8,9-hexahydrocycloocta[b]thiophene-3-carboxamide were synthesized by molecular modification of a known antimycobacterial molecule. Compounds were evaluated *in vitro* against *Mycobacterium tuberculosis* (MTB), and cytotoxicity against RAW 264.7 cell line. Among the compounds, 2-(4-phenoxybenzamido)-4,5,6,7,8,9-hexahydrocycloocta[b]thiophene-3-carboxamide (**26**) was found to be the most active compound against MTB with MIC of 3.70 μM and was more potent than Ethambutol (MIC of 7.64 μM), Ciprofloxacin (MIC of 9.41 μM) and standard lead compound SID 92097880 (MIC of 9,15 μM). Compound **26** also showed MTB MIC of 1.23 μM in the presence of an efflux pump inhibitor Verapamil, and showed no cytotoxicity at 50 μM.

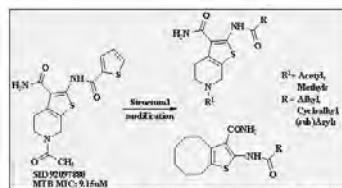


Figure 1: Structural modification of lead Compound

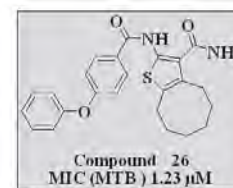


Figure 2: Most active Compound

PP2 - 48: DEVELOPMENT OF 3-PHENYL-4,5,6,7-TETRAHYDRO-1H-PYRAZOLO[4,3-C]PYRIDINE DERIVATIVES AS NOVEL MYCOBACTERIUM TUBERCULOSISPANTOTHENATESYNTHESE INHIBITORS

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Forty 3-phenyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine derivatives were synthesized from piperidin-4-one by five step synthesis and evaluated for *Mycobacterium tuberculosis* (MTB) pantothenatesynthetase (PS) inhibition study, *in vitro* activities against MTB, cytotoxicity against RAW 264.7 cell line. Among the compounds, 1-benzoyl-N-(4-nitrophenyl)-3-phenyl-6,7-dihydro-1H-pyrazolo[4,3-c]pyridine-5(4H)-carboxamide(**3**) was found to be the most active compound with IC₅₀ of 21.8±0.8 μM against MTB PS, inhibited MTB with MIC of 26.7 μM and it was non-cytotoxic at 50 μM.

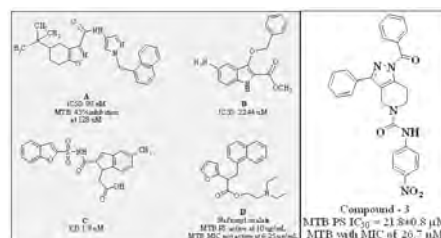


Figure 1: Known MTB PS inhibitors

PP2 - 49: DNA BINDING STUDIES, LIGHT SWITCH, PHOTOCLEAVAGE, IN VITRO CYTOTOXICITY, ANTIBACTERIAL AND DOCKING STUDIES OF CO(III) COMPLEXES

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To explore the therapeutic potential of [Co(phen)2(IPPBA)](ClO4)3 (1), [Co(bpy)2(IPPBA)](ClO4)3 (2) and [Co(dmb)2(IPPBA)](ClO4)3 (3) (IPPBA) 3-(1H-imidazo[4,5-f][1,10]phenanthrolin-2yl)phenylboronic acid have been synthesized and characterized by elemental analysis, UV/VIS, IR, 1H-NMR, 13C-NMR and mass spectra. In vitro DNA binding studies of the three complexes to calf thymus DNA were carried out employing absorption spectra, emission spectroscopy, viscosity measurements, thermal denaturation and photoactivated cleavage. The results suggest that these complexes bound to double-stranded DNA in an intercalation mode. Upon irradiation at 365nm, three Cobalt complexes were found to promote the cleavage of plasmid pBR322 DNA from super coiled form to nicked form. Further in the presence of Co²⁺, the emission of DNA-Co (I) complexes can be quenched. And when EDTA was added, the emission was recovered. The experimental results show that all three complexes exhibited the "on-off-on" properties of molecular "light switch". The highest Cytotoxicity potential of the complex 1 was observed on the Human alveolar adenocarcinoma (A549) cell line. Good agreement was generally found between the spectroscopic techniques and molecular docked model which provides further evidence of groove binding.

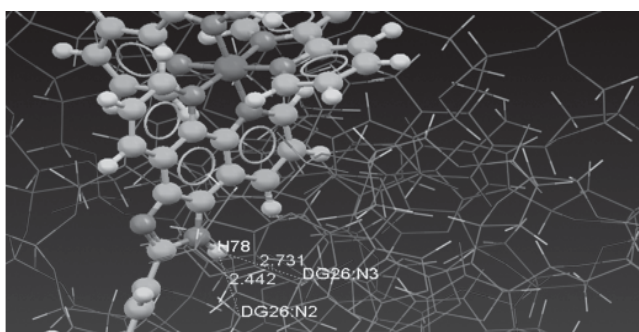


Figure Hydrogen bonds in DNA-docking models of complex [Co(phen)2(IPPBA)]₃⁺ and AT-rich DNA (PDB ID: 1JVE).

PP2 - 50: SYNTHESIS AND ANTIBACTERIAL ACTIVITY OF SOME NOVEL INDOLYL AZETIDIN-2-ONES

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The synthesis and antibacterial activity of some novel substituted indolyl azetidin-2-ones was aimed at creating a new molecular frame work. Nine new indolyl azetidinone compounds have been synthesized by reacting new (unexplored) biologically important different substituted indolyl Schiff bases with chloro acetylchloride. The compounds have been characterized by IR and Mass spectral techniques and screened for antibacterial activity by cup plate method using different gram +ve and gram -ve bacteria. In the present scheme, compounds (3h) and (3i) were found to have highest antibacterial activity at all the concentrations when compared to that of standard ampicillin/ciprofloxacin and the remaining compounds (3a), (3b), (3c), (3d), (3e), (3f), and (3g) showed moderate antibacterial activity.

PP2 - 51: IN SILICO STRUCTURAL AND FUNCTIONAL ANALYSIS OF DRUG AND VACCINE CANDIDATES FOR STREPTOCOCCUS PNEUMONIAE

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Streptococcus pneumoniae is Gram positive bacterial human pathogen that colonizes the upper respiratory tract and causes life threatening diseases such as pneumoniae, bacteriemia and meningitis throughout the world. The disease rates are particularly high in young children, the elderly and patients with predisposing conditions such as asplenia, chronic medical conditions or immunosuppressive illness particularly AIDS. The infection is killing 16 lakh children under 5 year, more than 3.7 lakh in India alone. An improved treatment and vaccine against S. pneumoniae is one of the vaccine priorities in the world. The main purpose of this investigation is to study the in silico characterization of antigenic proteins involved in disease. Pneumolysin is an antigenic enzyme in against S. pneumoniae causing cytolytic at high concentration and cytotoxic at lower concentration which inhibits the capillary movement. Primary protein sequence analysis of pneumolysin was carried out using Protparam tool. SOPMA was used for the prediction of secondary structure of protein.

Swiss model was used to predict the 3D structure from X-ray crystal structure (chain A:3HVN) as a template. The model quality was checked using PROCHECK. Thiol cytolysin domain was identified using Pfam. Pneumolysin serves as potential drug and vaccine target for treatment of *S. pneumoniae*.

PP2 - 52: SCREENING OF CHEMICAL LIBRARY FOR THE IDENTIFICATION OF INHIBITORS OF ACETYLTRANSFERASE DOMAIN OF MYCOBACTERIUM TUBERCULOSIS GLMU

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Mycobacterium tuberculosis (M.tb) is the main causative agent of deadly disease TB in humans and it remains a major global health risk since the first identification of the disease in 1882. The World Health Organization (2013) estimates that there are 8.6 million new TB cases and 1.3 million deaths annually. Human immunodeficiency virus (HIV) has fueled the TB epidemic. Given the increased incidence of drug resistant TB cases worldwide, there is a desperate need for the development of new anti-tubercular agents that operate via novel modes of action to the currently employed drugs. Peptidoglycan is an essential component of the cell wall of bacteria, including M.tb. The first committed step in the biosynthesis of peptidoglycan involves the formation of uridine diphosphate-N-acetylglucosamine (UDP-GlcNAc) from uridine triphosphate (UTP) and GlcNAc-1-phosphate. This reaction is catalysed by N-acetylglucosamine-1-phosphate uridyltransferase (GlmU), a bifunctional enzyme with two independent active sites that possess acetyltransferase and uridyltransferase activities. Acetyltransferase domain of GlmU exhibits unique features which making it an ideal target for antimycobacterial drug discovery.

In this study, whole cell active compounds from diverse chembridge library were used for the screening against *Mycobacterium tuberculosis*. M.tb GlmU protein was expressed and purified. Enzymatic activity measured by a colorimetric assay coupled with 5, 5'-dithio-bis-(2-nitrobenzoic acid) (DTNB). IC₅₀ values of the identified potent inhibitors were calculated.

700 compounds found active in the whole cell assay (MIC) were screened against acetyltransferase activity of M.tb GlmU. Two compounds were found to be inhibitors of acetyltransferase activity with IC₅₀ values in range of 60-70 μM.

Screening of the compounds against M.tb GlmU acetyltransferase activity serves as a promising starting point for the discovery of more potent inhibitors.

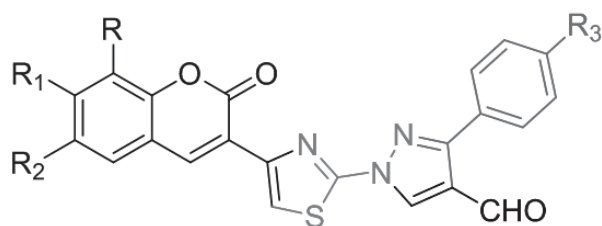
PP2 - 53: A FACILE ONE-POT SYNTHESIS OF COUMARIN SUBSTITUTED THIAZOLYL-3-ARYL-PYRAZOLE-4-CARBALDEHYDES VIA MULTICOMPONENT APPROACH

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A series of coumarin substituted thiazolyl-3-aryl-pyrazole-4-carbaldehydes were synthesized via a one-pot multicomponent approach involving 3-(2-bromoacetyl) coumarins, thiosemicarbazide and substituted acetophenones utilizing Vielsmeier-Haack reaction condition. The in situ generated (3-(2-hydrazino-4-thiazolyl) coumarino) phenyl methyl methines undergo heterocyclization followed by formylation results the target molecules in good yield.



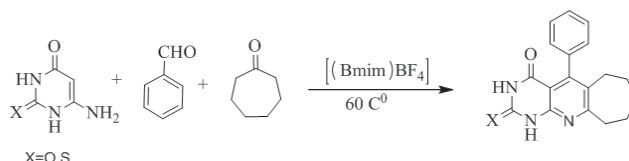
PP2 - 54: IONIC LIQUID MEDIATED SYNTHESIS OF 5-PHENYL-2-THIOXO-CYCLOHEPTA[5,6] PYRIDO [2,3-b] PYRIMIDIN-4(5H)-ONE

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An efficient, convenient and environmentally benign one-pot multicomponent reaction for the preparation of thioxo-cyclohepta[5,6] pyrido[2,3-d] pyrimidine derivative from cycloheptanone, 6-amino thiouracil and aromatic aldehyde analogues as biologically, pharmacologically and antibacterial active compounds has been developed by using ionic liquid as a re-usable homogeneous catalyst. Ionic liquid [(Bmim)BF₄] acted as a catalyst as well as reaction medium and could be used for the reaction for five times without any appreciable loss of its catalytic activity in simple and convenient method. Ionic liquid provides high yield of product in short reaction time and allowed easy workup.



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PP2 - 55: EVALUATION OF MINIMUM INHIBITORY CONCENTRATION OF LYSOSTAPHIN AGAINST METHICILLIN RESISTANT STAPHYLOCOCCUS AUREUS

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Methicillin resistant Staphylococcus aureus (MRSA) infections are emerged as global health problems because of the development of resistance to routine antibiotics. As a result of limited treatment options available to treat the infections caused by MRSA, there is a need for the development of new therapeutic agents. It has been reported that the lysostaphin, a 27K Da protein has showed antistaphylococcal activity. This acts on the pentaglycine cross bridge of the bacterial cell wall. The Minimum inhibitory concentration of lysostaphin on MRSA has been discussed in the present work.

All the clinical specimens were processed as per standard operating procedure. Isolated colonies were identified as S. aureus by gram staining, catalase, coagulase, urease and mannitol fermentation test. The isolates which showed a zone of inhibition of ≤ 19 mm for 30 μ g disc of Cefoxitin were identified as MRSA. MIC was determined by micro-broth dilution method as per CLSI guidelines. 0.125 - 16 μ g/ml concentration of lysostaphin was tested against MRSA.

57 MRSA clinical isolates were tested. 3.5 % of isolates had MIC of 0.5 μ g/ml, 22.8 % of isolates showed MIC of 1 μ g/ml and 73.6 % isolates had MIC of 2 μ g/ml. Control strains showed expected MIC range of 2 μ g/ml for ATCC 43300 and 0.25 μ g/ml for ATCC 25923 and ATCC 29213 respectively. The MIC at which 90% of the MRSA isolates inhibited (MIC₉₀) was observed to be < 2 μ g/ml. So, we can conclude that, the lysostaphin can be effectively used against infections caused by MRSA.

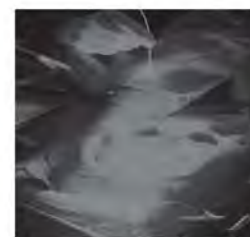
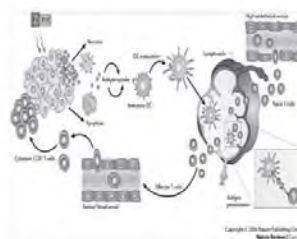
PP2 - 56: THE SYSTEMATIC REVIEW ON CLINICAL PHOTODYNAMIC THERAPY FOR THE TREATMENT OF CANCER

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Photodynamic Therapy (PDT) is the use of a light-sensitive drug in combination with light of a visible wave length to destroy target cells. PDT is used either as a primary treatment or as an adjunctive treatment. It is fairly well accepted in clinical practice for some types of cancers but has yet to be fully explored as a treatment of all cancers. Photodynamic therapy has received increased attention since the regulatory approvals have been granted to several photosensitizing drugs and light applicators world-wide. Much progress has been seen in clinical photodynamics in recent years. This review will focus on new developments of clinical investigation and discuss the usefulness of various forms of PDT techniques for palliative treatment of malignant and non-malignant diseases. The main objective is the clinical effectiveness, safety of PDT in the treatment of the following cancers: biliary tract, brain, head and neck, lung, oesophageal and skin.



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PP2 - 57: ROLE OF A PHARMACIST IN RATIONAL USE OF ANTIBIOTICS

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The concern on irrational use of antibiotics and emergence of resistant strains is diverting attention for intervention. The objective of our study is to document the profile of antibiotic use by the consumers at retail pharmacy outlets and prepare intervention strategy in next phase.

In view of this we have taken an investigation to document the consumption profile of antibiotics in our in-patient wards of various departments as well as at three nearby retail pharmacy outlets.

The data shows that more than 60% patients reported with cough, cold and fever. We found that half of the prescriptions were having one or more antibiotics prescribed by a physician.

In retail pharmacy outlets the dispensing of antibiotics on self-requests was 68% and rest were by physician. In hospital the prescription for antibiotics (82%) was given for a minimum required period but at retail outlet it was more than 90%, and was given for maximum of four days or less. The observations made by us reveal that (i) The attendants at outlets are not always qualified pharmacists. (ii) Self-prescription based on others advice was 73%. (iii) 90% Patients are not aware of dosage schedule (iv) Consumers wanted a fast relief to diseases (v) People don't know about the resistance that may be caused due to irrational use.

The pharmacist has an obligation to ensure that the patient understands the purpose of using the prescribed antibiotics and their appropriate use. The time has come for a pharmacist to develop the necessary skills to communicate to the patient on adverse events of irrational use of antibiotics.

PP2 - 58: ANTIBIOTIC THERAPY OF CHOLERA

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Recent clinical trials having established the value of tetracycline as an adjunct to fluid and electrolyte replacement in cholera treatment. A controlled trial of antibiotic therapy was conducted on 318 adults hospitalized for cholera. The effects of 4 antibiotics orally administered in varying dosage schedules were studied.

Cholera therapy with tetracycline or chloramphenicol caused a highly significant reduction in the duration of diarrhoea and of positive culture, in stool volume and in intravenous fluid requirement as compared with the results in controls who received intravenous fluid therapy only. Streptomycin was also effective, but to a lesser degree; paromomycin was of little value.

The severity of dehydration on admission was significantly related to subsequent duration of diarrhoea regardless of whether antibiotics were given. Increasing age was associated with more prolonged purging in patients receiving antibiotics. Increasing the dose of tetracycline to 2 to 3 times that usually administered, or prolonging treatment from 2 to 4 days, did not enhance the therapeutic results. The effect of tetracycline was apparent within a few hours of administration. Bacteriological relapses were seen after discontinuation of therapy in all treatment groups, but were not due to the development of resistant bacteria.

Antibiotic Therapy of Cholera* JOHN LINDENBAUM, WILLIAM B. GREENOUGH2 & M. R. ISLAM

PP2 - 59: A REVIEW OF ANTIMICROBIAL USE IN THE INTENSIVE CARE UNIT

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Antimicrobial drugs are some of the most widely used drugs in an intensive care unit (ICU). As the patients admitted to this ward includes those combating life threatening infections, the use of antibiotics remains unchecked which contributes to emergence of resistance and unnecessary treatment costs. This study was undertaken to review use of antimicrobials in the intensive care unit of a 300 bedded tertiary care centre. About 156 cases from July-December 2013 were reviewed for their admitting diagnosis, clinical outcomes, antimicrobial use, and presence of inappropriate management. The clinical infections were divided into community-acquired pneumonias (CAP), intra-abdominal infections (IAI), central nervous system (CNS) infections, skin and soft-tissue infection (SSTI), urinary tract infection (UTI), and other. Clinical outcomes including days of each antimicrobial agent and ICU length of stay were recorded. Most commonly used antibiotic was piperacillin-tazobactam (86%). 74.4%(35) of CAP, 81.1% (43) of IAI's, 16.6% (2) of CNS infections, 100% (18) of SSTI's, 21.05% (4) of UTI's, and 66.67% (4) of "others" were inappropriately treated due to either a prolonged antibiotic duration, lack of substantial evidence for treatment or failure to discontinue unnecessary therapy post culture results. 74% of the therapies were of prolonged duration beyond the standard recommendations. Antibiotic use in the ICU needs to be closely monitored. There is a current need for formulation and enforcement of rational antibiotic use policies.

PP2 - 60: BACTERIAL SCREENED POLY-β - HYDROXYBUTYRATE: INTRIGUING BIOPOLYMER IN BIOMEDICAL AND PHARMA FORMULATION TRENDS

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The role of Poly-β-hydroxybutyrate (PHB) microbial screened biopolymer in pharmaceutical formulation. PHB is a biodegradable thermoplastic which have considerable pharmaceutical importance. PHB biopolymer is effective tool for controlling the drug delivery profile of different formulations for infectious disease. PHB is an energy-storage polymer both natural and recombinant microorganisms have been used for PHB production. The structure, properties and regulation of synthesis and degradation of PHB are varying according to source of synthesis. PHB have been widely used

in biomedical applications because of their known biocompatibility and biodegradability. Drug delivery plays an optimistic role in the development of pharmaceutical formulation for the health care of population. The drug release duration is needs to be extended over days up to several months. Formulation development achieved by incorporation of drugs entity into polymeric matrices to control release pattern of drug at a predefined and reproducible rate for a prolonged period of time. This polymeric biomaterial is preferred candidates for developing controlled/sustained release drug delivery vehicles. The known reason to use the PHB in Pharmaceutical and biomedical application because of their bio-acceptance and patient compliance.

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PP2 - 61: HPMC MICROSPHERES FOR PULMONARY DELIVERY OF ISONIAZID

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According to the 18th Global Tuberculosis Report by WHO, in 2012 about 8.6 million people developed tuberculosis (TB) and 1.3 million died from the disease. Moreover, the HIV-positive patients suffer from secondary infection of TB. Treatment for TB with the standard oral anti-TB drugs is inefficient; hence an effective formulation of anti-TB drug is essential. The purpose of present work is to develop hydroxypropyl methylcellulose (HPMC) microspheres for pulmonary delivery of isoniazid, by using spray drying technique. Isoniazid is more effective if administered via pulmonary route, since Mycobacterium tuberculosis occurs in alveoli of lung. Spray drying technology provides uniform and controllable particle size suitable for DPI formulation. HPMC is a water soluble polymer, well known for imparting slow release of drug; hence the microspheres were prepared in various proportions of INH to HPMC such as 1:0.5, 1:0.7, 1:0.9 and 1:1. The percent yield and entrapment efficiency varied from 10% to 36% and 48% to 72% respectively. The particle size of microspheres was found in the range of 1.1um to 4.9um, satisfying the requirement for particle size for DPI formulation. Microspheres exhibited 100% drug release at 60 minutes. It was also observed that inclusion of fines in the microspheres prolongs the drug release. The microspheres prepared by spray drying technique showed promising aerosolization properties with sustained drug release properties and are effective for pulmonary administration.

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PP2 - 62: OVERVIEW OF CHALLENGES IN DEVELOPING NEWER ANTIBIOTICS

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Slowed down of antibiotic discovery to combat resistant bacteria, tuberculosis, malaria and HIV, leading to un treatable infections. Most of the antibiotics discovered in the 1940 to 1960, is called golden era of antibiotic discovery. Identification and development of new antibiotics help to treat these infections with different mode of action. Output of novel antibiotics extremely low levels in the past 25yrs. Research in antibacterial and development pipeline has slowed dramatically, and relatively few new antimicrobial drugs introduced in recent decades.

Lack of present investment in research and development in this field is expected to have further negative implication on the availability of new antibacterial drugs in the future, this article mainly highlight on the drug resistance and challenges in developing newer antibiotics and note on antimicrobial approvals.

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PP2 - 63: CHITOSAN GRAFT POLY(LACTIC ACID) COPOLYMER NANOPARTICLES FOR CONTROLLED DRUG DELIVERY

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Chitosan possess different beneficial properties that make it an attractive option for designing adequate dosage forms and advanced drug delivery systems to be administered to or through the lung. The general advantages include the well established biocompatibility and biodegradability of chitosan. Moreover, antimicrobial and antioxidant activities have been reported for different types of chitosan derivatives, which can also be regarded as potentially useful materials for the development of pulmonary drug delivery systems.

The different types of nanoparticles have been prepared for pulmonary administration of various drugs to treat diseases such as Tuberculosis (TB), other pulmonary infections and diseases. Chitosan offers remarkable advantages over other natural and synthetic polymeric nanocarriers. Hence, our focus is on the preparation of chitosan grafted polymeric nanoparticles that can be useful as drug carriers. Poly(lactic acid) is grafted onto the low molecular weight chitosan having good hydrophilicity.

The chitosan grafted poly(lactic acid) can improve the mechanical properties of the chitosan and also can improve the hydrophobic properties of poly(lactic acid). The performance of nanoparticles prepared from chitosan grafted poly(lactic acid) is expected to be complementary of both chitosan and poly(lactic acid) as carriers for controlled drug release.

The main objective of the present work is to synthesize low molecular weight chitosan-grafted poly(lactic acid) and characterize by different techniques namely, FTIR and ¹H NMR spectroscopy. The chitosan based nanoparticles were prepared by grafting poly(lactic acid) on chitosan backbone to obtain an amphiphilic system to serve as a drug carrier for the prolonged release of isoniazid drug. The isoniazid loaded chitosan grafted poly(lactic acid) nanoparticles were prepared by flash nanoprecipitation technique and used to study the release kinetics and entrapment efficiency of isoniazid. The isoniazid loaded chitosan grafted poly(lactic acid) nanoparticles were characterized by FTIR and ¹H NMR spectroscopy, X-ray diffraction, scanning electron microscopy and particle size analysis.

PP2 - 64: INSILICO ANALYSIS OF THE FLAVONOID ISOORIENTINE OF *BIOPHYTUM SENSITIVUM* WITH THECAV 2.1 PROTEIN IN THE FAMILIAL HEMIPLEGIC MIGRAINE

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Familial hemiplegic migraine is a form of migraine headache that runs in families. In this disease a pattern of neurological symptoms called an aura precedes the headache. Unusually severe migraine episodes have been reported in some people with familial hemiplegic migraine. These episodes have included fever, seizures, prolonged weakness, coma and rarely death. It affects females more often than males. The Mutations in the CACNA1A, ATP1A2, SCN1A, and PRRT2 genes have been found to cause familial hemiplegic migraine. The first three genes play a central role in the neuronal synapse for transport of charged ions across the membrane. The CACNA1A (calcium channel, voltage-dependent, alpha 1A subunit). It belongs to the family of genes called CACN. The

CACNA1A gene that code for a protein called membrane protein (CA2+/CAM-CAV2.1). CaV2.1 channel protein function as regulation of the Calcium signalling, MAPK signaling, Dopaminergic path way etc. The mutation of these genes can upset the balance of the ions in the neuron. Kerala is the rich source of medicinal plants. The Mallu Kuruma is the tribes living in Wayanad, Kerala, they share the information for Biophytum sensitivum (Mukkutty) is a medicinal plant for migraine. The plants which rich in flavonoids (Amentoflaovone, Cupressuflavone, Isoorientine) and antioxidants such as the superoxide radicals. The superoxide radicals play major role in the activation of the neuronal synapse (communication through ions). Docking studies of this protein with the super oxide radicals that present in the Biophytum sensitivum gives the best result. The available drug for migraine is the Lamotrigine, is one of the drug effectively used in the migraine, but some of them shows the side effect for the consumption of particular drug. The introduction of the each drug to the patients they expect the drug which is more effective in particular disease as in the sense of high efficacy. The computational study of the Isoorientine in the Biophytum sensitivum and CaV2.1 protein is highly effective, the docking studies of the same using Hex gives more stable result for Isoorientine (E-Total=-289) than Lamotrigine (-148.6).

PP2 - 65: ANTIEPILEPTIC ACTIVITY OF LOBELINE IN PRICKLE-1 INDUCED PROGRESSIVE MYOCLONIC EPILEPSY: AN IN-SILICO STUDY

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Epilepsy is the second most common neurological disorder in India. Epilepsy affects an estimated 7 million people in India, and 50 million worldwide. Progressive myoclonic epilepsy (PME) is a complex syndrome characterized by progressive myoclonus, cognitive impairment, ataxia, and other neurologic deficits. The Prickle proteins function in the noncanonical WNT signalling pathway which regulates intracellular calcium release and planar cell polarity (PCP). Studies showed that mutation in Prickle1 protein causes PME. A heterozygous 431G-A transition in the PRICKLE1 gene, resulting in an arg144-to-his (R144H) substitution shows myoclonic seizures, generalized EEG pattern, and mild mental retardation. Diazepam and Levetiracetam are the drugs that are currently used. In the Indian traditional system of medicine, various herbs have been used to treat epileptic seizures. Lobelia was used in traditional systems of medicine and recognised as a potential antiepileptic agent in crude and their respective dosage forms. Lobelia nicotianaefolia (L. nicotianaefolia) (Indian tobacco) is a rich source of alkaloids of the lobeline group. Lobeline is a natural alkaloid with high

affinity for nicotinic acetylcholine receptors, and it is a promising candidate for addiction treatment in human beings. To investigate its effect on Prickle1, the docking experiments using were conducted using Hex 8.0.0 and online Hex Server with lobeline, diazepam and levetiracetam ligands against R144H mutation induced Prickle1 protein modelled using Phyre2 (Protein Homology/analogy Recognition Engine V 2.0). The energy minimisation values of docking studies shows that the lobeline-Prickle1 complex is more stable (E-Total = -261.2) than the currently available drugs (-191.6 & -157.5 respectively). The result obtained from docking studies shows that lobeline can be used as a potential drug against Prickle1 induced PME.

PP2 - 66: COMPARITIVE IN-SILICO ANALYSIS OF F8 AND F9 GENES INVOLVED IN HEMOPHILIA

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Hemophilia is genetic disorder that slows the clotting process of blood when bleeding occurs. Hemophilia A (also known as classic hemophilia or factor VIII deficiency) and Hemophilia B (also known as Christmas disease or factor IX deficiency) are the two major types. A change or mutation in F8 gene is responsible for Hemophilia A and mutation in F9 gene causes hemophilia B. Both F8 and F9 genes gives instructions for the process of making a protein called as coagulation factor VIII and IX respectively. The sequence and the structural data of the two genes can be retrieved in FASTA format from UNIPROT. Using the sequence data in silico analysis using MSA (Multiple Sequence Alignment) was performed and dendrogram was generated. The motifs are identified using motif search server which gives an idea into the pattern of conserve amino acids in the sequence. After the motif search 7 motifs were identified. The composition of the amino acids is determined by using ProtParam. The secondary structures can be predicted by using GOR4 secondary structure prediction method.

PP2 - 67: PHARMACY PRACTICE EDUCATION: A TOOL OF EXCELLENCE AIDING HEALTH CARE DEPARTMENT

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Pharmacy practice education though has its branches spread wide over, the roots are yet to be established. The main objective is to aid in proving the emerging impact of pharmacy

practice education on present health care system. The documented data of various pharmacy practice activities done by the department of pharmacy practice during July 2011-January 2014 were collected. There is a gradual increase in number of cases collected in this duration i.e. from 375 cases to 2272, which were analysed and drug related problems are rectified. The number of adverse drug reactions (ADRs) identified were 61. The number of patients counselled during the entire period is 428. A total of 345 pharmacist interventions were made. 528 drug informations were given to various health care professionals. A total number of 26 poison informations were given. 172 case presentations were given and a total of 23 seminars on various topics were presented. The gradual raise in activities of pharmacy practice department suggests the increasing necessity of clinical pharmacist as an entity of health care team who plays a major role in modifying the patient care services for better patient outcome.

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PP2 - 68: EVALUATION OF ETHANOLIC EXTRACT OF BARK OF MYRICA ESCULENTA FOR ANTIULCER ACTIVITY

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The antiulcer activity of ethanolic extract of bark of Myrica esculenta (Myrcaceae) was investigated on pyloric ligation model, ethanol induced model, Indomethacin induced ulcer model and Cysteamine induced duodenal models in wistar rats. The extract 100mg/kg and 200mg/kg p.o., showed significant reduction in gastric volume, ulcer index, total acidity, free acidity compared to control. Significant reduction in lipid peroxidation and significant increase in catalase and nitrate proved their antioxidant property.

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PP2 - 69: ANTIBIOTIC RESISTANCE IN DEVELOPING COUNTRIES - PRESENT TRENDS AND FUTURE PROSPECTIVES

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Bacterial resistance to antimicrobial drugs is one of the most serious jeopardizes to global public health. The threat of antibiotic resistance is growing at an alarming pace, perhaps more rapidly in developing countries. In developing countries, we face peculiarities that go from antibiotic self-prescription to poor sanitary conditions, even at hospitals, that foster the threat of particular multi-resistant pathogens that are not common in developed countries and against which no new antibiotics are being investigated. Understanding the mechanisms of resistance is important in order to define better ways to keep existing agents useful for a little longer but also to help in the design of better antimicrobial agents that are not affected by the currently known, predicted, or unknown mechanisms of resistance. The challenge to controlling antimicrobial resistance in coming years is to put into practice recent policy and programmatic advances. Efforts to reduce disease burden through health interventions such as immunizations and improved socioeconomic conditions.

PP2 - 70: MULTIPARTICULATE DRUG DELIVERY SYSTEMS USING NATURAL POLYMERS - AN OVERVIEW

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Recently, dosage forms that can precisely control the release rates and target drugs to a specific body site have enormous impact in the formulation and development of novel drug delivery systems. In this era of modern science and technology, multiparticulates have been attractive and recognized drug delivery systems for the pharmaceutical industry. The future of multiparticulate drug delivery systems as novel drug delivery system is very promising, which can replace the existing market of conventional dosage form. Multiparticulate dosage form is a pharmaceutical formulation where the active substance is in the form of number of small independent subunits, generally referred to as pellets, spherical granules, spheroids, mini (or) micro tablets, beads, microspheres. The success of multiparticulate drug delivery systems depends on how well the polymer regulates the release of drug from the systems. Though a wide range of release retarding polymers are available, there is a continued need to develop new and more efficient release retarding polymers for sustained release. Recent trend towards the use of plant based and natural products demands the replacement of synthetic additives with natural ones. Many plant derived natural materials are studied for use in novel drug delivery systems; the use of natural polymers for pharmaceutical applications is attractive because they are economical, readily available, non-toxic and biodegradable. Hence multiparticulate drug delivery systems using natural polymers provide tremendous opportunities for developing new controlled & delayed release oral formulations and thus contributing to the future of pharmaceuticals.

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PP2 - 71: TUBERCULOSIS DISEASE IN HUMAN BEINGMANIDEEP.V^{1*}, ANIL KUMAR.V¹, LATHA¹¹Sri Krishnadevaraya University College of Pharmaceutical Sciences, Ananthapuram.

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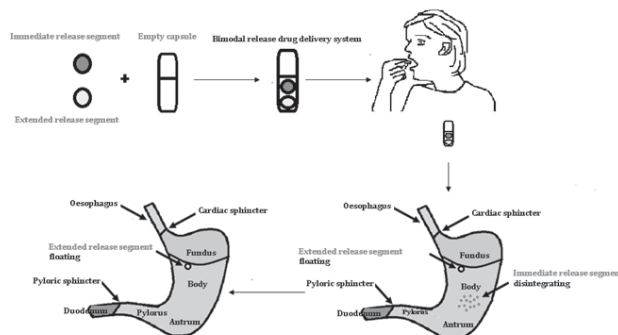
Worldwide, tuberculosis results in almost 2 million human deaths annually. It kills more young and middle-aged adults than any other infectious disease. If left untreated it causes its victims to lose weight and weaken. Many complex biological factors impact TB transmission and progression of disease. By examining the interrelation of these factors scientists have made important contributions towards the control of TB. Mycobacterium tuberculosis is the causative agent of tuberculosis (TB). It was discovered by Robert Koch for this he received Noble prize in physiology. Primarily a pathogen of the respiratory system, aerobic Mycobacterium tuberculosis complex (MTBC) infects the lungs via the inhalation of infected aerosol droplets generated by people with pulmonary disease through coughing. TB is the leading cause of death in HIV-infected individuals. Infection with HIV increases the risk of TB and also increases the risk of reactivating latent disease to over 20 times that in HIV-negative people. Though it is a communicable disease it can affect any part of the body, generally only active pulmonary TB has a risk of transmission from one person to another. Bacillus Calmette-Guérin (BCG), is the most widely used vaccine in the world still researches are going on this vaccine. Bedaquiline is prescribed for MDR-TB, Ethambutol stops TB cells this are some drugs still research are conducting throughout world. In India, National Institute for Research in Tuberculosis (NIRT) is present in Chennai conducting research on Tuberculosis.

PP2 - 72: BIMODAL GASTRORETENTIVE DRUG DELIVERY OF LAMOTRIGINE USING IMMEDIATE AND EXTENDED RELEASE TABLETS ENCAPSULATED IN HPMC CAPSULESRaja Sekhar Reddy Poonuru^{1*}, Chandrasekhara Rao Gonugunta¹¹St. Peter's Institute of Pharmaceutical Sciences, Hanamkonda, Andhra Pradesh, India.

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The objective of present investigation is to formulate and evaluate Bimodal Gastro Retentive Drug Delivery Systems (BMGRDDS) containing lamotrigine comprising immediate and extended release segments incorporated in HPMC capsules. Here the immediate release segment worked as loading dose and extended release segment as maintenance dose. The results of release studies of formulations FHM to FDM (HPMCAS) shown that as the percentage of polymer increased, the kinetics of release decreased. Formulation FDM

(HPMCAS) showed a lag time of one hour and then started releasing slowly up to 11 hours. Each and every formulation showed extended release patterns but the main aim here is lag time of one hour which is achieved only by FDM (HPMCAS) formulation which is further selected as best formulation for further studies.



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PP2 - 73: DEVELOPMENT OF NEW ANTI-MICROBIAL DRUGS - A DIFFICULT CHALLENGE FOR FUTUREVivek Keshri^{1*}

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Antimicrobial resistance is threatening the management of infections such as pneumonia, tuberculosis, malaria, and AIDS. In the past, resistance could be handled by development of new drugs active against resistant microbes. However, the pharmaceutical industry has reduced its research efforts in infections; genomics has not delivered the anticipated novel therapeutics; new regulatory requirements have increased costs; antibiotic use in common infections-eg: bronchitis and sinusitis-is questioned; and compared with other drugs, return on investments is lower for antimicrobials. To avoid a serious threat to public health, academia, biotechnology and pharmaceutical industry, regulators, and health care providers must find solutions to this problem. Academia should concentrate on technologies to unlock new drug targets, and industry on drug candidates. In addition, regulators and pharmaceutical companies should agree on new clinical-trial designs so that information on therapeutic efficacy is generated in fewer patients-eg, by studying pharmacodynamics of antimicrobials in patients with defined infections.

PP2 - 74: BIOMARKERS - AN OVERVIEW ON INFECTIOUS DISEASES

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A biomarker, or biological marker, are used to measure characteristic which may be used as an indicator of some biological state or condition. Biomarkers are often measured and evaluated to examine normal biological processes, pathogenic processes, or pharmacologic responses to a therapeutic intervention. Biomarkers for diagnosis and prognosis, as well as strategies for disease control and monitoring populations at higher risk, are continuous worldwide challenges for infectious diseases. Phage display and monoclonal antibody combinatorial libraries are important sources for biomarker discovery and for improved diagnostic strategies. Mimetic peptides were selected against polyclonal antibodies from patients with dengue fever, leprosy, and leishmaniasis as model diseases Biomarkers are used in many scientific fields. In medicine, a biomarker can be a traceable substance that is introduced into an organism as a means to examine organ function or other aspects of health. It can also be a substance whose detection indicates a particular disease state. More specifically, a biomarker indicates a change in expression or state of a protein that correlates with the risk or progression of a disease, or with the susceptibility of the disease to a given treatment. Biochemical biomarkers are often used in clinical trials, where they are derived from bodily fluids that are easily available to the early phase researchers. Biomarkers are used to indicate an exposure to or the effect of xenobiotics which are present in the environment and in organisms.

PP2 - 75: CURRENT TRENDS IN THE DEVELOPMENT OF ANTI - TUBERCULAR DRUGS

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Despite the introduction 40 years ago of inexpensive and effective first line 4-drugs regimen, tuberculosis (TB) continues to cause considerable morbidity and mortality worldwide. For the first time since 1960s, novel drugs and regimens for all forms of TB are emerging. Such regimens are likely to utilize both repurposed drugs and new chemical-entities.

This article covers current concepts and recent advances in TB drug discovery and development, including updates of ongoing TB treatment trials, newer clinical trial designs. Use of Bedaquilline and its combinations for treatment of

Multiple Drug resistance (MDR) TB is discussed. Also, the promising higher doses of Rifamycins which may be employed to shorten duration of therapy along with role of fluoroquinolones like Ofloxacin and Moxifloxacin in combination with rifapentine is discussed. With special reference to the combination PA-824, Pyrazinamide, Moxifloxacin (PAMZ) which is reported to have achieved 90% kill within 2 weeks is discussed. Role of new chemical entities like TMC-207, SQ-109 which are in different phases of clinical trials are described.

These new agents have shown potential to reduce treatment duration, an acceptable tolerability profile and active against MDR/Extensive Drug resistance (XDR) TB/latent TB.

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PP2 - 76: NANOTECHNOLOGY IN HIV - A REVIEW

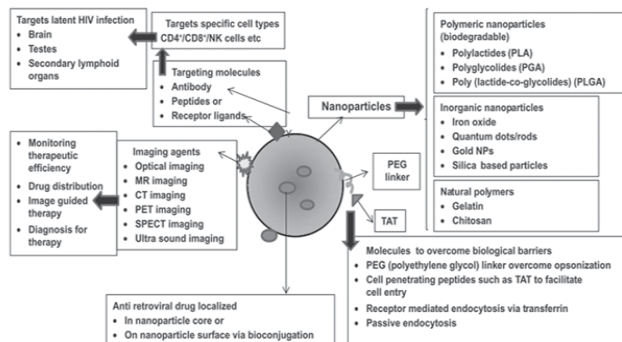
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HIV/AIDS still remains a dreadful global pandemic affecting 65 million people and claiming 25 million lives until Jan 2006 since it was first recognized in 1983. The heart of HIV therapeutics is HAART, which includes 3 or more antiretroviral drugs given simultaneously. Although it improves the survival of affected individuals, therapeutic failure over a period of time is the major concern even now. Poor patient compliance and development of multi-drug resistant strains, presence of latent reservoir sites that are inaccessible to the existing drug delivery methods and reactivation of the same are said to be the important roadblocks in the maintenance of effectiveness of HAART.

A fine blend of technology and medicine has given rise to nanotechnology, an innovative approach to drug delivery system which is making inroads not only in to HIV therapeutics but also in its prevention and diagnosis. It can enhance the half lives of the drugs maintaining their therapeutic plasma concentration for prolonged periods of time thus improving compliance. Targeted delivery of antiretroviral drugs to CD4+ T cells, macrophages, brain and other organ systems can ensure that the drug reaches latent reservoirs. Nanolithography is 1000 fold more sensitive than conventional ELISA in detecting diagnostic HIV-1 p24 levels. Nanotechnology based vaccines can target specific immune cells and elicit a sustained HIV-specific antibody and cellular immune response. It can also add a new dimension to the still nascent gene immunotherapy for HIV. At such exciting times, nanotechnology research is beginning to gain momentum.

Schematic of the basic features of a multimodal nanoparticle complex



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PP2 - 77: EFFECT OF NATURAL PENETRATION ENHANCER ON TOPICAL DELIVERY OF CORTICOSTEROI

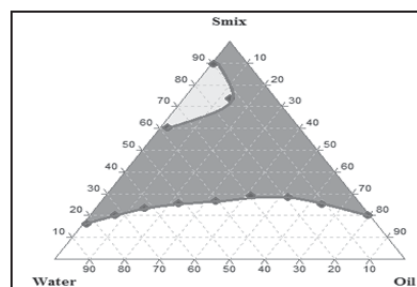
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Topical corticosteroids are generally preferred for treatment of dermatitis and itchy inflammation of the skin. Hydrocortisone acetate is a topical corticosteroid used in skin infection but may lead to systemic side effects. The main objective of the research work was to retain drug in skin thus reducing systemic side effects by incorporating drug in microemulsions. This approach would not only retain drug in skin but would also help in reducing the frequency of application of formulation. Microemulsions were prepared using natural penetration enhancer (eucalyptus oil) as oil phase, Tween 80 as surfactant and ethanol as co-surfactant in varying ratios. Based on the emulsification zones formed in pseudo ternary phase diagrams, 2-10% oil concentration and 50-60% surfactant mixture (Tween 80: ethanol) of 1:3 ratio was selected. Effect of penetration enhancer and surfactant mixture on permeation of drug through stratum corneum was determined using RSM and contour plots. Freeze thaw cycling and centrifugation studies were carried out to confirm the stability of the optimized microemulsions.

Ex vivo studies were performed for optimized formulation incorporated into gel base and retention of drug in epidermis and dermis was determined. In vitro diffusion studies, ex vivo studies and anti-inflammatory activity of optimized formulation using eucalyptus oil effectively treats epidermis infections and dermal infections when compared to drug alone. The release of drug into systemic circulation from microemulsion was also minimized thus would help in reducing the systemic side effects.



Pseudo-ternary phase diagrams of eucalyptus oil (Tween 80: ethanol) of 1:3 ratio

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PP2 - 78: TARGET - BASED ANTI MICRO DRUG DISCOVERY

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The continued increase in antibiotic resistance among bacterial pathogens, coupled with a decrease in infectious disease research among pharmaceutical companies, has escalated the need for novel and effective antibacterial chemotherapies. While current agents have emerged almost exclusively from whole-cell screening of natural products and small molecules that cause microbial death, recent advances in target identification and assay development have resulted in a flood of target-driven drug discovery methods. Whether genome-based methodologies will yield new classes of agents that conventional methods have been unable to is yet to be seen. At the end of the day, perhaps a synergy between old and new approaches will harvest the next generation of antibacterial treatments.

PP2 - 79: ENHANCEMENT OF SOLUBILITY OF POORLY WATER SOLUBLE DRUG (ALBENDAZOLE) BY USING PHYSICAL MIXTURE AND KNEADING METHOD

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Albendazole (ALB) is a poorly water soluble drug exhibiting poor dissolution pattern. The purpose of this work was to increase dissolution rate of Albendazole by formation of solid dispersion by using water soluble carriers. Solid dispersions of Albendazole were prepared using different ratios of PVPK30 and β -cyclodextrin by physical mixture and kneading method. The physical mixtures were prepared by mixing appropriate amounts of drug and carrier in different mass ratio in mortar and pestle. In kneading method, minimum quantity of water-ethanol mixture was used for dispersion drug and carrier. Formulations were characterized by DSC and FTIR. A comparative evaluation of the dissolution profiles of ALB, Physical mixture, kneading method and marketed formulations were carried out. The prepared formulations showed marked improvement in solubility and dissolution rate of drug. Formulation F2 (1:2:2 ALB: PVPK30: BCD) gives fast dissolution rate 93.32% of drug as compared to other formulations and marketed product. The prepared solid dispersion of the ALB with PVPK30 and β -cyclodextrin can improve the solubility of and dissolution rate of the drug.

PP2 - 80: HOPELESS END TO ENDLESS HOPE RECENT ADVANCES IN ANTIRETROVIRAL THERAPY FOR TREATMENT OF HIV-1 INFECTIONS

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HIV started killing people it seemed hopeless end to the suffering patients but sustained research world over resulted in endless hope. The objective of this study is to analyze the conventional anti-retroviral combinations in comparison with the latest regimens like "Stribild" which combines four HIV drugs and is safe and effective for treatment of hiv-1 infection (Quad Pill).

Collection of information from Journals, Magazines, and websites was done. The data obtained was analyzed.

Stribild, once-a-day multi-pill was approved by the FDA in August 2012. The study data shows that Stribild suppresses HIV viral load as effectively as two antiretroviral regimens (atrilpa and atazanavir). The medication includes tenofovir DF and emtricitabine, the novel boosting agent cobicistat (an inactivator of cytochrome P450 isoenzyme CYP3A without anti-HIV activity) and a next generation integrase inhibitor

elvitegravir. An integrated analysis of data demonstrated high rates of virologic suppression comparable to Atripla and atazanavir/ritonavir + tenofovir/emtricitabine, along with potential to overcome toxicities, such as rash & neuropsychiatric symptoms seen in Atripla and hyperlipidemia & less bilirubin elevation than those using boosted atazanavir. After 96 weeks of treatment, 84 percent of the participants taking Stribild achieved an undetectable viral load, compared with 82 percent experiencing full viral suppression in both of the other two therapy groups.

Patient adherence to medication is vital, especially for patients with HIV, where missed doses can quickly lead to the virus becoming resistant to medication. The achievement of a one-a-day pill is advancement in Anti-retroviral therapy for patients to stick to their medication, improving the effects of their treatment.



PP3 - 1: A CASE REPORT ON "DRESS SYNDROME" ASSOCIATED WITH AMOXICILLIN-CLAVULINIC ACID IN A DENGUE PATIENT

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Drug Reaction with Eosinophilia and Systemic Symptom (DRESS) is a potentially life-threatening syndrome including severe eruption, fever, hypereosinophilia, and internal organ involvement. The main culprit drugs are carbamazepine and allopurinol, even though 50 drugs can induce DRESS.

A 42 year old male patient was admitted in our tertiary care hospital for the occurrence of multiple itchy rashes all over the body since 8 days and fever. The patient has fever 15 days back and has diagnosed with dengue fever, newly detected diabetes and discharged after 8 days after treating with a course of drugs. He has been treated with T. Amoxicillin+clavulanic acid 625mg for 1 week. His physical examination showed multiple skin coloured to erythematous nodules & papules present all over the body and swelling of hands till wrist joint. The laboratory investigations showed an abnormal increase in the eosinophil count (which is a characteristic feature of DRESS), and the WBC count, however an immediate treatment with corticosteroids and antibiotics along with supportive therapy improved the course of the disorder. This case report addresses the fact that severe idiosyncratic reactions like DRESS can occur, which can be potentially dangerous and life threatening. The case report proves that the life threatening reactions like DRESS can occur, which has an internal organ involvement, even with the drugs which has not got a great evidence. So it is a responsibility of a clinician and clinical pharmacist to be alert of such reactions and provide a better patient care.

The American Journal of Medicine, Vol 124, No 7, July 2011, pg no 589. Journal of antimicrobial chemotherapy, Vol 69 Issue 3 march 2014, pg no 663-665.

PP3 - 2: PREVENTION OF MOTHER TO CHILD TRANSMISSION OF HIV

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Pregnancy is a special situation where we can intervene and prevent risk of HIV transmission from mother to child, transmission rate is 14 to 22% if the child is not breast fed and 25 to 48% if the child is breast fed. Global community has set a goal that elimination of mother to child transmission of HIV by 2015.

The scaling up of PMTCT (prevention of mother to child transmission) services has prevented more than 8,00,000 children from acquiring HIV infection between 2005 to 2012 and transmission rate decline from 45 to 17%.

The MTCT rates with various ARV regimens used in various trials are as follows.

In a trials ZDV(Zudovudine) given to pregnant women and infants were kept on formula feeding. In this trial mother to child transmission (MTCT) rate at 18 months is 9.4%, with the same drug and breast feeding MTCT rate at 6months is 18% and at 15 months is 22%.

In a trial done in south Africa with ZDV+ 3TC(lamivudine) and infant allowed to breastfed. MTCT rate at 18months is 14.9%

Single dose NVP(navirapine) trial, NVP given during intrapartum only here MTCT rate is 12.3%at 8weeks.

In triple drug regimen trials – ZDV+3TC+sdNVP regimen MTCT rate is 9.4% at 6 months. Where as with ZDV+3TC+ABC (abacavir) regimen MTCT rate 2% at 6months.

ZDV+3TC+ LPV(lopinavir) given during antenatal period, sdNVP intrapartum and ZDV for infant for 4 weeks, infant allowed to breastfed. MTCT rate is 0.4%.

2013 WHO guidelines to PMTCT are:

All pregnant women are eligible for ART with TDF (tinofovir)+3TC+EFV(Efavirenz) available as single tablet dose once daily.

HIV+ve children less than 5years are eligible for ART with NVP/EFV+2NRTI or ABC+3TC+EFV or ABC+3TC+LPV.

PP3 - 3: EFFECTIVENESS OF LIPID BASED NANOGEL FOR CURATIVE TREATMENT OF TINEA PEDIS.

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Tineapedis an acute to chronic form usually caused by *Trichophyton mentagrophytes, var. interdigitale*, and *T.rubrum* which lead to appearance of intensely pruritic, sometimes painful, erythematous vesicles/bullae between the toes or on the soles. The chronic form requires continuous treatment and presence of sufficient amount of drug at the aqueous bed of skin. Marketed formulations fail to meet the need which leads to persistent infection and longer periods of treatment. Hence the present formulation of is aimed to increase drug permeation through skin, and thus its efficacy. Drug permeation through skin from topical nanogel (NG) depends on the concentration of the oil phase, aqueous phase, surfactant and co surfactant. Hence it is important in optimizing the composition of NG. NE formulation was optimized by pseudo ternary phase diagram. The optimized formulation was found to pass thermodynamic stability test. *Ex-vivo* skin permeation test of NG showed skin

permeability of approximately 53% of the drug, while the marketed cream (MC) and marketed gel (MG) showed only 39 and 47% respectively. The amount of drug retained in the skin by the NG formulation was found to be approximately 31% while for the MC it was found to be only 20%. The NG formulation was found to treat the infected rat skin within 8 days of treatment while the MC & MG took about 14 and 11 days respectively. Thus the NG formulation effectively permeates to the layers of the skin and treats the fungal infection more efficiently than the MC.

PP3 - 4: DO BACTERIAL SUSCEPTIBILITY PATTERN DIFFERS IN FEBRILE NEUTROPENIC PATIENTS WITH HEMATOPOIETIC STEM CELL TRANSPLANT? A RETROSPECTIVE COMPARATIVE STUDY

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To compare the susceptibility patterns of bacterial infections in febrile neutropenic patients with hematopoietic stem cell transplant and to develop a basic tool for prescribing empirical therapy of antibiotics.

The proposed study was led by retrospective observational study configuration in duration of 2 months where the bacterial susceptibility patterns in febrile neutropenic patients who are admitted for the past one year of duration and the obtained information was compared to the susceptibility profiles of organisms from the infectious diseases database iPad Version 2.0.1 developed by Lexicomp®. Empiric antibiotic therapy was based on the IDSA (Infectious Disease Society of America) guidelines-2010 update.

Eighteen febrile patients with neutropenia. From 150 culture reports there were only three organisms identified. Among them *acinetobacter* was predominant in blood samples, *Escherichia coli* in urine samples and *klebsiella pneumoniae* in pus samples. The susceptibility patterns were studied as the isolated *acinetobacter* organism's shows sensitivity only towards colistin and tigecycline where the treatment recommendations are penicillins, Extended spectrum as first choice. *Escherichia coli* shows sensitivity towards imipenem, meropenem, cefoperazone/sulbactam, amikcin, ciprofloxacin, tigecycline, colistin and trimethoprim/sulfamethoxazole apart where gentamicin, tobramycin, cefepime shows partial sensitivity where the treatment recommendations suggests cephalosporins, ampicillin, sulfamethoxazole and trimethoprim as first choice. *Klebsiella pneumoniae* isolates from pus samples shows sensitivity only

towards gentamicin and colistin where the treatment recommendations suggests cephalosporins 1, 2 & 3rd generation drugs.

Resistance to antibiotics seems to be on the rise and a critical review of the antibiotic susceptibility patterns is necessary to tailor antibiotics usage according to the local resistance patterns.

PP3 - 5: STEM CELLS ARE USED TO TREAT THE INFECTIOUS DISEASES

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Stem cell therapy has been the subject of great optimism in the treatment of many conditions. Discoveries of new procurement methods for various stem cells has allowed the technology and research to progress to a stage where real therapeutic alternatives are potentially viable. The first step in the advancement of personalised medicine came through the usage of embryonic stem cells, progress that was met with some ethical scrutiny due to the requirement of human embryos. The ability to procure stem cells from a variety of sources meant that research continued with a great degree of anticipation surrounding the use of stem cell therapy, a true therapeutic alternative in the pursuit of personalised medicine.

Stem cells may have a place in almost all branches of medicine. Stem cells are unspecialized cells found in embryos (blastocyst stage) and in various tissues of adults. They divide mitotically to self renew and can differentiate into different types of cells in appropriate conditions for specific functions. They serve as cell reservoirs for purpose of repair of damaged tissues of the body. Recent research suggests that stem cells especially mesenchymal stem cells have immuno-modulatory characteristics. There is growing understanding among scientific community that many of infectious diseases may be cured or controlled using stem cells.

PP3 - 6 IN-SILICO MOLECULAR MODELING OF NEURAMINIDASE INHIBITORS AND DOCKING STUDIES OF ANTI-FLU AGENTS

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Neuraminidase is an enzyme present on the surface of influenza viruses, which infects human beings by cleaving the sialic acid (N-acetyl neuraminic acid) receptors present on red blood cells. It breaks the alpha-ketosidic linkage

between terminal sialic acid and adjacent saccharide. This enables the virus to penetrate mucosal secretions that are rich in sialic acid, and affects the respiratory epithelium leading to Influenza (flu). Oseltamivir and Zanamivir are the new anti-virals which are invented by changing the functional group on older drugs to reduce the drug resistance and change their reactivity with influenza virus. The primary goal of this study was to investigate the detail interactions of some selected therapeutically useful anti-flu agents. In-silico docking studies were carried out using Glide molecular modeling software. The RMSD between the predicted conformation and the observed X-ray crystallographic conformation of compound equaled 0.8 Å by Glide indicates the reliability of the docking method. A series of anti-flu agents belonging to the class of Pyrrolidine, Zanamivir analogues, p-aminosalicylic acid derivatives, acyl-thiourea derivatives, thiadiazolo [2,3-a] pyrimidine derivatives, guanidinobenzoic acid inhibitors and thiazolidine-4-carboxylic acid derivatives were docked in the binding pocket in core pocket of neuraminidase PDB ID 2HU4. Out of these the pyrrolidines and zanamivir derivatives have shown highest docking scores and from these studies we reveal that modification of such type of compounds will lead to discovery of potent compounds.

Liu Y, Jing F, Xu Y, Xie Y, Shi F, Fang H, Li M, Xu W. (2011). Design, synthesis and biological activity of thiazolidine-4-carboxylic acid derivatives as novel influenza neuraminidase inhibitors. *Bioorganic & medicinal chemistry*, 19(7):2342-8.

Sun C, Zhang X, Huang H, Zhou P. (2006). Synthesis and evaluation of a new series of substituted acyl(thio)urea and thiadiazolo [2,3-a] pyrimidine derivatives as potent inhibitors of influenza virus neuraminidase. *Bioorganic & medicinal chemistry*, 14(24):8574-81.

PP3 - 7: HIV VACCINES - ADAPTIVE IMMUNITY

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Bringing the cellular and humoral factions together to work on a holistic approach to HIV vaccination.

Historically, vaccines have been our best weapon against the world's deadliest infectious diseases, including smallpox, polio, measles, and yellow fever. Unfortunately, we do not have a vaccine for HIV. The virus has unique ways of evading the immune system, and the human body seems incapable of mounting an effective immune response against it. As a result, scientists do not have a clear picture of what is needed to provide protection against HIV. Finding a safe, effective, and durable HIV vaccine remains a top priority.

We reviewed 57 articles from different online journals like cochrane reviews, WHO articles, articles from National institute of allergy and infectious diseases etc.

The HIV vaccine field has undergone dramatic changes over the last several years: from the failure of the promising MRKAd5-HIV-1 vaccine to provide any protection from acquisition or disease progression to the first demonstration that an HIV vaccine can actually show efficacy with a regimen that was predicted to fail in RV144. Following these developments, the field has turned from T-cell based vaccines favored for the late nineties/early 2000s back to antibody-inducing strategies, although with a reduced focus on broadly neutralizing antibodies since these were not detected in RV144 vaccinees.

The results have confirmed the safety of the vaccines, and have provided important scientific information to develop newer generations of vaccines with better ability to induce anti-HIV specific immune responses.

PP3 - 8: ANTIBIOTICS SURVEILLANCE PROGRAM: SURVEY ON THE RESISTANCE PATTERNS OF MICROORGANISMS TO ANTIBIOTIC IN SEPTICEMIA

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Among the remarkable discoveries of 20th century most important was the discovery of antimicrobial agents. By the introduction of antimicrobial agent, resistance has increased steadily across all classes of antibiotics. Septicemia is one of the most severe invasive bacterial infection and a major cause of morbidity and mortality in the world. The treatment of septicemia is becoming more complicated due to increasing antibiotic resistance. To conduct a surveillance study on antibiotic resistance in patient with septicemia using antibiogram report. To determine the sensitivity and resistance patterns of microorganisms to the antibiotic.

To understand the present prescribing pattern of antibiotics in sepsis in the hospital. To aid in optimum drug therapy by promoting rational use of antibiotic. The study was conducted among 119 patients during the time period of 6 months. Outpatient and Patients receiving antibiotic without obtaining antibiogram report were excluded. Patient data collected from prescriptions, laboratory tests, and patient interviews and patient medical history. This study conveys that the major reason for antibiotic resistance is that the

empirical therapies will be in effective and the inappropriate use of antibiotics due to lack of uniform polices.

Hawkes, Clifton A. Antibiotic resistance: A clinician's perspective. Military medicine 2000.

PP3 - 9: NEW RESTORATIVE APPROACHES BY USING MICROORGANISM-DERIVED COMPOUNDS

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The role of natural products as a source for remedies has been recognized since ancient times. Despite major scientific and technological progress in combinatorial chemistry, drugs derived from natural product still make an enormous contribution to drug discovery today. Nature is an attractive source of new therapeutic candidate compounds since a tremendous chemical diversity is found in millions of species of plants, animals, marine organisms and microorganisms. Microorganisms such as bacteria and fungi have been invaluable to discover drugs and lead compounds. These microorganisms produce a large variety of antimicrobial agents which have evolved to give their hosts an advantage over their competitors in the microbiological world. Most of the drugs derived from microorganisms are used in antibacterial therapy, some microbial metabolites have provided lead compounds in other fields of medicine. The main objective of this review is to analyze the current uses and the future applications in therapeutic treatments of microorganism-derived products (MdPs) and discuss the results obtained in the some clinical trials.

PP3 - 10: PREDICTIVE SYSTEMS BIOLOGY APPROACH TO BROAD-SPECTRUM, HOST-DIRECTED DRUG TARGET DISCOVERY IN INFECTIOUS DISEASES

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Host directed drug target discovery system of broad spectrum antibiotics for the treatment of various infectious diseases along with the aid of insilico methods is one of the promising approach. Knowledge of immune system and host-pathogen pathways can inform development of targeted therapies and molecular diagnostics based on a mechanistic understanding of disease pathogenesis and the host response. We investigated the feasibility of rapid target discovery for novel broad-spectrum molecular therapeutics through comprehensive systems biology modeling and analysis of pathogen and host-response pathways and

mechanisms. We developed a system to identify and prioritize candidate host targets based on strength of mechanistic evidence characterizing the role of the target in pathogenesis and tractability that include optimal delivery of new indications through potential repurposing of existing compounds or therapeutics. Empirical validation of predicted targets in cellular and mouse model systems documented an effective target prediction rate of 34%, suggesting that such computational discovery approaches should be part of target discovery efforts in operational clinical or biodefense research initiatives. We describe our target discovery methodology, technical implementation, and experimental results. Our work demonstrates the potential for in silico pathway models to enable rapid, systematic identification and prioritization of novel targets against existing or emerging biological threats, thus accelerating drug discovery and medical countermeasures research.

PP3 - 11: EFFECTIVENESS OF KNOWLEDGE BASED APPROACH FOR THE IMPROVEMENT OF PATIENT ADHERENCE AND REDUCING THE SEVERITY OF ADVERSE DRUG REACTION IN ANTI TUBERCULAR THERAPY

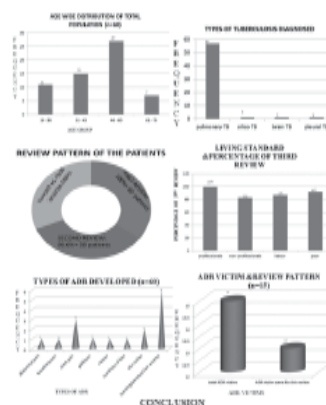
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Tuberculosis(TB), a leading communicable disease caused by Mycobacterium tuberculosis. Globally, 8million new cases of TB occur annually. Proper knowledge about tuberculosis contributes its control.

To study the effectiveness of knowledge based approach for the improvement of patient adherence and reducing the severity of adverse drug reaction in anti-tubercular therapy A prospective observational study was conducted in 60 TB patients aged between 16 to 75 years over a period of 6 months. Patients referred to nearest clinic, patients with multi-drug resistant TB, psychologically ill patients, those with co-morbidities were excluded. Self-prepared data entry forms were used. Patients were informed to check LFT, once every 15days for 2 months and come for 3 reviews during the phases of therapy.



Tuberculosis patients were counselled by clinical pharmacist. During first review 100% patients, second review 96.60% patients and third review 90% patients came. Occurrence of adverse drug reactions and long distance to hospital influenced the adherence of patients.

Pharmacist can have a vital role in adherence and reducing the incidence of adverse drug reaction of tubercular drugs. Pamphlet and new-fangled call system can be used for the better patient therapeutic outcome.

PP3 - 12: DRUG-RESISTANT LEPROSY: MONITORING AND CURRENT STATUS

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Leprosy is a chronic granulomatous disease characterised by inflammatory nodules (granulomas) in the skin and nerves over time and is caused by *Mycobacterium leprae*. Leprosy control depends solely on case detection and treatment with multi-drug therapy (MDT). This strategy is based on the principle that identifying and treating chronic infectious diseases with combinations of effective antibiotics limits the emergence and spread of new or existing antibiotic resistant pathogens. According to the World Health Organization (WHO), MDT formulated for leprosy has been effective at reducing both the prevalence and incidence of leprosy globally. According to official reports from 130 countries and territories, the global registered prevalence of leprosy at the beginning of 2013 was 192,246 cases, while the number of new cases detected during 2012 was 228,474.5 The most important indicator for the effectiveness of a chemotherapeutic regimen is the rate of relapse following successful completion of the scheduled course of treatment. Information from a number of leprosy control programmes suggests that the relapse rate is very low for both paucibacillary (PB) leprosy (0.1% per year) and multibacillary (MB) leprosy (0.06% per year). Literature from tuberculosis strongly suggest that relapse cases are at risk for drug resistance and can undermine existing control measures. Therefore establishing the success of a strategy like MDT for leprosy control requires thorough evaluation of treatment failures, including drug susceptibility testing. Therefore, surveillance of drug resistance globally is a key factor in monitoring MDT effectiveness and preventing the spread of drug resistance.

PP3 - 13: DRUG-DRUG INTERACTION (DDI) ASSESSMENT TO GUIDE OPTIMAL USE OF HEPATITIS C (HCV) ANTIVIRALS WITH IMMUNOSUPPRESSANTS

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Chronic hepatitis C viral (HCV) infection is one of the leading causes of liver transplantation (LTx). The newly approved, direct-acting antiviral protease inhibitors, telaprevir (TVR) and boceprevir (BOC) show promise with respect to attaining sustained virological response. However, given that post-LTx recurrence of HCV infections is nearly universal, concomitant administration of TVR and BOC with immunosuppressant drugs such as cyclosporine (CsA), tacrolimus (TAC) and everolimus (EVR) may be needed. A major therapeutic problem with such concomitant administration is the increased risk of toxicity due to potential drug-drug interactions (DDI) between these two classes of drugs owing to their ability to modulate the CYP3A4 pathway. In this research work we present a modeling strategy to aid in the identification of right dose for immunosuppressants and TVR such that DDI is minimal without affecting efficacy or safety established with individual therapies.

Physiology based pharmacokinetic models (PBPK) for CsA, TAC, EVR and TVR were built using Simcyp (v12.0). The input parameters were obtained from in silico predictions, in-house data or literature. The PBPK models for all immunosuppressants and TVR as CYP3A4 substrate and/ or inhibitor were validated using clinical data. Polymorphisms in CYP3A5 mediated tacrolimus metabolism leading to varying exposure in African American and Caucasian populations were introduced in the PBPK model for tacrolimus and also validated against clinical data.

The model predicted PK profiles for all drugs were in good agreement with the observed clinical data. Simulations were run using different dose and dosing regimen for each immunosuppressant with TVR such that steady-state C_{min} for each immunosuppressant is achieved.

This prospective modeling approach is being applied to dose and dosing regimen prediction for combination use of these immunosuppressants with other HCV antivirals and has the potential to impact the choice of immunosuppressant that can be used.

Table 1-1 Observed and model predicted PK parameters for CsA, TAC, EVR and TVR

	Design		Cmax (ng/mL)	AUC (ng·hr/mL)	Cmin (ng/mL)	
TVR	TVR 375 mg SD	Observed	786 ± 558	5842 ± 4648		
		Predicted	582	10450		
	TVR 750 mg TID (SS exposure)	Observed	3167 ± 778	20470 ± 5317	2030 ± 930	
		Predicted	2944	22610	2200	
	750 mg TID + 2mg midazolam	Observed	22.3 ± 6.5	369 ± 116	-	
		Predicted	19.72	199.3	-	
CsA	Pre-Ltx	Observed	2670	14541 ± 5200	—	
		Predicted	3677 ± 3850	20600 ± 13814	—	
	Post-Ltx	Observed	2130	8123 ± 2869	—	
		Predicted	1990 ± 1008	7588 ± 2588	—	
	750 mg TID TVR + 10 mg CsA SD	Observed	62.2 ± 18.9	853 ± 218	—	
		Predicted	191 ± 222	1626 ± 1012	—	
TAC	TAC 0.04 mg/kg + ketoconazole 200 mg QD steady state	Observed	—	284 ± 63.4	—	
		Predicted	31 ± 51	235 ± 325	—	
	Tac 5 mg PO in African American HV	Observed	20.8 (14.9-32.2)	158 (109-241)	—	
		Predicted	14.2 (8.3-11.6)	105 (76-97)	—	
	0.1 mg/kg BID steady state	Observed	—	—	5-20	
		Predicted	31 ± 27	222 ± 185	5-20	
	750 mg TVR + 0.5 mg TAC	Observed	8.7 ± 3.2	1310 ± 866	—	
		Predicted	*15.6 (1.58-131)	543 (97.3-2691)	—	
	EVR	6 mg QD steady state	Observed	43 ± 25	367 ± 177	—
			Predicted	73.2 ± 24	342 ± 209	—
EVR + Ketoconazole		Observed	59 ± 13	1324 ± 232	—	
		Predicted	35.3 ± 11	879 ± 317	—	
750 mg TID TVR + 0.75 mg BID EVR		Predicted	42.9 ± 17.6	392 ± 193	30-32	

*: Median (Mean ± SD). The model predicted a large variability in TAC PK potentially due to involvement of CYP3A5 in TAC clearance and associated polymorphisms in CYP3A5

Table 2: Cmin for immunosuppressants and TVR when co-administered following different doses

<u>Treatment</u>	<u>CsA Cmin (ng/ml)</u>	<u>TVR Cmin (ng/mL)</u>
TPV 750 mg TID + CsA 0.10 – 0.20 mg/kg BID	70 – 100	2790
TPV 750 mg TID + CsA 0.15 – 0.20 mg/kg BID	100-150	2790
TPV 750 mg TID + CsA 0.20 - 0.35 mg/kg BID	150-200	2790
Treatment (Caucasian patients)	TAC Cmin (ng/ml)	TVR Cmin (ng/mL)
TPV 750 mg TID + TAC 0.5 mg weekly	5-6	3000
TPV 750 mg TID + TAC 1 mg weekly	10-12	3000
TPV 750 mg TID + TAC 1.5 mg weekly	18-20	3000
Treatment (African American patients)	TAC Cmin (ng/ml)	TVR Cmin (ng/mL)
TPV 750 mg TID + TAC 1 mg weekly	6-7	3000
TPV 750 mg TID + TAC 2 mg weekly	10-12	3000
TPV 750 mg TID + TAC 3 mg weekly	18-20	3000

<u>Treatment</u>	<u>EVR Cmin (ng/mL)</u>	<u>CsA Cmin (ng/mL)</u>	<u>TVR Cmin (ng/mL)</u>
EVR 0.5 mg/72 h + CsA 0.1 mg/kg BID + TVR 750 mg TID	3.28 (3.57 ± 2.21)	55.8 (80.9 ± 81.1)	2730 (2970 ± 1140)
EVR 0.5 mg/72 h + CsA 0.2 mg/kg BID + TVR 750 mg TID	3.40 (3.69 ± 2.29)	112 (162 ± 162)	2730 (2970 ± 1140)
EVR 0.75 mg/72 h + CsA 0.1 mg/kg BID + TVR 750 mg TID	4.91 (5.36 ± 3.32)	55.8 (80.9 ± 81.1)	2730 (2970 ± 1140)
EVR 0.75 mg/72 h + CsA 0.2 mg/kg BID + TVR 750 mg TID	5.10 (5.53 ± 3.44)	112 (162 ± 162)	2730 (2970 ± 1140)

<u>Treatment</u>	<u>EVR Cmin (ng/mL)</u>	<u>TAC Cmin (ng/mL)</u>	<u>TVR Cmin (ng/mL)</u>
Caucasians			
EVR 0.25 mg QD + TAC 0.5 mg weekly + TVR 750 mg TID	3-8	5-6	3000
EVR 0.25 mg QD + TAC 1.0 mg weekly + TVR 750 mg TID	3-8	10-12	3000
EVR 0.25 mg QD + TAC 1.5 mg weekly + TVR 750 mg TID	3-8	18-20	3000
African-Americans			
EVR 0.25 mg QD + TAC 1.0 mg weekly + TVR 750 mg TID	3-8	6-7	3000
EVR 0.25 mg QD + TAC 2.0 mg weekly + TVR 750 mg TID	3-8	10-12	3000
EVR 0.25 mg QD + TAC 3.0 mg weekly + TVR 750 mg TID	3-8	18-20	3000

PP3 - 14: PHARMACOKINETIC AND/OR PHARMACODYNAMIC MODELING CHALLENGES IN THE DEVELOPMENT OF ANTI-TUBERCULOSIS (TB) DRUGS

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Currently used pharmacokinetic pharmacodynamic(PK/PD) models have limitation in prediction of clinical outcomes. The modeling of tuberculosis based on in vitro systems including static, dynamic models have inherent challenges. The preclinical models have significant limitation in terms of mimicking the resistance pattern observed in patients. It remains a challenge to predict the steady state pharmacokinetics (PK) of these drugs due to complex disease biology impacting drug metabolism.

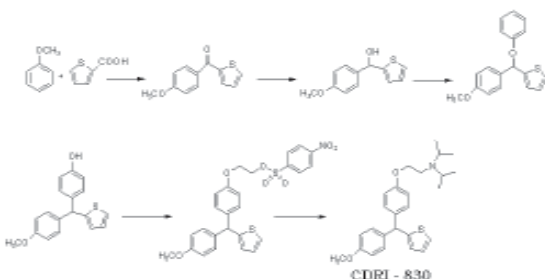
In order to predict the clinical outcome effectively – it is necessary to build three elements in the model – population PK, disease progression, PK and PD modules. The population PK module must predict the plasma concentration time profile with reasonable accuracy for a given therapy following long-term administration. It must also account for the PK and PD interaction due to concomitant administration of other drugs. The disease progression model must predict the quantum of resistant strain versus susceptible strain. Since there exists a complexity due to differential kill kinetics, the early bactericidal activity may not correlate well the clinical outcome calculated based on sputum culture conversion (SCC). Moreover it is also necessary to consider a cross talk between all components of model and the change to second line of treatment resulting from altered bacterial load. Model based on these concepts – which considered the intra- and inter-individual variability may provide better prediction of clinical outcome.

This work provides a comprehensive review of existing PK-PD models with their limitations and a modeling approach for selection of appropriate dose and dosing regimen for strategic combination of antitubercular drugs.

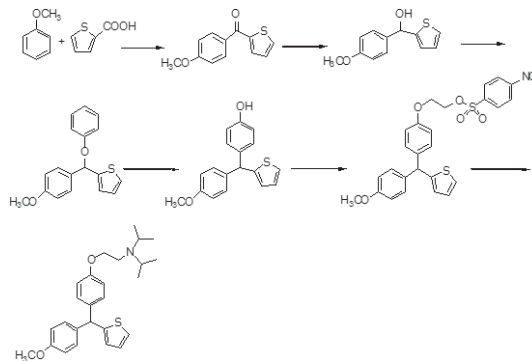
PP3 - 15: SYNTHESIS OF CDRI-830 COMPOUND (ANTITUBERCULAR AGENTS), VIA ETHER REARRANGEMENT.

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Thiophene containing compounds are well known to exhibit various biological activities such as anti-inflammatory agent, anti-HIV PR inhibitors and anti breast cancer agent. Wear synthesized anew route via ether rearrangement. Several amino alcohol derivatives such as ethambutol are well known to have anti tubercular activity.



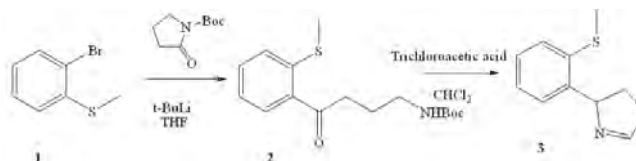
PP3 - 16: A SIMPLE AND EFFICIENT SYNTHESIS OF 2-(2-(METHYLTHIO)PHENYL)-3,4-DIHYDRO-2H-PYRROLE

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A simple and efficient synthesis of a simple and efficient synthesis of 2-(2-(methylthio)phenyl)-3,4-dihydro-2H-pyrrole is carried out using trichloroacetic acid from *tert*-butyl (4-(2-(methylthio)phenyl)-4-oxobutyl)carbamate (**2**). The structures of the compounds are confirmed by ¹H NMR and LC Mass analyses.



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PP3 - 17: DEVELOPMENT OF ANTIMYCOBACTERIAL TETRAHYDROTHIENO [2,3-C] PYRIDINE-3-CARBOXAMIDES AND HEXAHYDROCYCLOOCTA [B] THIOPHENE-3-CARBOXAMIDES: MOLECULAR MODIFICATION FROM KNOWN ANTIMYCOBACTERIAL LEAD

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Twenty derivatives of 2,6-disubstituted 4,5,6,7-tetrahydrothieno [2,3-c]pyridine-3-carboxamide and ten of 2-substituted 4,5,6,7,8,9-hexahydrocycloocta[b]thiophene-3-carboxamide were synthesized by molecular modification of a known antimycobacterial molecule. Compounds were evaluated *in vitro* against *Mycobacterium tuberculosis* (MTB), and cytotoxicity against RAW 264.7 cell line. Among the compounds, 2-(4-phenoxybenzamido)-4,5,6,7,8,9-hexahydrocycloocta[b]thiophene-3-carboxamide (**26**) was found to be the most active compound against MTB with MIC of 3.70 μ M and was more potent than Ethambutol (MIC of 7.64 μ M), Ciprofloxacin (MIC of 9.41 μ M) and standard lead compound SID 92097880 (MIC of 9,15 μ M). Compound 26 also showed MTB MIC of 1.23 μ M in the presence of an efflux pump inhibitor Verapamil, and showed no cytotoxicity at 50 μ M.

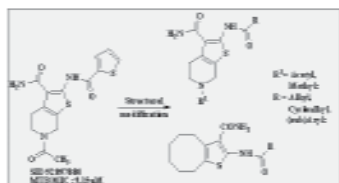


Figure 1: Structural modification of lead Compound

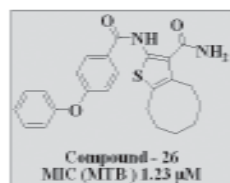


Figure 2: Most active Compound

PP3 - 18: DOCKING STUDY OF POTTASIAM CITRATE BOUND ACETAZOLAMIDE AGAINST HIGH-ALTITUDE PULMONARY EDEMA (HAPE)

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HAPE is a potentially deadly condition that develops when the lung arteries develop excessive pressure in response to low oxygen, resulting in overflow of fluid in the lungs. In

some persons, the hypoxia of high altitude causes constriction of some of the blood vessels in the lungs. This dramatically elevates the blood pressure in these vessels and results in a high-pressure leak of fluid from the blood vessels into the lungs. Exertion and cold exposure can also raise the pulmonary blood pressure and may contribute to either the onset or worsening of HAPE. It is studied that *TH* gene polymorphisms affect the extent to which blood pressure increases with stress and may increase the risk of high blood pressure (hypertension).

Acetazolamide is a carbonic anhydrase inhibitor. It can be used for the medical treatment of moderate to severe metabolic or respiratory alkalosis. Acetazolamide does this by interfering with bicarbonate (HCO_3^-) reabsorption in the kidneys, thereby reacidifying the blood – hence alkalyzing the urine. This can lead to a decreased ability to exchange Na^+ for H^+ in the presence of acetazolamide resulting in a mild diuresis. By contrast, the H^+ that is also present in the lumen is reabsorbed via an alternative pathway along with Cl^- ions; it then passes into the bloodstream, leading to hyperchloremic metabolic acidosis. Potassium citrate can be useful when the acidosis occurs as it could balance the pathway and improve the renal activity. Using spdbv, Potassium citrate is fitted with Acetazolamide and docked in Hex. Result shows Etotol -190 and RMS -1.0. The potassium citate bound Acetazolamide can be used as an effective drug against HAPE disease.

PP3 - 19: IN SILICO DESIGN OF PROTEASE INHIBITOR INVOLVED IN DENGUE

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Dengue virus (DENV), a member of the family Flaviviridae, presents a tremendous threat to global health since an estimated 2.5 billion people worldwide are at risk for epidemic transmission. Dengue fever is the most common mosquito-borne viral disease of humans. It is primarily transmitted by *Aedes* mosquitoes, particularly *A.aegypti*. Dengue is an envelope, positive-strand RNA virus that produces a spherical particle with a diameter of approximately 500A cause dengue fever (DF), dengue hemorrhagic fever (DHF), and dengue shock syndrome (DSS). The virus possesses a two component NS2B-NS3 protease that cleaves viral precursor proteins and therefore represents a target for the development of antiviral drugs. There are no approved antiviral drugs or vaccines to combat dengue infection, although DENV vaccines have entered Phase 3 clinical trials. Our present study emphasizes the retrieval of NS2B/NS3 protease enzyme (DENV2) sequence data from the major protein information resources, annotation of NS2B/NS3 protease sequence data with respect to its amino acid propensity, molecular mass, cleavage pattern studies,

and secondary structure prediction using various *in silico* tools and techniques at proteomics server. In the present study a complete structural analysis and 3-D modeling of NS2B/NS3 protease enzyme of DENV2 was carried out. The paper deals with designing of a new class of inhibitor DENV NS2B/NS3 protease and a lead compound suitable for further studies have been identified.

PP3 - 20: INSILICO STUDIES ON GP63 A DRUG TARGET OF LEISHMANIA

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Leishmaniasis, a chronic infectious disease is caused by protozoan parasites of genus *Leishmania*, and has sandfly as its insect vector. *Leishmania* has several clinical manifestations like Cutaneous, Mucocutaneous and Visceral Leishmaniasis. The emergence of resistance to drugs has necessitated a search for new drugs and drug targets.

Leishmanolysin(gp63), a major surface Zn metalloprotease of *Leishmania promastigotes* belongs to Metzincin class. It contributes to parasite virulence and pathogenesis, promotes intramacrophage survival and influences host macrophage signaling mechanisms. gp63 is a good drug target and vaccine candidate. However, there are not many non-toxic inhibitors of this protein. A literature report shows that the compound 2-(Thiophen-2-yl)-1H-benzimidazole has good affinity for gp63. In the current study, using Molecular Dynamics (MD) simulations, the stability of the docked complex of this inhibitor with gp63 was assessed in a dynamic aqueous environment as is encountered in a biological system, using GROMACS software. Results from MD simulation, carried out for 25ns in our study shows that the complex is stable and the interactions of the inhibitor with the active site residues of gp63 are maintained through the course of the simulation. A sequence based analysis of Leishmanolysin and comparison with host proteins was also performed to see if it has any role to play in immune evasion.

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PP3 - 21: SYNTHESIS AND ANTI BACTERIAL ACTIVITY OF 2-(4-AMINOPHENYL) BENZIMIDAZOLE BASED PYRIMIDINE DERIVATIVES

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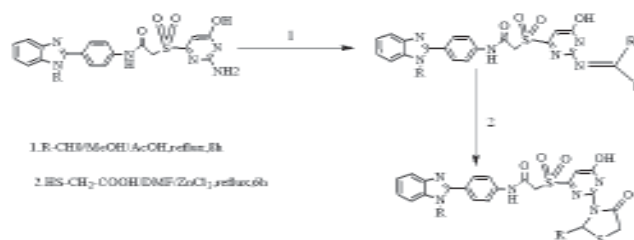
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The heterocyclic compounds are very widely distributed in nature especially Nitrogen heterocycles like benzimidazoles, pyrimidines derivatives have a wide range of biological activities like, antibacterial, antifungal, antiviral, insecticidal, anti-inflammatory and anti diabetic activities. Nitrogen heterocycles containing oxygen or sulphur rings like thiazoles, oxadiazoles, a thiazolidines, oxazines, and thiazines have great applicability in industry, because of the extensive synthetic possibilities of these heterocyclic due to the presence of several reaction sites.

In the present study we have synthesized some new Schiff bases, thiazoles, thiazolidines, and pyrimidines from compound which are mainly as biologically active compounds with wide range of importance in the synthetic organic chemistry.

The title compounds were characterized by melting points, TLC, and spectral data (IR, NMR & Mass) the compounds were screened for antibacterial, antimicrobial activities, some of them compounds showed promising activity against the test organisms employed.



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PP3 - 22: DESIGN, SYNTHESIS AND SPECTROSCOPIC CHARACTERIZATION OF NOVEL 1,3,4-THIADIAZOLE DERIVATIVES AS ANTIMICROBIAL AGENTS

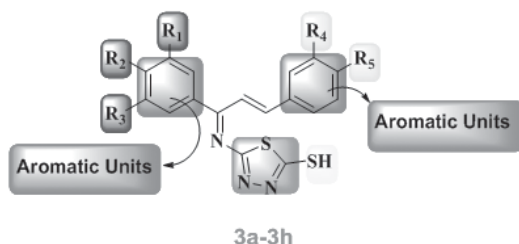
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Diseases started even before the existence of human beings. So when the civilization began, the biggest threats for human were diseases. Man has made several sincere attempts for the search of new drugs for in order to cure and control different infectious diseases. Heterocyclic compounds are commonly used scaffolds on which pharmacophores are arranged to provide potent and selective drugs. [1-2] A series of eight derivatives of 5-((E)-((E)-1,3-diphenylallylidene) amino-1,3,4-thiadiazole-2-thiols have been synthesized and

characterized by FT-IR, $^1\text{H-NMR}$, $^{13}\text{C-NMR}$ and Mass spectroscopy. The title compounds were screened for their antimicrobial activity. The significant antibacterial activity was observed for most of the compounds against microorganisms like *Klebsiella pneumoniae*, *Staphylococcus aureus*. Some compounds have shown mild to moderate activity.



3a, $R_1=H$, $R_2=H$, $R_3=H$, $R_4=H$, $R_5=H$; **3b**, $R_1=H$, $R_2=Cl$, $R_3=H$, $R_4=H$, $R_5=H$; **3c**, $R_1=H$, $R_2=H$, $R_3=H$, $R_4=OCH_3$, $R_5=OCH_3$; **3d**, $R_1=H$, $R_2=Cl$, $R_3=H$, $R_4=OCH_3$, $R_5=OCH_3$; **3e**, $R_1=H$, $R_2=H$, $R_3=H$, $R_4=H$, $R_5=Cl$; **3f**, $R_1=H$, $R_2=Cl$, $R_3=H$, $R_4=H$, $R_5=Cl$; **3g**, $R_1=H$, $R_2=H$, $R_3=H$, $R_4=H$, $R_5=N-(CH_2)_2$; **3h**, $R_1=H$, $R_2=Cl$, $R_3=H$, $R_4=H$, $R_5=N-(CH_2)_2$;

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PP3 - 23: MOLECULAR CHARACTERIZATION OF RECOMBINANT GUINEA PIG TUMOR NECROSIS FACTOR-ALPHA (TNF- α) TO DECIPHER ITS ROLE IN TUBERCULOSIS

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Tumor necrosis factor alpha (TNF- α) is a cytokine which plays opposing roles in the context of infectious disease pathogenesis. TNF- α contributes to both host resistance and disease pathology in tuberculosis (TB). The importance of TNF- α in the control of latent or persistent mycobacteria has been revealed by the high risk of reactivation TB observed in patients undergoing anti-TNF-therapy for autoimmune diseases. Since approximately one-third of the world's population is latently infected with TB, there is immense need to understand the role of this cytokine in the control

of latent infection and precisely how its pharmacological suppression results in reactivation of TB. Such an understanding could drive the development of novel therapeutics which could be used to suppress the detrimental effects of TNF- α in autoimmune diseases without interfering with the essential host defense mechanisms that keep *M. tuberculosis* in check. Such studies will benefit greatly from the availability of small animal models in which detailed mechanistic investigations of TNF- α at the molecular and cellular level can be conducted. The guinea pig is widely accepted to be the small animal model of choice for TB, however, knowledge of the basic biology of guinea pig cytokines and reagents with which to study them are only now developing. In order to elucidate the beneficial and detrimental roles of TNF- α in tuberculosis (TB) and other diseases for which the guinea pig is the small animal model of choice, recombinant guinea pig (rgp)TNF- α has been produced using prokaryotic expression systems. However, it is unknown whether post-translational modifications which cannot be made in the prokaryotic expression systems may be important for rgpTNF- α structure and function. Therefore, we carried out a comparative study by expressing rgpTNF- α using prokaryotic and eukaryotic expression systems and analyzed the eukaryotic-expressed rgpTNF- α for the presence of post translational modifications by subjecting it to NanoLC-MS/MS. Our results demonstrated that eukaryotic-expressed rgpTNF- α lacked post-translational modifications, and we found no significant difference in terms of the biological activity between prokaryotic- and eukaryotic- expressed rgpTNF- α . Given the importance of the guinea pig as a small animal model of TB and other diseases, we believe that our observations will facilitate future studies of the role of TNF- α using recombinant protein expressed in prokaryotic systems.

PP3 - 24: SEQUENTIAL STAUDINGER KETENE IMINE CYCLOADDITION, RCM APPROACH TO POLYCYCLIC MACROCYCLIC BISAZETIDINONES

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An efficient approach to novel macrocyclic azacrown ethers incorporating two azetidinone rings fused to the macrocycle through the 3,4-positions of the azetidinone rings and two macrocycles fused at 1,3,4-positions of two azetidinone rings was achieved via sequential Staudinger ketene-imine cycloaddition of o-allyloxyphenoxyketene and bis-imines followed by RCM.^{1,2} The ketene-imine cycloaddition afforded the corresponding bis-o-allyloxyphenoxyazetidinones as the

cis-cis diastereomers, exclusively obtained as a mixture of cis-syn-cis and cis-anti-cis diastereomers. RCM of the latter using Grubbs' II catalyst afforded good yields of the corresponding novel macrocyclic bisazetidiones. Two cis-anti-cis bisazetidiones were readily identified by ^1H NMR using $\text{Eu}(\text{hfc})_3$ chiral shift reagent and the structure of two macrocycles was established by X-ray crystallography.² The present study offers synthetic routes towards a variety of new structural motifs consisting of macrocyclic azacrown ethers condensed with bisazetidiones through 3,4- and 1,3,4-positions by the sequential application of Staudinger [2 + 2] ketene-imine cycloaddition followed by RCM reactions. These new macrocyclic bisazetidiones are not expected to be otherwise accessible using other synthetic approaches. They are also potential materials for the synthesis of other polyfunctionalized macrocycles of interesting supramolecular and biological applications.⁴

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were subjected to docking studies. The results of docking revealed the importance of LYS 156, TRY 145, Gly 451 and Ile 450 residues in hydrogen bond interaction while the hydrophobic residues (Arg 382, Arg 448, Lys 156 and Pro 396, Pro61, Arg 382, Met 380 and Leu 117 residues) successfully surrounded the test compounds. In summary though our well defined *in-silico* approach we have identified novel, structurally diverse and druggable CYP51 inhibitors with prospects of being raised into antifungal drugs.

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PP3 - 25: APPLICATION OF PHARMACOPHORE BASED VIRTUAL SCREENING AND MOLECULAR DOCKING TO IDENTIFY NOVEL CYP51 INHIBITORS AS ANTI-FUNGAL AGENTS

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The prevalence of fungal disease has increased dramatically over the last two decades particularly in immunocompromised patients. The current therapeutic options are insufficient to cater to the need of patients suffering with fungal infection. In view of this, we have made an attempt to develop a predictive pharmacophore model for the identification of potent CYP51 inhibitors as antifungal agents. The pharmacophore model consisting of 4 features namely two HBA lipid, one HBA one HY with RMS of 0.85, r^2 of 0.94, configuration of 15.82 and cost difference of 42.17 was considered as best. The chosen model was validated by internal test set compounds with r^2 of 0.61. CAT scramble at 95% confidence and external test set prediction with r^2 of 0.70 demonstrated the high predictive ability of the pharmacophore model. Maybridge and NCI databases were screened using validated pharmacophore model to identify novel CYP51 inhibitors. The retrieved compounds were checked for Lipinski's rule of five and fit values. To elucidate the binding mode of the hits, two most potent compounds

PP3 - 26: THE FUTURE CHALLENGES IN THE DEVELOPMENT OF NEW ANTIMICROBIAL DRUGS

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The need for new antimicrobial agents is greater than ever because of the emergence of multidrug resistance in common pathogens, the rapid emergence of new infections, and the potential for use of multidrug-resistant agents in bioweapons. Despite the critical need for new antimicrobial agents, the development of these agents is declining. Solutions encouraging and facilitating the development of new antimicrobial agents are needed. Antibacterial drugs have been developed on the basis of their ability to inhibit bacterial multiplication and this remains at the core of most approaches to discover new antibacterial drugs. The computational approach to antimicrobial agent discovery and design encompasses genomics, molecular simulation and dynamics, molecular docking, structural and/or functional class prediction and quantitative structure-activity relationships, targeting non-multiplying latent bacteria, which prolong the duration of antimicrobial chemotherapy and so might increase the rate of development of resistance. Here, we focus primarily on basic strategies for antibacterial drug development that could potentially alleviate the current situation of drug resistance.

PP3 - 27: COMMUNITY PHARMACIST GAURDING PUBLIC HEALTH: A UNIQUE WAY OF CREATING BETTER WORLD

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The regular diet when taken harmoniously help in maintaining the body functions rhythmically. The knowledge on proper medication use when synchronized with the harmonious diet, the tune of health plays well. To maintain the tune of health, community pharmacist plays a pivot role by bridging bond between proper medication use, life style modifications and public health.

The main aim is to improve public health by creating awareness on balanced diet alongside the use of medicines. The study was conducted in an undergraduate institution with adolescents aged 16-18 years by distributing questionnaire that include questions on their knowledge of medicine use and dietary habits twice in a span of three months i.e., before and after educating them.

Among the 168 individuals, an assessment of their knowledge on medicine use, 61% of the individuals do not have any idea on their medication use and a majority of 74% is not aware of the unwanted effects caused by the medication. On assessment of their dietary habits on an average 48% of the individuals are not following balanced dietary habits. At the end of three months after educating them 72% of the individuals developed a habit of knowing their medication use and unwanted effects; individuals not following balanced dietary habits decreased to 28 %.

From this study it is evident that community pharmacist can make a mark in the society by playing his part as a guardian of public health who tackle the preventable health challenges by educating people.

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PP3 - 28: VACCINATION FOR INFECTIOUS DISEASES IN PEDIATRICS

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Immunizations protect children from serious diseases and also prevent the spread of those diseases to others. Vaccines are recommended for very young children because their

immune systems are not yet fully mature and also because their stomachs produce less acid, making it easier for ingested bacteria and viruses to multiply. Parents must educate to require children to receive routine vaccines against diseases such as polio, mumps, rubella, diphtheria, tetanus etc. But most parents especially rural areas undergo vaccines in their traditional ways even though the US Food and Drug Administration (FDA) monitors the usage of all vaccines to ensure safety and effectiveness with right dose at right age. The healthcare professionals should council the parents about immunization on the aspects of safety with precautions, use, and health. The recent trend of delaying or skipping vaccines has put children across the country at risk for diseases, hence it should be avoided and remove the fear of side effects. This poster shows about which vaccines the children need and the updated immunization schedules.

According to the Recommended Immunization Schedule for children 0 — 6 years of age may receive up to 24 vaccinations to protect them from up to 14 diseases by the time they're 2 years of age. It may seem like a lot of vaccines for child and is not advisable to skip or delay vaccines, as this will leave the child vulnerable to disease for a longer period of time.

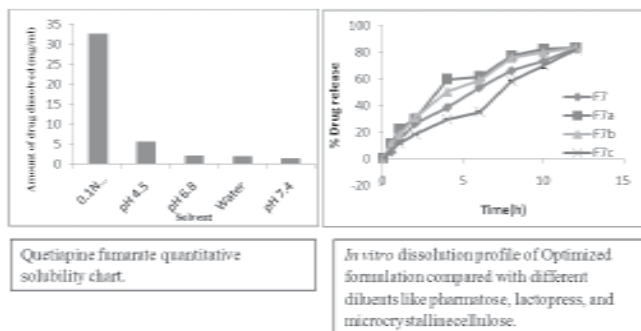
PP3 - 29: FORMULATION AND EVALUATION OF GASTRORETENTIVE DRUG DELIVERY SYSTEMS OF QUETIAPINE FUMARATE

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The major objective of this study was to develop the quetiapine fumarate controlled release tablets using gas generating buoyancy technique to prolong the gastric residence time and enhance oral bioavailability. Buoyant tablets were prepared employing hydroxylpropyl methylcellulose (HPMC) as the hydrophilic gel material and sodium bicarbonate as the gas-generating agent. Buoyant tablets were evaluated for uniformity of weight, hardness, drug content, buoyancy characteristics, *in vitro* release, and *in vivo* radiographic studies. They are also evaluated to find out if any drug excipient interactions occurred using FTIR. Optimized tablets were prepared with HPMC K15 + HPMC K100LV 20% and sodium bicarbonate 8%. Prepared tablets showed buoyancy with in 4 sec, which was maintained for more than 12h. The physical parameters were all found to be within the limits. Drug release at 12h was more than 80%. FTIR studies have shown that there was no interaction between the drug and the excipients used.

Quetiapine fumarate quantitative solubility chart.



In vitro dissolution profile of Optimized formulation compared with different diluents like pharmatose, lactopress, and microcrystallinecellulose.

M. Jaimini, A. C. Rana, Y. S. Tanwar. Formulation and evaluation of famotidine floating tablets. *Current drug delivery*. (2007) 4:51–5.

PP3 - 30: SYNTHESIS AND MOLECULAR DOCKING STUDIES OF 4-(3-CHLOROPHENYL)-6-ISOPROPYL-5-(METHOXYCARBONYL)-2-METHYL-1, 4-DIHYDROPYRIDINE-3-CARBOXYLIC ACID AS ANTI-INFLAMMATORY AGENT

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Bushra Ahmed Ezze¹ M.A. Baseer^{1*}

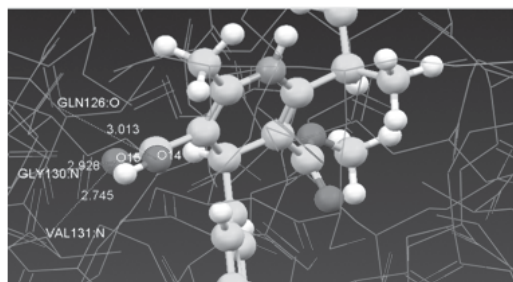
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Chalcones have been identified as interesting compounds with anti-inflammatory and antioxidant properties. To solve the problem of inflammation and their drugs side effects we have synthesized new series of 4-(3-Chlorophenyl)-6-Isopropyl-5-(Methoxycarbonyl)-2-Methyl-1, 4-Dihydropyridine-3-Carboxylic Acid.

The structures of the compounds were characterized by IR, ¹H NMR and mass spectral analysis. All the compounds were screened for their *in vivo* anti-inflammatory activity and promising compounds were identified. The synthesized compounds were docked on 2WXW inhibitor to predict the binding affinity and orientation at the active site of the receptor.



PP3 - 31: RACEMIC DRUG RESOLUTION USING POLYMER SUPPORTED CHIRAL SELECTORS

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The core-shell type of polymer supported chiral selector was synthesized to resolve the racemic drugs. The aim of this study is to increase the reactive site of polymer support for more loading of chiral selector and use in drug resolution. The core polymer matrix was synthesized using suspension polymerisation, whereas shell homopolymer was synthesized using solution polymerisation. The shell polymer was dissolved in dioxane and physically supported on core type polymer. This physically adsorbed shell polymer was crosslinked 5 mole %. The remaining 95 mole % reactive site was used to react with chiral selector. These steps were characterised by FTIR, SEM etc. The core-shell type polymer supported chiral selectors were used to resolve the racemic mixture of drug. We successfully resolve the racemic Salbutamol and Terbutaline drugs which are used to cure asthma disease.

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PP3 - 32: DESIGN AND CHARACTERIZATION OF ATENOLOL FLOATING MICROCAPSULES

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Atenolol biodegradable floating microcapsules were prepared by feasible emulsion solvent evaporation method for a novel controlled release product in order to overcome poor bioavailability. Ethyl cellulose (1:4), HPMC (hydroxy propyl methyl cellulose) (1:4) and their combination (1:4:4) were used as coating polymers at the same concentrations in order to obtain elegant microcapsules. The formulations were characterized for size, shape, entrapment efficiency, *in vitro* drug release studies and were subjected to FTIR, SEM, DSC and PXRD studies. The microcapsules were discrete, large, and almost spherical and were free flowing with entrapment efficiency in the range of 89% to 94%, and size 26 μ m to 55 μ m. The infrared spectroscopy suggests that there was no chemical interaction between atenolol and polymers. Atenolol release from these microcapsules was slow and extended over longer periods of time depending on the polymer coat. Ethyl cellulose, a hydrophobic polymer

used at the ratio of 1:4 shows the release of 92.36% at the end of 12th hour which signifies the controlled release manner and better floating ability in comparison with that of HPMC (1:4) and in combination of ethyl cellulose and HPMC (1:4:4).

PP3 - 33: COMPUTER - AIDED DISCOVERY OF NOVEL AND POTENT PPAR GAMMA AGONIST BY STRUCTURAL AND LIGAND BASED DRUG DESIGNING METHODS

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Peroxisome Proliferator -Activated Receptor (PPAR_γ) are a group of nuclear receptor proteins. Docking studies are based on several factors. Among 15 entries of PPAR- α , 2Q6S was taken for docking analysis, as it showed 418 most favoured regions 35 in additionally allowed region and none of the residue in disallowed regions. To carry out drug design, molecules were considered from the literature in which substitution of R₃ position with propyl reported to have high dock score (-69.697 KCal/mole) that the remaining analogues, with better geometry and interaction. Hence docking analysis using Thiazolidinedione derivatives as anti-diabetic agent suggest the reproducibility of active molecules being predicted by computational docking studies using discovery studio software.

PP3 - 34: FORMULATION AND OPTIMIZATION OF DIAZEPAM LOADED PLGA NANOPARTICLES FOR CONTROLLED RELEASE

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The aim of the present study was to encapsulate highly lipophilic drug diazepam in polymer matrix of PLGA nanoparticles (NPs) and investigate the influence of various independent process variables on characteristic properties of NPs varying in an attempt to develop controlled release diazepam loaded PLGA-NPs using nanoprecipitation method. Polymer, drug and surfactant concentration, aqueous:organic volume phase ratio (w/o), stirring rate and time were taken as independent variables whereas, average particle size and percentage drug entrapment were taken as dependent variables. The developed-NPs were characterized by z-average, zeta potential, % drug entrapment, *in vitro* drug

release behaviour and SEM. The developed NPs were found nearly spherical in shape with z-average (177-275.8d.nm, polydispersity index range 0.241-0.088) which was mainly dependent on polymer concentration. The % drug entrapment (64.1-89.7%) was influenced by polymer and drug concentration. Zeta potential values (-15 to -29.24mV) confirmed the stability of nanoparticles. *In-vitro* drug release study showed a biphasic pattern with initial burst release of 32.22-52.15% and a sustained release (63.8-84.14%) upto 32h. These results indicated that the diazepam-loaded NPs could potentially be employed as a delivery system with improved drug entrapment, stability and controlled drug release.

PP3 - 35: AYURVEDIC BHASMAS: AN ALTERNATIVE SOLUTION TO PRESENT ANTIBIOTICS

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Ayurvedic bhasmas involve the conversion of metals into its metal oxides during which zero valent metal state is converted to a higher oxidation state and this oxide mixed with herbs and their juices thus making it disease specific and biocompatible.

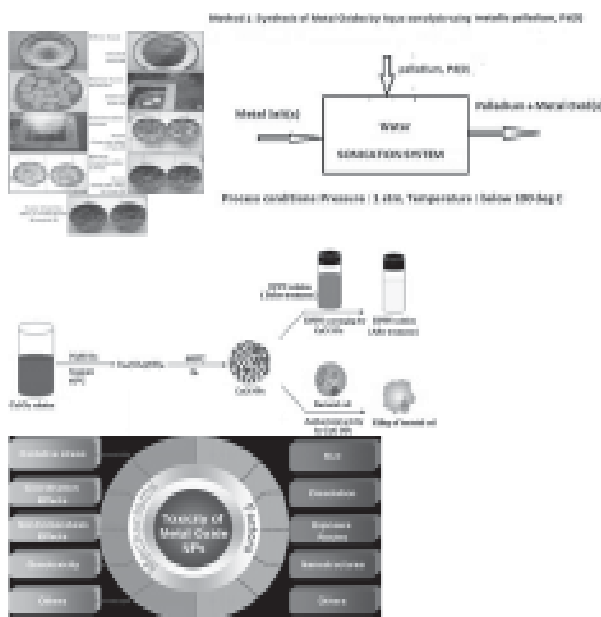
These Ayurvedic bhasmas have a promising future due to its dual action i.e its antimicrobial action on bacterial cells and immunomodulatory action on host cells, and due to the unique preparation of bhasmas they pose mild organic and genotoxicity if used in concentrations above therapeutic dose (research papers available for its validation) as in contrast to metallic nanoparticles which show antimicrobial activity but are equally toxic due to its very small particle size. Hence along with the efficacy and toxicity aspects of bhasmas technique should be developed to shorten the processing time, reduce number of chemicals and energy requirement thereby reducing the cost.

Traditional method of bhasma production:

1. Shodhana: Purification
2. Marana: Incineration
3. Bhavana: Grinding of material completely soaked in prescribed liquid media till the material is dried and converted to dough.
4. Puttapaka: Incinerated as per specified time and temperature to get bhasmas of desired quality

Alternative method :

- A. Size reduction of pure metals by subjecting it to very low temperature
- B. Using palladium, water and ultrasound energy to convert transition metal salts into their oxides
- C. Subjecting the oxides to herbal decoction, mixing to form a dough.



1. Santhosh B, Raghuvver, Jadar P and V NageswaraRao, Analytical Study of Yashadabhasma (Zinc Based Ayurvedic Metallic Preparation) with reference to Ancient and Modern Parameters
2. S. Sivasankaran, S. Sankaranarayanan, S. Ramakrishnan, A Novel Sonochemical Synthesis of Metal Oxides Based Bhasmas
3. AmitaTripathi, Bhavna Joshi, H.S. Singh¹, J.S. Rathore, GirirajSharma, Chemical phases of some of the Ayurvedicheamatinic medicines
4. Ya-Nan Chang, Mingyi Zhang, Lin Xia, Jun Zhang, and Gengmei Xing, The Toxic Effects and Mechanisms of CuO and ZnO Nanoparticles
5. Renu Gupta, Bandana Thakur, Pushpendra Singh, H.B. Singh, V.D. Sharma, V.M. Katoch, Anti-tuberculosis activity of selected medicinal plants against multi-drug resistant Mycobacterium tuberculosis isolates

PP3 - 36: DEVELOPMENT AND VALIDATION OF RP-HPLC METHOD FOR THE ESTIMATION OF FINGOLIMOD IN BULK AND TABLET DOSAGE FORM

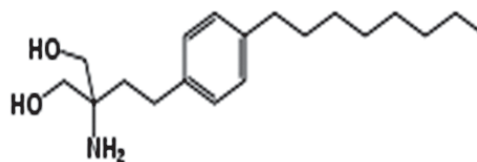
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A simple, precise, rapid and accurate reverse phase HPLC method was developed for the estimation of Fingolimod in tablet dosage form. Zobrax EclipseXDB-C18, 150x4.6mm 5 μ m particle size, with mobile phase consisting of water: acetonitrile in the ratio of 60:40 v/v was used. The flow rate was 0.8 ml/min and the effluents were monitored at 215 nm. The retention time was 20.0min. The detector response was linear in the concentration of 60:360 mcg/ml. The respective linear regression equation being $Y = 41219.363 + 65555.8X$. The limit of detection and limit of quantification was 0.15mcg and 0.45mcg/ml respectively. The percentage assay of Fingolimod was 99.72 %. The method was validated by determining its accuracy, precision

and system suitability. The results of the study showed that the proposed RP-HPLC method is simple, rapid, precise and accurate, which is useful for the routine determination of Fingolimod in bulk drug and in its pharmaceutical dosage form.



PP3 - 37: MEXICAN TRADITIONAL MEDICINE FOR THE TREATMENT OF INFECTIOUS DISEASES

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Mexico has a rich tradition of herbal use that predates the European conquest by many centuries. Mexico's medicinal herbal is one of the world's most diverse and contains various native plants, as well as many other species introduced from diverse parts of the globe. Twelve methanolic plant extracts from botanical species used in traditional medicine in Morelos. The Aztec civilization of Mexico was the only one in the New World to record the use of medicinal herbs. They did so in "codices" or catalogues that showed drawings of the plants. Mexican plants to cure infectious diseases have been subjected to a screening study to detect potential antimicrobial activity against Staphylococcus aureus, Escherichia coli, Pseudomonas aeruginosa and Candida albicans. The antimicrobial activity of the products was evaluated using colonies growing in solid medium, establishing the minimal concentration required to inhibit their in vitro growth (MIC). The results showed that extracts from Eucalyptus globulus Labill, Punica granatum L., Artemisia mexicana Wild and Bocconia arborea Watt. possess strong in vitro antimicrobial activity against the tested microorganisms. *Euphorbia hirta* has been used widely in traditional Malay medicine as a treatment against infectious pathogens. There has been a growing body of evidence and research, which validates the efficacy and safety of employing traditional knowledge based approaches to health and healing.

PP3 - 38: QUORUM SENSING INHIBITION- NOVEL STRATEGY FOR FIGHT AGAINST ANTIBIOTIC RESISTANCE

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Antibiotic resistance poses a continually evolving and dangerous problem in the treatment of infections. Majority of antibiotics either target cell wall synthesis or protein synthesis. This being the essential pathway for bacteria, evolutionary pressure is exerted on the bacteria and ultimately leads to selection of antibiotic resistant population. Thus, if a therapeutic targets a non-essential pathway of bacterial pathogenesis, selection of resistant mutants does not occur.

Inhibition of quorum sensing of bacteria offers one such approach. Quorum sensing occurs when the bacteria reach a critical density called quorum and express diffusible autoinducer molecules like Acyl Homoserine Lactone (AHL), which are responsible for virulence and antibiotic resistance. The disruption of quorum sensing by molecules called quorum quenchers is recently being targeted by Researchers. Quorum quenching offers another advantage in that, it does not interfere with normal microbial flora of the host. Quorum quenchers are either natural or synthetic molecules. Plants (garlic extract), Phytochemicals (curcumin, vanilla extract, flavanoids) and essential oils like clover oil are some of the natural quorum quenchers. Synthetic molecules engineered for quorum quenching include autoinducer analogues, monoclonal antibodies, enzymes, peptides and probiotics. Nanotechnology is being harnessed for quorum quenching with the development of Nitric Oxide releasing silica nanoparticles. A number of drugs like Chlorzoxazone, Nifuroxime and Salicylic acid are being repurposed for inhibition of Quorum sensing. Quorum sensing inhibition thus offers a promising strategy to combat Antibiotic resistance.

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PP3 - 39: PHYTOCHEMICAL SCREENING AND EVALUATION OF ANTIARTHRITIC ACTIVITY OF VARIOUS EXTRACTS OF RIPEN TOMATO (SOLANUM LYCOPERSICUM L.)

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Tomato (*Solanum lycopersicum* L) is one of the most important vegetables worldwide because of its high consumption and large contents of health related bioactive components. It is basically fruits and contains a variety of phytochemicals such as carotenoids like lycopene (highest

concentration-85%), phytoene, phytofluene and the provitamin-A, β -carotenoid, quercetin, kaempferol, naringenin, folate vit-C, vit-E, vit-K vit-B and others. The present work is to search the anti-arthritic activity of methanol (U1), ethanol (U2), and chloroform (U3) extracts from tomato fruits. The anti-arthritic activity was evaluated using in vitro Bovine serum Albumin denaturation method and in vivo Formaldehyde induced arthritis in rats. In result it was found that tested extract inhibited protein denaturation in vitro which are comparable with standard drug diclofenac. In Formaldehyde induced arthritis, tested compound decreased paw volume and improved haematological parameters. This result suggested that extracts were exhibited good anti-arthritic activity.

PP3 - 40: NEW TARGETS FOR DRUGS IN TUBERCULOSIS

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Tuberculosis is a common infectious disease that has infected one third of world population. Presently lengthy treatment of tuberculosis of 6-9 months results in patient non compliance, drug resistance, persistence of tubercle bacilli and significant toxicity of drugs, so there is urgent need to develop new targets to combat tuberculosis. Bedaquiline, a ATP synthase inhibitor, has got FDA approval and can be used in MDR-TB. Moxifloxacin and Levofloxacin which inhibit DNA gyrase enzyme, can reduce the duration of treatment of tuberculosis to 4 months and improve therapy in TB-HIV coinfection. Oxazolidinones like Linezolid, Eperezolid is used in XDR-TB but side effects like myelosuppression and optic neuropathy is a major concern. Nitroimidazoxacines (PA-824, OPC-676830) a new drug which is in phase 2 trial acts by inhibiting cell wall synthesis, also acts on nonreplicating bacteria. An ethambutol analog, SQ-109 which acts by inhibiting cell wall synthesis is in phase 2 trials. Other new targets are targeting mycobacterium proteasome, a protein cleaving complex which is essential for survival tubercle bacilli. Targeting non replicating mycobacteria within macrophages is very essential to eliminate persisters. NAD synthetase enzyme of tubercle bacilli involved in regulating various cellular process is a new target to eliminate persisters. Drugs which target the energy production pathways like Isocitrate lyase causes reduction in both persistent and virulent strains of tubercle bacilli. A new Rifamycin derivative Rifanzanil, has long half life and more effective than rifamycin and rifabutin. The unique physiology of tubercle bacilli is it is highly susceptible to endogenous produced oxygen radicals. Nitrofurans like Nitrofurantoin, Nitrofurimox generate

reactive nitrogen on activation and are active on tubercle bacilli especially on nongrowing bacilli.

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PP3 - 41: STANDARDIZATION AND ANTIMICROBIAL ACTIVITY OF AYURVEDIC FORMULATION

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Standardization of Ayurvedic formulations is an important step for the establishment of a consistent biological activity, a consistent chemical profile, or simply a quality assurance program for production and manufacturing of herbal drugs. WHO specific guidelines for the assessment of the safety, efficacy and quality of herbal medicines as a prerequisite for global harmonization are of most importance. In this present study, there is focus on standardization and going to evaluate antimicrobial activity. The inhibitory concentration of *Centella asiatica* on some microorganisms of clinical importance was investigated using standard microbiological methods. The antimicrobial tests were carried out with ethanolic and aqueous extracts using agar disc diffusion method. This study carried out by using disc-diffusion method against gram-positive and gram-negative bacteria. The bacterial species used were *Staphylococcus aureus*, *Bacillus subtilis*, *Escherichia coli*, *Proteus* species, *Shigella* species, *Salmonella typhi* and *Vibrio cholerae*. This paper has made an effort to study the antimicrobial potentials of the methanolic extracts of *Centella asiatica* against *Escherichia coli*. This shows the fact that plants are still reservoir of many pharmaceuticals which can be noted and used in treating infectious disease.

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PP3 - 42: STABILITY TESTING AND ANTIMICROBIAL ACTIVITY OF CONVOLVULUS PLURICAULIS

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The purpose of stability testing is to provide evidence on how the quality of an herbal formulation varies with time under the influence of a variety of environmental factors and recommended storage conditions the accelerated stability study was performed. Product was found to be stable at room temperature and 40°C ± 2°C Humidity - 75% RH ± 5% RH. *Convolvulus pluricaulis* is also known that shankpushpi which is used as one of the most important drug in Traditional System of Medicine. *Convolvulus pluricaulis* is reputed drug of ayurveda and reported as antioxidant, brain tonic to promote intellect and memory, eliminate nervous disorders and to treat hypertension. In the present study the antibacterial activity of water, methanolic, ethanolic extract of whole plant of *Convolvulus pluricaulis* was tested against Gram-negative and Gram-positive bacteria using well-diffusion method with standard Tetracycline. From the recent study it was also concluded that *Convolvulus pluricaulis* is more active against *Escherichia coli* in comparison to *Staphylococcus aureus*.

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PP3 - 43: ACCELERATED STABILITY TESTING AND ANTIMICROBIAL ACTIVITY OF MARKETED HERBAL FORMULATION: GARLICON TABLET

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The stability testing of the Garlicon tablets were performed to detect how the quality of a tablet varies with time under the influence of a variety of environmental factors. Accelerated stability testing Garlicon tablet carried out at 40°C ± 2°C/75% RH ± 5% for 6 Months according to ICH guideline. Increases in international travel, immigration, animal transport, improper food handling, and drug-resistant bugs have led to an explosion in infectious disease of all types, as well as a need for new, safe antimicrobials. The Garlicon Tablet contains *Allium sativum*, commonly known as garlic. This has been used since ancient times as a broad-spectrum antimicrobial activity. Sulfur content in the garlic is regarded as being the active principle which is responsible for antibacterial activity. The antibacterial activities of garlic extract were studied by disk diffusion method and cup-plate method. These results confirms that Garlic possess significant antibacterial properties. Further study on activity of garlic extract at different temperature found that antibacterial

activity of the garlic extract is heat sensitive. Extract was autoclaved at 121°C which doesn't shows antibacterial activity. The antibacterial activity of crude extracts of garlic at room temperature and refrigerated extract has the same antibacterial effect.

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PP3 - 44: TRADITIONAL REMEDIES OF INDIA AS FUTURE DRUG – A REVIEW

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Field of medicine and drug has come a long way with the advent of bioinformatics and an Insilco method of drug designing and development. But every drug requires a lead compound around which the entire drug can be molded according to need. Naturally occurring chemicals from medicinal plants and herbs has shown very positive results. And since Ayurveda being part of India culture, many researchers are now focusing and developing drugs based on them. There are many spices and herbs which are used as food flavoring and is an integral part of dietary behavior in India but very little is known about their other useful properties which can be a potential future drug.

This review focuses on few of such potential future drugs and their current use in India.

PP3 - 45: FORMULATION AND IN-VITRO EVALUATION OF ITRACONAZOLE IMMEDIATE RELEASE TABLETS AND ITS SOLUBILITY ENHANCEMENT BY SOLID DISPERSION TECHNIQUE

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The task of developing immediate release tablets is accomplished by using a suitable diluents and super-disintegrants. Faster disintegration of the tablet administered orally minimizes absorption time and improves its bioavailability in less time. Itraconazole is one of the triazole antifungal agents that inhibits cytochrome P-450-dependent enzymes resulting in impairment of ergosterol synthesis. It has been used against histoplasmosis, blastomycosis, cryptococcal meningitis & aspergillosis. Fast dissolving dosage form has been developed by using PEG-

400 as a non volatile vehicle for the drug, SSG as superdisintegrant. The prepared user friendly formulations which disintegrates in mouth immediately within a minute without the need of water or chewing, as the tablet disintegrates in oral cavity, this could enhance clinical efficacy of drug through pregastric absorption from mouth, pharynx and esophagus, which leads to increase in bioavailability by avoiding first pass metabolism.

PP3 - 46: POTENTIAL OF COMMONLY GROWN INDIAN PLANTS FOR THEIR INSECT REPELLANT PROPERTIES

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Malaria remains a serious health epidemic till day with an estimated 3.4 billion people at risk based on 2013 World Health Organization (WHO) report. Being a tropical country, India has the highest malaria burden and it alone accounts for an estimated 24 million cases per year. Efforts to develop new vaccines for malaria are still ongoing and the rising costs of anti-malarial drugs prompted us to investigate effective ways to drive away mosquitoes, the root cause of malaria transmission. Interestingly Indian flora serves as a niche of plants of which a few of them possesses insect-repellant properties. To utilize the natural wealth in developing insect repellants in an economical way, garden plants such as *Ocimum basilicum*, *Allium sativum* and *Curcuma longa*, were chosen. Whole plant extracts of the aforementioned plants either individually or in combination were evaluated for their insect repellent properties. This is also economical for people staying in developing and underdeveloped countries which are mostly prone to malaria transmission. The preliminary results obtained were promising and further research is required to delineate the molecular mechanisms underlying insect repellent actions of these plants.

PP3 - 47: POTENTIAL NANOTECHNOLOGY PLATFORMS [EMERGING] FOR IMPROVING THE TARGETED DELIVERY OF ANTITETROVIRAL DRUGS IN HIV TREATMENT.

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HIV/AIDS is a disease with currently no cure and no preventable vaccine. Even though combinational anti-retroviral therapy has dramatically improved, the patient has to take the drugs for lifetime with major side effects and ineffective in patients in whom the virus develops resistance.

The application of nanotechnology to medicine commonly referred to as Nanomedicine which involves the use of

nanoscale materials for preventive, therapeutic and diagnostic purposes.

.Nanosuspension of the drug indinavir can be stabilized by a surfactant system comprised of Lipoid E80 for effective delivery to various tissues. Macrophages loaded with indinavir Nano suspensions were then injected intravenously into mice, resulting in high distribution in the lungs, liver and spleen. Nano suspensions [200nm] based on the polymeric systems, of the drug rilpivirine [TMC278] stabilized by polyethylene-polypropylene glycol and PEGylated tocopherol succinate ester resulted in sustained release over 3 months in dogs and 2 weeks in mice. In a new approach to target the macrophage HIV reservoir, a peptide Nano carrier was proposed as a model where a drug is conjugated to the backbone of peptide-PEG and N-formyl-methionyl-leucyl-phenylalanine [fMLF] a bacterial peptide sequence for which macrophages express a receptor, is attached to the PEG for targeting which showed increased accumulation in macrophages of liver and spleen. In a more recent study the tetra-peptide tuftsin [Thr-Lys-Pro-Arg] was conjugated to the [propyleneimine] dendrimer to target the drug efavirenz to macrophages in vitro.

Challenges with nanomedicine include toxicity of nanomaterials, stability of the nanoparticles in physiological conditions and their scalability for large scale production.

PP3 - 48: DRUG USE EVALUATION OF CEPHALOSPORINS IN A TERTIARY CARE CENTRE

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Antibiotics are valuable discoveries of modern medicine and their use has led to a decline in the morbidity and mortality associated with various infectious diseases. As bacterial resistance has grown due to the increasing use of antibiotics, we sought to evaluate the current utilization of cephalosporins in in-patients of medicine department of tertiary care hospital. This was a prospective observational study carried out for in-patients in medicine departments. The documented data were evaluated for use, safety outcomes and cost for the treatment associated with the use of cephalosporins. One hundred and one patients were identified for the use of cephalosporins. Cephalosporins usage accounted for 30.02% of total admission. Male patients accounted for 50.50% while female patients were 49.50%. The average length of hospital stay was 7 days. Co-morbid condition is accounted for 24.88%. 74.26% patients received cephalosporins for empirical therapy whereas 25.74% received for specific treatment. Majority of hospitalized patients had UTI (16.83%) followed by GI (14.85%) as primary diseases. The widely prescribed cephalosporin was ceftriaxone 48.51%. Majority of hospitalized patients

received injection 81.18% and oral 18.82% of cephalosporins. A total of 9 adverse drug reactions were identified. The average direct cost incurred per patient was Rs 1047.90. Cephalosporins cost accounted for 74.21% of the total medication expenses (Rs 2333469.68). Antibiotics usage cost is accounted for 70% of total hospital stay.

PP3 - 49: VESICULAR CARRIERS FOR TRANSDERMAL DELIVERY OF ALFUZOSIN HYDROCHLORIDE

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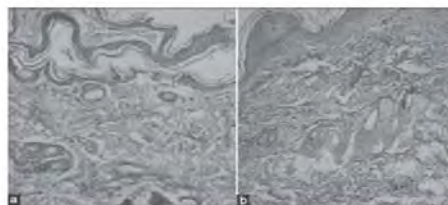
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Transdermal drug delivery (TDD) offers an advantageous mode of administration by elimination of first pass metabolism, providing sustained release for a prolonged period of time and offers superior patient compatibility. Alfuzosin Hydrochloride (AH) is used in the treatment of benign prostate hyperplasia and having all the physicochemical properties suitable for TDD. Vesicular carriers are investigated for the enhancement of TDD of AH. Four different types of vesicular carriers i.e., liposomes, transferosomes, flexosomes and ethosomes of AH were prepared and characterised for particle size, zeta potential, entrapment efficiency, stability, *in vitro* diffusion and *ex vivo* permeation studies. Vesicular carriers were prepared by using phosphotidyl choline, Cholesterol, edge activator (Span80, Tween80 and sodium cholate), positively charged phospholipid (Stearylamine) and ethanol. The vesicular size was found to be in the range of 80.09nm to 6.85µm. Zeta potential was found to be in the range of -26.9mV to 14.5mV. By comparing vesicular formulations ethosomes (E8) showed maximum permeation of Q_{24} (637.10 ± 1.98 ($\mu\text{g}/\text{cm}^2$), transdermal flux (27.42 ± 0.04 ($\mu\text{g}/\text{cm}^2\text{h}$), lag time (0.26 ± 0.20 hrs), permeability coefficient ($5.48 \pm 0.009 \times 10^3$ cm/hr), skin content (298.01 ± 15.4 ($\mu\text{g}/\text{g}$), and transdermal flux was enhanced by 6.92 times over drug solution. Vesicle skin irritation studies proved to be non-irritant and ethosomes showed fatty change in dermis. The formulations were stable at 4°C for 120 days. Results suggested that among four vesicular formulations, ethosomes as efficient carriers for AH transdermal delivery.

Skin Irritation Test:



Histological section of skin a) Control b) Treated with E8 formulation

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PP3 - 50: COMBINED FORMULATION OF PROBIOTIC AND ANTIBIOTIC: IS IT A PRAGMATIC APPROACH FOR EFFECTIVE MANAGEMENT OF INFECTIOUS DISEASES?

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The increasing antibiotic resistance has created alarm among the clinical and public health care. This led to invention of new antibiotics but this has not been successful in reducing the development of antibiotic resistance.

Propose a logical hypothesis that combined formulation of probiotic and antibiotics will offer an additional benefit for the treatment of infectious diseases.

Various published literature and website like PubMed, Medline and Scopus were searched to find the data related to probiotics applications in management of various infections. The search was supplemented with online searches of relevant journals and bibliographic details by using search terms like "Probiotic and antimicrobial agents and antibiotic resistance".

The evidence of probiotic holds its potential to treat and prevent various infections like oral, topical, urogenital and gastro-intestinal infections. The above probiotic action appears to be linked with colonization resistance and immune modulation. Probiotics can also work by the revival of the susceptible strains and replace the resistant strains besides their antimicrobial and immunomodulatory functions. Hence, combination of probiotic and antibiotic could have additional effect, since it could prevent the development of resistant bacteria and increase the susceptibility of resistant pathogenic bacteria to antibiotics thus potentiating the antimicrobial activity of antibiotics.

The synergistic or additive anti-infective effect of the probiotic and antibiotic combination would help to fight the infections in a more effective manner even the resistant strains.

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PP3 - 51: ECHINOCOCCOSIS DISEASE IN HUMAN BEING

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Echinococcosis in humans occurs as a result of infection by the larval stages of taenid cestodes (tape worm) of the genus *Echinococcus*. It is also called as hydatid disease, hydatidosis, or echinococcal disease. Responsible for a substantial health and economic burden, particularly to low-income societies. In this aspect of the biology, life cycle, etiology, distribution, and transmission of the *Echinococcus* organisms, and the epidemiology, clinical features, treatment and effect of improved diagnosis of the diseases they cause. There are cystic echinococcosis, alveolar echinococcosis, polycystic echinococcosis. New sensitive and specific diagnostic methods and effective therapeutic approaches against echinococcosis have been developed in the last 10 years. Despite some progress in the control of echinococcosis, this zoonosis continues to be a major public health problem in several countries, and in several others it constitutes an emerging and re-emerging disease. Although echinococcosis has been well known for the past two thousand years, it wasn't until the past couple of hundred years that real progress was made in determining and describing its parasitic origin. Currently there are no human vaccines against any form of hydatid. For simple causes of cystic echinococcosis the most common form of treatment is surgical removal of cysts combined with chemotherapy using albendazole and/or mebendazole before and after surgery. If cysts in multiple organs or tissues surgery becomes impractical, in such cases PAIR (puncture-aspiration-injection-reaspiration) is used.

PP3 - 52: POTENTIAL ROLE OF *PROSOPIS CHILENSIS* SEED EXTRACT AGAINST MPTP (1-METHYL, 4-PHENYL, 1,2,3,6-TETRAHYDRO PYRIDINE) INDUCED BEHAVIORAL ACTIVITY IN MICE

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The objective of the present study is to evaluate neuropharmacological screening of aqueous and ethyl acetate extract of *Prosopis chilensis* (PC) seeds in mice after MPTP treatment. Behavioural studies were tested on 1st, 3rd and 6th day of treatment using spontaneous motor activity,

rota rod and alertness. Aqueous and Ethyl acetate extract of seed of *Prosopis chilensis* was administered at different doses of 100, 200 and 300 mg/kg (P.O) in different animal groups once a day for seven days and MPTP injection was administered at a dose of 20 mg/kg i.p four injections at 2 hours intervals, the first dose of plant extract was given 30 min prior to first MPTP injection. Spontaneous motor activity scores, grip strength and number of head dipping was significantly decreased in MPTP treated mice. While spontaneous motor activity scores, grip strength and number of head dipping was significantly and dose dependently increased with aqueous and ethyl acetate extracts at given doses on 1st, 3rd and 6th day of treatment as compared to MPTP treated group. Preliminary phytochemical evaluation of ethyl acetate and aqueous extract of *Prosopis chilensis* seeds exhibited the presence of flavonoids, tannins, Carbohydrates, saponins, proteins and amino acids. Aqueous extract was showed more significant than ethyl acetate extract, it may be due to presence of more water soluble phytoconstituents in *Prosopis chilensis* seeds and maximum activity was observed on 6th day of treatment, it could be due to restoration of phytoprinciples in *Prosopis chilensis*.

PP3 - 53: FORMULATION AND EVALUATION OF HYDROPHILIC AND HYDROPHOBIC COMBINED EMBEDDED MATRIX TABLETS OF DILTIAZEM HCL

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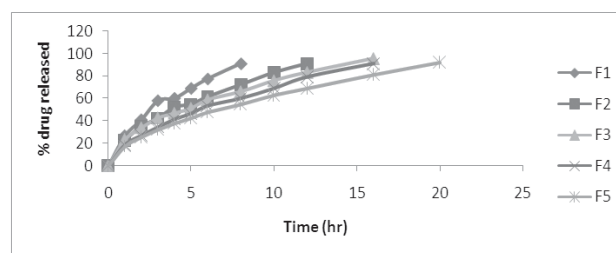
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This research work is aimed to design and develop oral controlled release once – a – day formulations for Diltiazem HCl. It is a benzothiazepine derivative, indicated in the treatment of hypertension, angina and supraventricular tachycardias. Hypertension is a condition for which acute therapy is needed to control it. So, a controlled release dosage form is more advantageous rather than conventional dosage form in terms of improved bioavailability and patient compliance. In this research work, the objective is to explore a novel technique, *embedment*¹, for the preparation of extended release tablets of highly soluble drugs like Diltiazem HCl for the once – a – day dosing. In this research work, the rate retarding component is a combination of a hydrophilic and a hydrophobic polymer such as hydroxy propyl methyl cellulose (HPMC 4000 cps) and polymethacrylic acid derivative (Eudragit RSPO) respectively. The selection of the hydrophilic polymer is such that, besides its rate controlling characteristics, it should also have good bio – adhesion properties so that the mean gastrointestinal residence time is improved for prolonged drug release in – vivo which in turn results in the enhanced bioavailability. By increasing the HPMC 4000 cps concentration and keeping the Eudragit

RSPO concentration same, different formulations were prepared by embedding technique and drug release studies² were conducted. The Formulation F5 showed drug release until 20 hrs, which confirmed that the *embedment* technique is a promising novel technique for the preparation of extended release tablets of even highly soluble drugs.

Fig 1: Drug release profile of prepared Diltiazem HCl extended release tablets F1 – F5



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2. U. S. Pharmacopeia 24 & National Formulary 19, Asian Edition, Official Monographs / pg. no. 573.

PP3 - 54: FORMULATION AND EVALUATION OF SUSTAINED RELEASE MATRIX TABLETS OF BACLOFEN

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The objective of the present study was to develop sustained release matrix tablets of baclofen, for treatment of spastically resulting from multiple sclerosis, flexor spasm and muscular rigidity. The matrix tablets were prepared by wet granulation method using hydroxypropyl methylcellulose K4M, K100M and Xanthan gum in various concentrations. The granules showed satisfactory flow properties and compressibility. All the nine formulations showed acceptable pharmacopoeial standards. The result of formulation B7 (25% hydroxypropyl methylcellulose K4M and K100M) extended the release of baclofen up to 12hrs. Model fitting analysis for formulation B7 fitted in the zero order model and korsmeyer-peppas model. The 'n' values obtained from the peppas-korsmeyer equation suggested that, drug release was non-Fickian diffusion mechanism. Successful formulation was found stable after evaluation for physicochemical parameters when kept for 30 days at room temperature, 40°C and 2-8 °C. It concluded that sustained release matrix tablets of baclofen containing 25% of HPMC K4M and HPMC K100M provide a better option for extended release of drug.

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PP3 - 55: DEVELOPMENT AND CHARACTERIZATION OF CRANBERRY POWDER LOADED MICROEMULSION AGAINST UROPATHOGENIC E. COLI

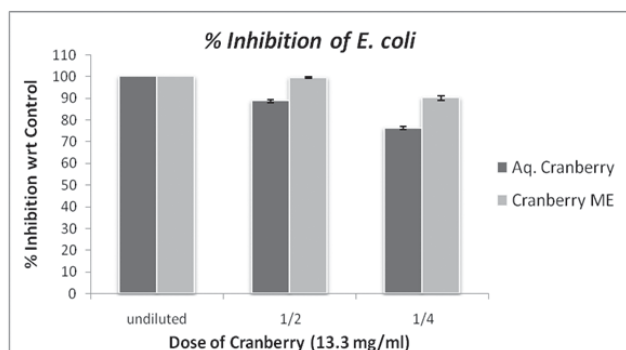
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Urinary Tract Infection (UTI) affects as many as 50% women at least once during their lifetime. The likelihood of treatment failure and serious complications, particularly the development of antimicrobial resistance, is widely reported. Consumption of cranberry juice has been already prevalent. Proanthocyanidins present in cranberries have antibacterial activity but the bioavailability of Proanthocyanidins are reported to be less than 1% in human plasma, hence, its use as an antibacterial agent is limited. In the present study, cranberry powder loaded microemulsion (ME) was prepared to enhance the stability and antibacterial potential. Thermodynamically stable cranberry ME was prepared within the nanometric size range. Antibacterial studies included disc diffusion assay and chequerboard microdilution assay. Results showed that encapsulation of cranberry powder into ME system, lowered the values of minimum inhibitory concentration as compared to that of aqueous formulation against *E. coli*, the main causative agent of UTIs. Results of DPPH assay indicated that ME system preserved the long term anti-oxidative potential of cranberry powder.



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PP3 - 56: PREVALENCE AND MONITORING OF ANEMIA IN PREGNANT WOMEN IN A TERTIARY CARE HOSPITAL

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The major aim of his program is to evaluate the prevalence of anemia in pregnant women in a tertiary care hospital and to counsel them on the importance to maintain a perfect hemoglobin level. To find the appropriate diet and to add iron therapy depending on the pregnant woman's anemic state.

The study is conducted in a 1200 bedded hospital for a period of 2 months. It included 109 patients who were diagnosed as anemic and included in the study by depending on their hemoglobin level. The treatment was suggested by physician and counseling by the clinical pharmacist depending on the stage of anemia.

WHO has estimated that prevalence of anemia in pregnant women is 14 % in developed and 51% in developing countries and 65-75 % in India. The prevalence of severe, moderate, mild anemia is 5.5 %, 49.5 %, 45 % respectively. After implementing the recommended treatment profiles depending on the stage of anemia the percentage improvement of hemoglobin after 3 weeks in the study subjects was mild cases 48%, moderate cases 74%, 66% severe cases.

The result of the study reveals that the percentage of hemoglobin was increased markedly in all groups to 62%. An adequate counseling and knowledge of understanding the disease can improve the patient adherence to medication which is only possible by a clinical pharmacist.

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PP3 - 57: A REVIEW ON TRENDS IN ANTIMICROBIAL DRUG DEVELOPMENT

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The need for new antimicrobial agents is greater than ever because of the emergency of multidrug resistance in common pathogens, the rapid emergency of new infections, and the potential for use of multidrug-resistant agents in Bioweapons. Paradoxically, pharmaceutical companies have indicated that they are curtailing anti infections research programs. Evaluation is made on the United States Food and drug Administration [FDA] databases of approved drugs and the research and development programs of the world's largest Pharmaceutical and biotechnology companies to document trends in the development of new antibacterial agents. Food and drug administration[FDA] approved of new antibacterial agents decreased by 56 percentages over the past 20 years [1998-2002 Vs 1983-1987]. Projecting future development, new antibacterial agents constitute 6 of 506 drug disclosed in the development programs of the largest pharmaceutical and biotechnology companies. Despite the critical need for new antimicrobial agents, the development of these agents is declining. Solution encouraging and facilitating the development of new antimicrobial agents are needed.

PP3 - 58: GLOBAL CHALLENGE OF ANTIBIOTIC-RESISTANT TREPONEMA PALLIDUM

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Syphilis is a multistage sexually transmitted infectious disease caused by *Treponema pallidum* and is usually transmitted through contact with active lesions of a sexual partner or from an infected pregnant woman to her foetus. The first outbreak of syphilis happened in Europe in 15th century and despite elimination efforts, syphilis remains endemic in many developing countries and has re-emerged in several developed countries, including China, where a widespread epidemic recently occurred. In the absence of a vaccine, syphilis control is largely dependent upon identification of infected individuals and treatment of these individuals and their contacts with antibiotics. Although penicillin is still effective, clinically significant resistance to macrolides, a

second-line alternative to penicillin, has emerged. Macrolide-resistant strains of *Treponema pallidum* are now prevalent in several developed countries. The Tetracyclines and Cephalosporins are also found to be effective in treating this disease. An understanding of the genetic basis of *T. pallidum* antibiotic resistance is essential to enable molecular surveillance. This review discusses the genetic basis of *T. pallidum* macrolide resistance and the potential of this spirochete to develop additional antibiotic resistance that could seriously compromise syphilis treatment and control.

PP3 - 59: RECENT APPROCHES IN THE FIELD OF ANTIMICROBIAL PEPTIDES IN INFLAMMATORY DISEASES A Review

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Antimicrobial peptides are cationic molecules, which participate in multiple aspects of the immune response including the control of inflammatory diseases, characteristic that make these molecules attractive as therapeutic tools. These peptides are produced in bacteria, insects, plants and vertebrates, and are classified together due to their capacity to directly inhibit the growth of microorganisms, and to regulate the immune response by inducing the secretion of chemokines and cytokines. Various families of antimicrobial peptides have been identified including the cathelicidins and defensins, the most investigated human antimicrobial peptides. This present work will cover the main biological functions of antimicrobial and cell-penetrating peptides in inflammation, and describe the importance and utility of antimicrobial peptides as therapeutics for inflammatory diseases.

PP3 - 60: THE GLOBAL NEED FOR EFFECTIVE ANTIBIOTICS-MOVING TOWARDS CONCERTED ACTION

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Antibiotic resistance has emerged as one of the greatest global health challenges to be addressed in the 21st Century. The risk of widespread antibiotic resistance threatens to mitigate the positive changes made in modernizing healthcare systems; therefore, fresh

approaches are essential, as well as new and effective antibacterial drugs. In a globalized world, a spectrum of different interventions and health technologies must be employed to contain antibiotic resistance. Finding ways of accelerating the development of new drugs and diagnostic tools is one strategy, as is better surveillance of antibiotic resistance and ways of improving use of existing antibiotics. Moreover, a framework to regulate use is called for to avoid that potential new antibiotics are squandered. Finally, the ongoing pandemic spread of resistant bacteria illustrates that the problem can only be addressed through international cooperation and thus that any new strategy to manage antibiotic resistance must take into consideration issues of global access and affordability.

PP3 - 61: A MODERN ERA – MEDICATED CHEWING GUMS

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Absorption of drugs through the oral cavity was noted as early as 1847 by Sobrero, the discoverer of nitroglycerin, and systemic studies of oral cavity absorption were first reported by Walton and Lacey in 1935. As a site for drug delivery, the oral cavity offers many advantages over other routes of drug administration. The mucosal lining of the oral cavity are readily accessible. Chewing gums are mobile drug delivery systems. It is a potentially useful means of administering drugs either locally or systemically via, the oral cavity. The medicated chewing gum has through the years gained increasing acceptance as a drug delivery system. Several ingredients are now incorporated in medicated chewing gum, e.g. Fluoride for prophylaxis of dental caries, chlorhexidine as local disinfectant, nicotine for smoking cessation, aspirin as an analgesic, and caffeine as a stay alert preparation. In addition, a large number of chewing gum intended for prevention of caries, xerostomia alleviation, and vitamin/mineral supplementation are currently available. Today improved technology and extended know how have made it possible to develop and manufacture medicated chewing gum with predefined properties.

PP3 - 62: ADVERSE EFFECTS OF ANTITUBERCULAR AGENTS

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Tuberculosis is a major cause of morbidity and mortality worldwide. Current available drugs are effective for

treatment of the disease, but may cause serious adverse effects. Current therapeutic regimens with isoniazid, rifampicin, pyrazinamide, ethambutol and streptomycin have been proved useful in treating tuberculosis. However, they are associated to a high rate of adverse effects that can lead to therapeutic failure. Toxic neuropathy and hepatitis are the most common adverse reactions to isoniazid. Rifampicin is generally well tolerated but some severe immuno-allergic reactions may occur in case of intermittent regimen. Pyrazinamide-induced liver injury is rare but sometimes lethal. Joint affections, usually due to hyperuricemia, are more frequent but easily manageable. Major adverse effect related to ethambutol is ocular optic neuropathy. It occurs dose-dependently and can be irreversible. Finally, administration of streptomycin is potentially associated with renal and cochleo-vestibular toxicity that might be milder than when induced by other aminoglycosides.

Hepatotoxicity due to isoniazid is a serious problem. Although overall incidence may be decreasing, after multiple studies on 1000 patients, 9.2 were compliant and fatality rate of 4.7% was seen. The incidence is higher with increasing age. Other serious adverse effects include dermatological, gastrointestinal, hypersensitivity, neurological, hematological and renal reactions. They can lead to drug discontinuation or even more serious morbidity or mortality.

Side effects to antituberculosis drugs are common, and include hepatitis, cutaneous reactions, gastrointestinal intolerance, haematological reactions and renal failure. These adverse effects must be recognised early to reduce associated morbidity and mortality.

PP3 - 63: DENGUE: A CONTINUING GLOBAL THREAT AND NEW STRATEGIES TO CONTROL IT.

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Dengue is among the most widespread mosquito-borne diseases. It is endemic in many tropical and sub-tropical parts of the world and is rapidly spreading to other countries where the mosquito vectors, *Aedes aegypti* and *Aedes albopictus* are found. There are four distinct DENV genotypes, DENV-1, DENV-2, DENV-3 and DENV-4. Currently there is no licensed vaccine for dengue and the development of vaccine has been very challenging due to the complexity of immune responses against dengue. Various clinical symptoms are caused by dengue virus ranging from mild fever to severe hemorrhagic fever while there is no successful anti-dengue therapeutics available. Among different strategies towards identifying and developing anti-dengue therapeutics, testing anti-dengue properties of known drugs could represent an efficient strategy for which information of its medical approval, toxicity and side effects is readily available There are a

number of plant-derived compounds with potential antiviral activity. These include, bioflavonoid, which are polyphenolic plant derivatives with many patented biological benefits including as antivirals. Baicalein is a flavone (C₁₅H₁₀O₅) commonly isolated from the root of *Scutellaria baicalensis*. *Scutellaria baicalensis* is one of the traditional Chinese medicinal herbs and is among the Labiatae family. Mefenamic acid, a non-steroid anti-inflammatory drug and doxycycline, a derivative antibiotic of tetracycline both showed significant inhibition potential against DENV2 thus hoping a cure for dengue.

Rothan HA¹, Buckle MJ², Ammar YA¹, Mohammadjavad P¹, Shatrah O¹, Noorsaadah AR³, Rohana Y¹. Study the antiviral activity of some derivatives of tetracycline and non-steroid anti-inflammatory drugs towards dengue virus. *Trop Biomed.* 2013 Dec; 30(4):681-90.

Zandi K¹, Teoh BT, Sam SS, Wong PF, Mustafa MR, Abubakar S. Novel antiviral activity of baicalein against dengue virus. *BMC Complement Altern Med.* 2012 Nov 9;12:214. Doi: 10.1186/1472-6882-12-214.

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PP3 - 64: TINOSPORA CORDIFOLIA (THUNB): STANDARDIZED HERB

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Tinospora cordifolia (Thunb.) Miers. (Menispermaceae) is an important herb of tropical India in Ayurvedic system of medicines. It has been traditionally long been used for treatment of diabetes, jaundice, chronic diarrhea, cancer, dermatological diseases, general debility, and asthma. The present article explored the published scientific literature to compile the traditional and scientific data comprising pharmacognostic description, therapeutic uses, phytochemical constitution, chromatographic evaluation (using HPLC and HPTLC), and safety profile of *T. cordifolia* to date. Various constituents belonging to different categories such as alkaloid, terpenoid, glycoside, steroid, phenolic compounds, lignan, and polysaccharide have been reported. Some of the constituents are accounted for some pharmacological activities of the herb. Different HPLC and HPTLC methods reported for determination of some of its phytoconstituents are also discussed. The plant exhibits varied pharmacological activities such as antidiabetic, uroprotective, anti-inflammatory, anti-allergy, anticancer, antifertility, antioxidant, immunomodulatory, and memory boosting. A study on safety profile suggests the plant to be safe for its therapeutic uses. Hence, with standardization of herbs becoming an integral part of the herbal drug development, the present review will provide phytochemical scientists with comprehensive information on therapeutic, phytochemical, and chromatographic data of *T. cordifolia* to tap its unexplored potential with more scientific approach.

PP3 - 65: GRAFTING OF NATURAL GUM FOR BETTER DRUG DELIVERY

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Natural gums are promising biodegradable polymeric materials. Many studies have been carried out in fields including food technology and pharmaceuticals using gums and mucilages. It is clear that gums and mucilages have many advantages over synthetic materials. Various applications of gums and mucilages have been established in the field of pharmaceuticals. However, there is a need to develop other natural sources as well as with modifying existing natural materials for the formulation of novel drug delivery systems, biotechnological applications and other delivery systems. Natural gums have been modified to overcome certain drawbacks, like uncontrolled rate of hydration, thickening, drop in viscosity on storage, and microbial contamination. Since the implementation of polymeric materials in the field of pharmaceutical technology, numerous attempts have been made to modify their physical and chemical properties, and thus, their potential applicability in various areas of drug formulation. Therefore, in the years to come, there will be continued interest in natural gums and their modifications aimed at the development of better materials for drug delivery systems. Present review highlights different grafted natural gums used better delivery of drug.

PP3 - 66: FORMULATION AND EVALUATION OF NEW EFFERVESCENT TABLET OF FAMOTIDINE FOR PEPTIC ULCER THERAPY

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The solid dispersion of famotidine was formulated by using hydrophilic polymer like Kollidon VA 64 with their drug – polymer molar ratio as 1:1, 1:3, and 1:5. From DSC and PXR data clearly shows that crystallinity of famotidine is decreased at certain drug polymer ratio. SEM images show that also changes in the surface morphology of famotidine due to molecular level dispersion of drug in solid dispersion. Among all prepared solid dispersion system which contains 1:3 ratios of famotidine and Kollidon VA 64 has shows 4.5871 (mg/ml) increases solubility than pure famotidine 1.4111 (mg/ml). Fast dissolving effervescent tablets of optimized solid dispersion batches were prepared using citric acid, effersoda, Ludipress LCE with direct compression technique. The prepared effervescent tablets were evaluated for precompression and post compression characteristics.

Response surface plots are presented graphically to represent the effect of independent variable on the hardness, disintegration time, and friability. An improved therapeutic objective can be obtained by formulating effervescent tablet of famotidine that may help in obviating the disadvantages of slow release and slow absorption and forms a palatable preparation.

PP3 - 67: SCREENING OF STRESS RELATED FACTORS IN RURAL ADOLESCENT POPULATION

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Hypertension is a major health problem across the globe because of its high prevalence. It is suggested that hypertension has its roots in adolescent age but goes undetected unless it is properly diagnosed. Hence this study was conducted in a rural setup in Nayadupetta, Andhra Pradesh among children about their sleep time, diet, obesity etc. A study was conducted at Nayadupetta, Andhra Pradesh during January 2014. The study was conducted among school children between the age group of 13 to 17. Blood pressure readings were analysed as per guidelines of JNC-7. Obesity has been increasing among adolescent kids. This is primarily due to eating of junk foods, lack of physical activity. Obesity is one of the major contributors of hypertension. 152 participants have a normal BP, while 11.48% participants have hypotension. 9.70% population had stage 2 secondary hypertension while 14.80 persons fall with stage 1 hypertension. The incidence of hypertension is higher among the adolescents. Proper care should be shown to children with hypertension as this may virtually be a tougher aspect to deal with.

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3. Ethical guidelines for biomedical research on human participants Indian Council of Medical Research; 2008 from: http://icmr.nic.in/ethical_guidelines.pdf

PP3 - 68: FORMULATION AND EVALUATION OF CURCUMIN INVASOMES

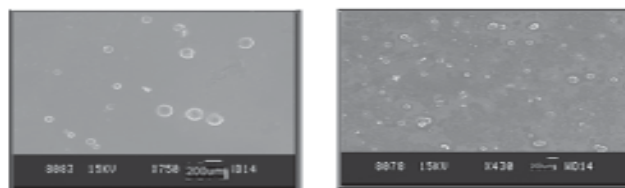
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The transdermal route is one of the most successful innovative research areas in drug delivery. The main objective of this study was to improve the solubility and stability of curcumin by complexation and formulating into invasomes. Curcumin has been complexed with hydroxy propyl α cyclodextrin (HP α CD) by co-precipitation method. The formulation was optimised using different ratios of curcumin to HP α CD. The optimised complex was formulated into invasomes using mechanical dispersion technique. Invasomes were characterized for vesicular shape, size, zeta potential, entrapment efficiency and percutaneous permeation. Terpenes such as limonene, fenchone and nerodiol of 0.5%, 1% and 1.5% were used as penetration enhancers. All the formulations have possessed entrapment efficiency of 58.4 \pm 0.06% to 93.5 \pm 0.08%. Diffusion studies were conducted using Franz diffusion cells for about 24 hours. Ex-vivo skin permeation was conducted on the rat abdominal skin. The formulation CHL1 containing lipophilic terpene limonene 0.5% showed cumulative amount permeated at 24 hrs of 70.32 μ g/cm², the steady state transdermal flux of 3.344 μ g/cm²/hr, permeability coefficient of 5.35 cm/hr and lag time of 1 hr. The permeation was enhanced by 8.11 fold when compared with control. Statistical analysis using one way ANOVA (Tukey's multiple comparison test) was performed. Results indicated that the terpene has a significant effect on permeability coefficient and the effect of permeation enhancer on the flux was found to be significant for the formulation CH L1 (limonene 0.5%) when compared to control.



SEM photographs of optimized formulations

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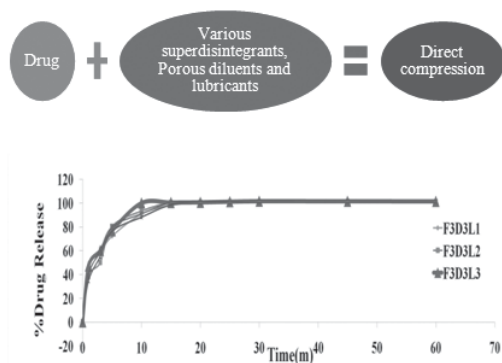
PP3 - 69: DESIGN OF ACEBROPHYLLINE ORODISPERSIBLE TABLETS AND ASSESSMENT OF INFLUENCE OF DISCRETE DILUENTS AND SUPER DISINTEGRANTS

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Oral dispersible tablets of acebrophylline were formulated with an aim to improve the versatility, patient compliance and accurate dosing. Acebrophylline oral dispersible tablets were prepared by direct compression method using various superdisintegrants, diluents and lubricants exhibited good preformulation and tableting properties. Of all the superdisintegrants, the formulation contained Pharmaburst showed better performance in terms of disintegration time, wetting time and dissolution rate etc. The formulation F3D3L3 was found to be the best among the all eighteen acebrophylline ODT formulations because it has exhibited faster disintegration time of 6.3 seconds when compared to the other formulations and it showed (100.14%) drug release at the end of 10 minutes. The reason might be due to the particle size, porous nature and channeling effect.



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PP3 - 70: NOOTROPIC ACTIVITY OF CURCUMA AMADA (MANGO GINGER) ROXB. IN RATS BY USING Y-MAZE AND ELEVATED PLUS MAZE

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Alzheimer's disease (AD) is the most prominent cause of dementia which is characterized by progressive deterioration of cognitive function. Memory loss referred to as dementia, is also commonly associated with number of diseases or disorders including multiple sclerosis, nutritional deficiencies, sleep disorders, Parkinson's disease, Huntington's disease etc. Earlier studies state that traditionally herbal drugs play a key role in the treatment of

dementia. The present study was focused to evaluate the nootropic activity of Curcuma amada in rats by Y- maze & elevated plus maze task performance. Acetonic extract of Curcuma amada (100,300 mg/kg p.o), aqueous extract of Bacopa monnieri were compared for its nootropic activity with amnesic control scopolamine. Curcuma amada 300 mg/kg had shown significant nootropic activity when compared with 100 mg/kg of standard Bacopa- monnieri. Curcuminoids possess antioxidant and Acetylcholinesterase (AChE) activity which was reported in earlier studies. Therefore curcuminoids might be responsible for nootropic activity of Curcuma amada.

PP3 - 71: PHARMACOLOGICAL EVALUATION OF ANTI-INFLAMMATORY ACTIVITY OF COMBINED EXTRACT OF OCIMUM SANCTUM AND CURCUMA LONGA IN CARRAGEENAN INDUCED PAW EDEMA IN WISTAR RATS

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Inflammation is a local response of living mammalian tissues to the injury. It is a body defense reaction in order to eliminate or limit the spread of injurious agents. Drugs which are in use presently for the management of pain and inflammatory conditions possess well known adverse effects. Moreover, synthetic drugs are very expensive to develop and whose cost of development ranges from 0.5 to 5 million dollars. On the contrary, many medicines of plant origin had been used since long time without any adverse effects. In this regard, the present study aims to screen the anti-inflammatory potential of combined extracts of *Ocimum sanctum* and *Curcuma longa*. *Ocimum sanctum* is an aromatic plant belonging to the family Labiateae. It is claimed to be used for antimicrobial, immunomodulatory, anti-stress, anti-inflammatory, antipyretic, hypotensive, analgesic activities. *Curcuma longa* is a perennial herb which is known as turmeric, is a member of zingiberaceae. It is claimed to be used for antiseptic, antibacterial, antifungal, antioxidant, hepatoprotective, antirheumatic, anti-inflammatory activities. In the present study, the effect of extracts of *Curcuma longa* and *Ocimum sanctum* were studied both individually and in combination in different groups in carrageenan induced paw edema in wistar rats. The results demonstrated that combined extracts of *Curcuma longa* and *Ocimum sanctum* exhibited significant anti-inflammatory activity against Carrageenan induced paw edema in wistar rats.

PP3 - 72: EVALUATION OF ETHANOLIC EXTRACT OF BARK OF MYRICA ESCULENTA FOR ANTIULCER ACTIVITY

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The antiulcer activity of ethanolic extract of bark of *Myrica esculenta* (Myrcaceae) was investigated on pyloric ligation model, ethanol induced model, Indomethacin induced ulcer model and Cysteamine induced duodenal models in wistar rats. The extract 100mg/kg and 200mg/kg p.o., showed significant reduction in gastric volume, ulcer index, total acidity, free acidity compared to control. Significant reduction in lipid peroxidation and significant increase in catalase and nitrate proved their antioxidant property.

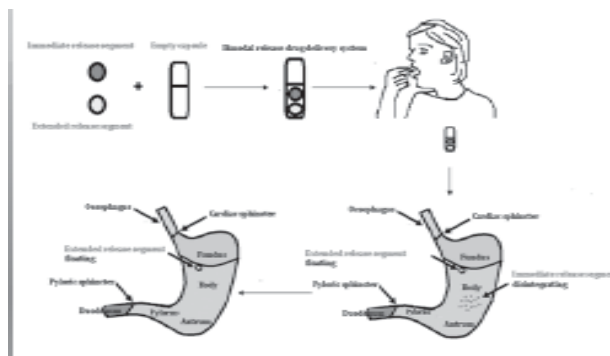
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PP3 - 73: BIMODAL GASTRORETENTIVE DRUG DELIVERY OF LAMOTRIGINE USING IMMEDIATE AND EXTENDED RELEASE TABLETS ENCAPSULATED IN HPMC CAPSULES

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The objective of present investigation is to formulate and evaluate Bimodal Gastro Retentive Drug Delivery Systems (BMGRDDS) containing lamotrigine comprising immediate and extended release segments incorporated in HPMC

capsules. Here the immediate release segment worked as loading dose and extended release segment as maintenance dose. The results of release studies of formulations FHM to FDM (HPMCAS) shown that as the percentage of polymer increased, the kinetics of release decreased. Formulation FDM (HPMCAS) showed a lag time of one hour and then started releasing slowly up to 11 hours. Each and every formulation showed extended release patterns but the main aim here is lag time of one hour which is achieved only by FDM (HPMCAS) formulation which is further selected as best formulation for further studies.



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PP3 - 74: FORMULATION AND EVALUATION OF FAST DISSOLVING ORAL FILM OF ZOLMITRIPTAN BY NATURAL POLYMERS

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The purpose of the present investigation is to formulate fast dissolving oral films of Zolmitriptan for the treatment of acute migraine attack. Films were prepared by solvent casting method using Natural Polymers Xanthan gum, Guar Gum, Sodium Alginate, Aloe Vera Powder as the film forming polymer and PEG-400 as the plasticizer. Vanillin was used as taste masking agent in the formulations. Sodium Alginate has excellent film forming capacity with rapid hydration power which leads to rapid disintegration of film upon contact with saliva. The concentrations of the polymers and plasticizer were selected as independent variables. Eight formulations were prepared. The thickness, folding Endurance, disintegration time, % drug released and drug content were selected as dependent variables. The optimized

formulation, F6 was found superior than remaining 7 batches. Among all the formulations, F6 has shown maximum drug release of $99.96 \pm 0.01\%$ within 8 min and a very low disintegration time of 8.33 ± 0.57 sec due to super-disintegrant Sodium Starch Glycolate. Hence the films made of 2%w/v of Sodium Alginate and PEG-400 showed excellent film forming property with rapid drug release profile. FT-IR studies revealed that there is no physicochemical interaction between polymer and drug. Stability studies revealed that optimized formulation was stable as the %drug release at the end of 3rd month was $99.1 \pm 0.05\%$. The observed independent variables were found to be most satisfactory formulation which demonstrates the feasibility of the optimization procedure in successful development of fast dissolving oral film containing Zolmitriptan by using Sodium Alginate, PEG-400 and Vanillin as key excipients.

PP3 - 75: RECENT TRENDS IN PULSATILE DRUG DELIVERY SYSTEM

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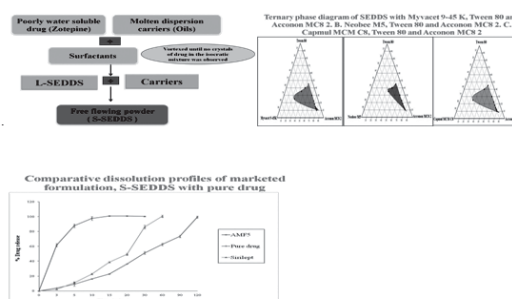
The ability to deliver therapeutic agents to the patients at the right site of action & in right amount has been a matter of interest recently. Oral controlled drug delivery systems represent the most popular form of controlled drug delivery systems for the obvious advantages of oral route of drug administration. However, there are certain conditions for which such a release pattern is not suitable like cardiovascular diseases, Diabetes mellitus, Asthma, Arthritis, Peptic ulcer etc. In such cases Pulsatile drug delivery system is used in which release drug on programmed pattern i.e. at appropriate time & at appropriate site of action. These systems are designed according to the circadian rhythm of the body. PDDS has the potential to deliver the drug where nocturnal dosing is required and for the drugs which show first pass effect. PDDS can be classified into time controlled systems wherein the drug release is controlled primarily by the delivery system, stimuli induced PDDS in which release is controlled by the stimuli, such as the pH or enzymes present in the intestinal tract or enzymes present in the drug delivery system. Various systems like capsular systems, osmotic systems, single and multiple-unit systems based on the use of soluble or erodible polymer coating and use of rupturable membranes, marketed technologies are covered in the review article.

PP3 - 76: DEVELOPMENT OF SELF EMULSIFYING DRUG DELIVERY SYSTEMS OF ZOTEPINE AND INVESTIGATION OF EFFECT OF DISCRETE SOLID CARRIERS.

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Zotepine is an atypical antipsychotic drug indicated for acute and chronic schizophrenia. Self emulsifying drug delivery systems with improved by adsorbing on to a carrier thus making it avail even for tableting and capsule filling as well. The carriers may be either hydrophobic or hydrophilic in nature. Both hydrophilic and hydrophobic have been utilized equally to provide large interfacial surface area for drug absorption to attain enhanced and uniform bioavailability. Additionally the hydrophobic carriers disperse the drug molecularly within the insoluble matrix and the hydrophilic carriers increase the wettability thus enhancing dissolution. More over these carriers are good stabilizers and also are biocompatible making them safe and efficient. The carriers also increase the lipid exposure and drug loading with good content uniformity kept in concern. Of all the formulations AMF5 has highest percent drug release. The cumulative percent drug release from AMF5 was found to be $98.71 \pm 2.49\%$ within 10min, was significantly highest than the pure drug ($16.19 \pm 0.43\%$) and marketed formulation sirilept (22.71 ± 0.99). From the dissolution parameters calculated it was evident that the drug release was faster and the dissolution efficiency was highest for optimized formulation when compared to pure drug which might be due to the increased effective surface area and alteration in native crystalline form of the drug.



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PP3 - 77: PREVALENCE AND MONITORING OF ANEMIA IN PREGNANT WOMEN IN A TERTIARY CARE HOSPITAL

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The major aim of his program is to evaluate the prevalence of anemia in pregnant women in a tertiary care hospital and

to counsel them on the importance to maintain a perfect hemoglobin level. To find the appropriate diet and to add iron therapy depending on the pregnant woman's anemic state.

The study is conducted in a 1200 bedded hospital for a period of 2 months. It included 109 patients who were diagnosed as anemic and included in the study by depending on their hemoglobin level. The treatment was suggested by physician and counseling by the clinical pharmacist depending on the stage of anemia.

WHO has estimated that prevalence of anemia in pregnant women is 14% in developed and 51% in developing countries and 65-75% in India. The prevalence of severe, moderate, mild anemia is 5.5%, 49.5%, 45% respectively. After implementing the recommended treatment profiles depending on the stage of anemia the percentage improvement of hemoglobin after 3 weeks in the study subjects was mild cases 48%, moderate cases 74%, 66% severe cases.

The result of the study reveals that the percentage of hemoglobin was increased markedly in all groups to 62%. An adequate counseling and knowledge of understanding the disease can improve the patient adherence to medication which is only possible by a clinical pharmacist.

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PP3 - 78: ETHICS IN PHARMACEUTICAL RESEARCH

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The alarming cost of new drug discovery research makes it extremely unattractive for research in the area of infectious diseases especially those affecting the third world countries. The present paper deals with the issues faced globally in the prevention and treatment of infectious diseases and the challenges of bringing affordable therapies to the poorest of the poor around the globe.

The continued focus of the big pharma-companies on treatment for life style diseases means continued low investment on infectious diseases widening the already existing gap in the therapeutic segment which needs maximum effort at affordable cost.

The paper deals with the ethical questions which need to be answered by all of us when it comes to dealing with host of neglected tropical diseases (NTDs) like hookworm infection, soil-transmitted helminth infections, Chagas disease, schistosomiasis, leishmaniasis, trachoma, leprosy, lymphatic

filariasis, leptospirosis and cysticercosis accounted for an estimated 177,000 deaths worldwide in 2002, and about 20 million DALYs, or 1.3% of the global burden of disease and injuries, which are nearly forgotten and which affect a huge swath of population in the hullabaloo of block buster drugs for lifestyle diseases like cholesterol lowering or erectile dysfunction for example which are useful to barely 1% of the global population.

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PP3 - 79: FORMULATION AND EVALUATION OF SINTERED MATRIX TABLETS OF DILTIAZEM HYDROCHLORIDE

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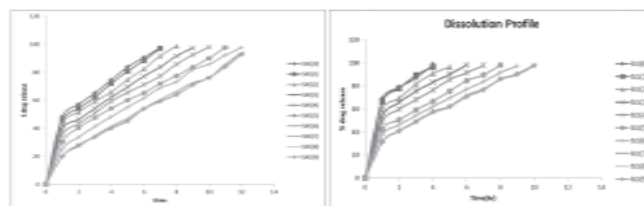
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Diltiazem hydrochloride (DHC), an orally active calcium channel blocking agent, is used in the treatment of angina pectoris, hypertension and arrhythmia. DHC has a shorter half life which makes it suitable candidate for sustained release matrix tablets to decrease the frequency of administration. In present investigation an attempt was made to develop matrix tablets of DHC using lubritab and xanthan gum as release retarded material. Furthermore the prepared tablets were subjected to sintering technique, where the cross linkage within the polymeric structure was increased by applying two methods i.e. exposing tablets to elevated temperature and also to acetone vapors. The tablets so designed were evaluated and found to have acceptable physicochemical properties. Formulation SL2 containing lubritab (0.30 ratio with drug) which was exposed to 40°C and 50°C for 6 hrs and 4 hrs respectively and formulation SX2 containing xanthan gum (1.5 ratio with drug) which was exposed to acetone vapors for a period of 5 hrs has shown optimum dissolution profile. The *in vitro* release data of optimized formulations SL2, SX2 concluded that drug release followed zero order kinetics with anomalous transport mechanism and case II respectively. Based on the results, sintered tablets of diltiazem formulated using xanthan gum and lubritab provides a better option for controlled release action and improved bioavailability.

Dissolution Profile of SX2 Formulations at 40°C and SL2 formulations at 50°C respectively.



Dissolution Profile of SX2 Formulations at 40°C and SL2 formulations at 50°C respectively.

Sameer Shafi, Chowdary K.A. Formulation and evaluation of sintered matrix tablets of Diltiazem HCl. *Int J. Pharm.* 2011; (3):16-19.

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PP3 - 80: EFFECT OF ETHANOLIC EXTRACT OF LEAVES OF CROTALARIA JUNCEA ON HIGH FAT DIET INDUCED HYPERLIPIDEMIC AND HYPERGLYCEMIC RATS

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To study the effect of the ethanolic extract of leaves of *Crotalaria juncea* on lipid profile, body weight and blood glucose levels of high fat induced hyperlipidemic and obese male Albino rats and to compare it with standard Simvastatin. Ethanolic extract of leaves of *Crotalaria juncea* was prepared from shade dried leaf powder by successive soxhlation. Hyperlipidemia and obesity was induced in male albino Wistar rats by administering high fat diet up to 42 days. On 28th day rats with body weight more than 250 gms were considered for experiment. Ethanolic extract (200 mg/kg and 400 mg/kg) and standard simvastatin (standard) was administered to hyperlipidemic and obese rats. Body weight was recorded on the start day, 29th and 43rd day of experiment and lipid profile and blood glucose levels are estimated and recorded on 29th and 43rd day. Histopathological evaluation was performed on liver tissue after sacrificing the animals on 43rd day.

Treatment with ethanolic extract showed a significant decrease in the cholesterol, triglycerides, LDL, VLDL, blood glucose and body weight levels when compared to control group. On the other hand HDL levels were increased significantly when compared to control group. Histopathological evaluation of liver tissue showed less fatty cytoplasmic vacuoles in ethanolic extract treated group when compared to control group.

From the experimental results it was observed that the ethanolic extract of *Crotalaria juncea* possess antihyperlipidemic and antihyperglycemic activity in a dose dependent manner.

PP3 - 81: DEVELOPMENT OF NON-AQUEOUS EMULSION BASED ANTI-WRINKLE FORMULATION CONTAINING VITAMIN C

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Generally emulsions are water-in-oil or oil-in-water type, but emulsions can be emulsions may contain polar liquid as one of the phase. Non-aqueous emulsions are useful in many situations where presence of water is not desirable, for example formulation of active ingredients which undergo hydrolysis or oxidation in presence of water. The objective of the study was to formulate a stable non-aqueous emulsion by using cosmetically approved ingredients which will serve as a vehicle for the water sensitive active ingredients. A non-aqueous system was obtained with glycerin and mineral oil stabilized by glycerol monostearate. It was observed that emulsification was completely unpredictable and conventional theories of emulsification and HLB system cannot be applied here. An optimized non-aqueous cream was obtained by implementing Box-Behnken experimental design. Ascorbic acid was used as model drug which converts into dehydro ascorbic acid in presence of water. Non-aqueous cream was evaluated by pH, rheology, spreadability, drug content, globule size analysis. In-vitro drug release shows slow permeation rate but increased retention of ascorbic acid in skin. Stability studies were carried out at 5°C, 25°C and 40°C. Cream was stable at 5°C and 25°C. A comparative study with aqueous formulation shows that non-aqueous cream offers a good stability for ascorbic acid.

PP3 - 82: TRANSDERMAL PERMEATION ENHANCEMENT OF IBUPROFEN AND ITS SOLID DISPERSIONS

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Terpenes are the most promising natural chemical enhancers used to amplify the transdermal permeation of drugs, among them monoterpenes and sesquiterpenes have been widely suggested. Permeation enhancement effect of various terpenes (nerolidol, farnesol, limonene, linalool, menthol, geraniol, carvone, fenchone etc.) in various combinations of preparations on ibuprofen (IBU) and its solid dispersions (IBUSD₁) from Carbopol 941 gel formulations (0.9%) were studied. Skin permeation studies and release kinetics have shown farnesol (2.5 & 5%) and geraniol (2.5%) had the best permeation enhancement on the release rate of ibuprofen gel. Limonene (2.5%), geraniol (2.5 & 5%) had the best permeation enhancement on the release rate of ibuprofen solid dispersion gel. Ex-vivo studies of ibuprofen gel revealed that farnesol with highest lipophilicity (log P 5.31) significantly (p < 0.0001) increased the flux and permeability coefficient compared to control, with a flux rate of 605.6ig/

cm²/hour and permeability coefficient of 6.2 cm/hr and decrease in the lag time of 0.12 hours. Studies of ibuprofen solid dispersion gel revealed that limonene with highest lipophilicity (log P 4.58) significantly ($p < 0.0001$) increased the flux and permeability coefficient compared to control with a flux rate of 738.6 μ g/cm²/hour and permeability coefficient of 8.86cm/hr and decrease in the lag time of 0.08 hours. Rank order of enhancement effect in terms of boiling point of terpenes for ibuprofen gel was farnesol (111°C) > geraniol (230°C), similarly rank order ibuprofen solid dispersion gel was limonene (176 °C) > geraniol (230°C).

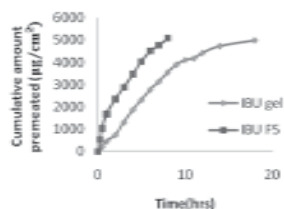
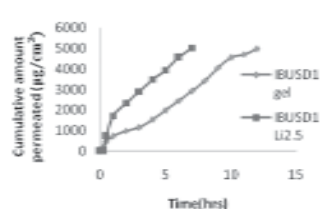


Fig no.1.1: Comparison of ex-vivo permeation of IBU_{gel} and IBU_{F5} formulation. Fig no.1.2: Comparative ex-vivo permeation profile of IBUSD_{1gel} and IBUSD_{1Li 2.5} formulation.



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PP3 - 83: THE SYSTEMATIC REVIEW ON CLINICAL PHOTODYNAMIC THERAPY FOR THE TREATMENT OF CANCER

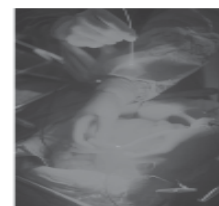
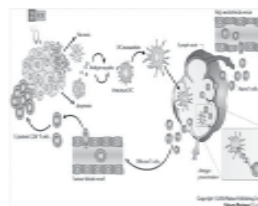
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Photodynamic Therapy (PDT) is the use of a light-sensitive drug in combination with light of a visible wave length to destroy target cells. PDT is used either as a primary treatment or as an adjunctive treatment. It is fairly well accepted in clinical practice for some types of cancers but has yet to be fully explored as a treatment of all cancers. Photodynamic therapy has received increased attention since the regulatory approvals have been granted to several photosensitizing drugs and light applicators world-wide. Much progress has been seen in clinical photodynamics in recent years. This review will focus on new developments of clinical

investigation and discuss the usefulness of various forms of PDT techniques for palliative treatment of malignant and non-malignant diseases. The main objective is the clinical effectiveness, safety of PDT in the treatment of the following cancers: biliary tract, brain, head and neck, lung, oesophageal and skin.



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PP3 - 84: GASTROPROTECTIVE ACTIVITY OF HIBISCUS ROSA SINENSIS FLOWER EXTRACTS IN ULCER INDUCED RATS

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To investigate the gastroprotective activities of the aqueous and ethanol extracts of Hibiscus rosa-sinensis flower, belong to the family malvaceae. Aqueous and ethanol extracts of 250 and 500 mg/kg body weight were selected and evaluated for gastroprotective activity by using Pylorus ligation, aspirin induced and ethanol induced ulcer models. Preliminary qualitative phytochemical screening revealed the presence of carbohydrates, proteins, flavonoids, alkaloids and Tannins. Both the extracts showed significant [P 0.05] gastro protective action in all the gastric ulcer induced models comparable to standard. Aqueous extract 250 mg/kg offered more percentage of protection, 84.17% [Lansoprazole 86.35%], 77.12% [Lansoprazole 80.10%] and 76.8% [Sucralfate 78.12%] in pylorus ligation, aspirin induced and ethanol induced ulcer models respectively. The protective effect observed is attributed to its effect on mucus production, increase in nucleic acid and non-protein sulfhydryl concentration, which appears to be mediated through its free radical-scavenging, thyroid-stimulating and prostaglandin-inducing properties.

**PP3 - 85: COMPARATIVE TRANSDERMAL PERMEATION
PROFILE OF LAMOTRIGINE USING TERPENES IN
DIFFERENT CONCENTRATIONS**

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Lamotrigine an anti epileptic drug used as an adjuvant in epileptic therapy offers several disadvantages when administered through oral route. To ameliorate the shortcomings the drug was planned to formulate as a transdermal patch. The transdermal patch was prepared by solvent casting method using hydrophilic polymers like HPMC E5LV and hydrophobic polymers like Eudragit RL100 and Eudragit RS100 using natural permeation enhancers like terpenes in two different concentrations. The prepared patches were evaluated for drug content, weight variation, thickness, folding endurance, in vitro diffusion study, ex vivo permeation study and skin irritation studies. The formulation containing Eudragit RL100: HPMC E5 LV in 2:3 ratios without permeation enhancer was optimized and was again prepared using different terpenes [nerolidol, farnesol, limonene, linalool, menthol, geraniol, fenchone and carvone, in two different concentrations (2.5% & 5%)] as permeation enhancers. Among all the terpenes used, the formulation containing limonene in 2.5% & 5% were found to have higher drug release i.e., 88.5% and 92.41% in 12 hours while others were not found to show satisfactory results in 12 hours (i.e. release was less than 70%). The results concluded that with increase in the concentration of terpenes increased the permeation of the drug through the skin.



Fig no. 1: Membrane mediated transdermal drug delivery system.

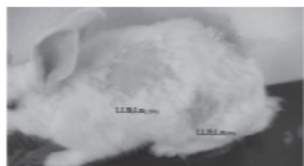


Fig no. 2: After 72 hours of application of formulation patches

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**PP3 - 86: ANTIBACTERIAL ACTIVITY OF OXALIS
CORNICULATA AND ASTERACANTHA LONGIFOLIA
AGAINST SOME IMPORTANT HUMAN PATHOGEN**

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Oxalis corniculata Linn. (Family; Oxalidaceae) which is indigenous & subtropical and rich in fatty acids and possesses important activities like antioxidant, anticancer, anti-inflammatory etc, and *Asteracantha longifolia* Linn. (Family Acantheceae) which is known as Talmakhana in ayurveda system of medicine, it is important for ailments like diuretics, jaundice, diopsy, rheumatism, hepatic obstruction and dissolution of gallstones, kidney stones etc was tested for antibacterial activity against some important human pathogenic bacteria. Powdered leaf material was extracted with different solvents viz., petroleum ether, chloroform, methanol and ethanol using Soxhlet apparatus. All the solvent extracts were evaporated to dryness using rotary flash evaporator. Dry residue was dissolved in respective solvents (1:10 w/v) and tested for antibacterial activity. All four solvents tested showed different results to different pathogenic organisms.

**PP3 - 87: A CASE OF RIGHT LOWER LOBE CONSOLIDATION
WITH COLLAPSE AND SUSPECTING PULMONARY
TUBERCULOSIS**

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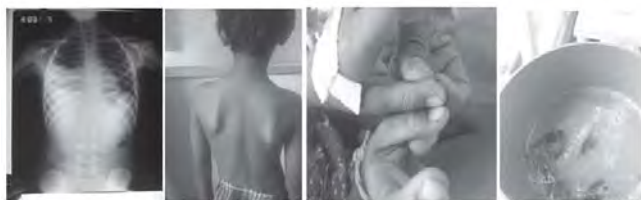
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This is the case of a 9 year old male patient weighing 19kgs and admitted to a paediatric department with chief complaints of fever and cough since 15 days, pain over the cervical region since 1 week, associated with chills, rigours and weight loss. On general examination signs of dehydration like sunken eyes, dry mucous membrane and pain over neck with sick look, meningismus positive with pedal edema and clubbing (grade II). On inspection in sitting position visible contraction of sternocleidomastoid positive in drawing of supraclavicular fossa on right side positive. Shape of chest doesn't appear bilateral symmetrical, drooping of shoulder positive on right side, with scoliosis, tenderness over right chest wall through auscultation. The patient was diagnosed as right lower lobe consolidation with collapse. He was admitted for two weeks with initiated on antibiotics, Ceftriaxone 1gm, Amikacin 150mg and salbutamol 0.5ml 2 hrly. Syrup. Ibugesic and Syrup Mefenamic acid 100mg for 7days. He had improved air entry on right side, fever subsided, cough reduced and the patient felt better. After two days patient complaints of pleuritic chest pain, rusty sputum and montoux test was strongly positive and was diagnosed as suspecting pulmonary T.B. He was started on A.T.T After X-ray with other antibiotics for 7days + Salbutamol 0.5ml for 4 days and A.T.T given alternate day for 3 days. The

patient had dramatic improvement in signs with antibiotics therapy without A.T.T



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PP3 - 88: ANTIMICROBIAL AGENTS: DEVELOPMENT OF AN EFFICIENT SYNTHESIS ROUTE FOR SUBSTITUTED TETRAZOLES VIA $B(C_6F_5)_3$ CATALYZED (3+2) CYCLOADDITION OF NITRILES AND SODIUM AZIDE

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Tetrazoles are an important class of heterocycles with a wide range of application in the field of medicinal chemistry.¹ The tetrazoles are representative of active pharmacophores for several therapeutic active molecules such as antibiotic, antiviral, antiallergic, anti-inflammatory, and receptor modulator activities, etc.,² Tetrazole derivatives have potential in drug development for HIV and other immune diseases³ and also play an important role in metabolically stable surrogate for a carboxylic acid group in biologically active molecules.⁴ This broad utility provoked significant effort towards the tetrazole synthesis. Various methods have been reported for the synthesis of 5-substituted 1H-tetrazoles, however, some of the reported methods suffer from drawbacks. In view of the demands of organic syntheses, A simple and efficient protocol is developed for the synthesis of 5-substituted 1H-tetrazole derivatives from various nitriles and sodium azide (NaN_3) via (3+2) cycloaddition reaction using $B(C_6F_5)_3$ as a catalyst. The present synthetic method displayed significant advantages such as simple methodology, low catalyst loading, mild reaction conditions, non-toxic, easy work-up, high yields and compatibility with other functional groups.

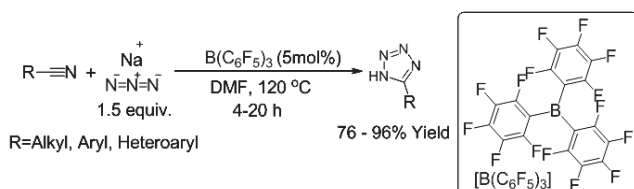


Figure 1: Synthesis of 5-phenyl 1H-tetrazole

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PP3 - 89: DESIGN AND SYNTHESIS OF NOVEL INDOLE LINKED AMINOPYRIMIDINE DERIVATIVES

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The ability to develop compounds that are biologically active and can express anticancer abilities is a leading component of organic and medicinal chemistry today. In this context, indole and aminopyrimidine are found to be representative of active pharmacophore in several biologically important molecules such as anticancer, anti-inflammatory, anti-HIV, anti-malarial, antimicrobial and anticonvulsant. In recent years, multilevel development of cancer has been mainly attributed to the over expression of protein tyrosine kinases. It is revealed from literature that indole, aminopyrimidine as well as indole linked nitrogen containing heterocycles, showed wide range of therapeutic activity by inhibiting protein tyrosine kinase enzymes. Encouraged by diverse biological activities of indole and aminopyrimidine motif, we planned to link these two substituted scaffolds in a single chemical entity, which might prove to be more potent than existing molecules.

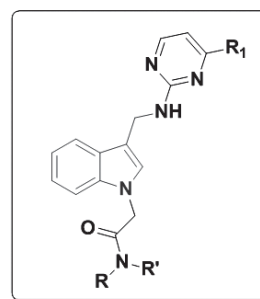


Figure 1: Designed Indole linked Aminopyrimidine Scaffold

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PP3 - 90: DESIGN, AND SYNTHESIS OF INDOLE AMIDE LINKED ISOXAZOLINE DERIVATIVES AS POTENTIAL HISTONE DEACETYLASE INHIBITORS

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Histone deacetylase inhibitors (HDACi) comprise structurally diverse compounds that are a group of targeted anticancer agents. Most inhibitors of histone deacetylases (HDACs) are hydroxamic acid derivatives typified by SAHA, Trichostatin, but these are associated with poor pharmacokinetics, severe toxicity and do not show isozyme selectivity whereas many non-hydroxamate HDAC inhibitors have shown selectivity. Hence in recent years there has been a considerable interest in the development of non-hydroxamate based HDAC inhibitors and attracted a deal of attention. The recent identification of indole and isoxazoline analogues as potential HDAC inhibitors is continuously drawing interest for development of newer anticancer lead molecules. Prompted by reported biological activities of indole and isoxazoline moieties, we designed a series of novel indole-linked isoxazoline compounds as non-hydroxamate based derivatives, which may emerged as potent HDAC inhibitors. Moreover, docking study was performed for all designed molecules using co-crystal structure of HDAC8-Trichostatin, which showed better G-score and similar ligand-protein interaction with respect to co-crystallized ligand.

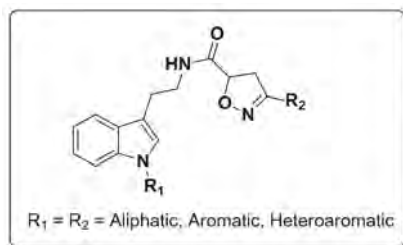


Figure 1 Designed indole linked isoxazoline scaffold

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PP3 - 91: FACILE SYNTHESIS OF 2-AMINOPYRIMIDINE DERIVATIVES AND STUDY OF THEIR ANTIBACTERIAL ASSAY AGAINST HUMAN PATHOGENIC ISOLATES

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The harmful endemic human pathogenic bacterial species such as *Salmonella typhi*, *Vibrio cholerae*, *Shigella dysenteriae* and *Enterococcus faecalis* etc., poses a serious threat in many developing countries and their rapid emergence of resistance to multiple antibiotics makes the situation alarming. Thus, the phenomenon of multi-drug resistance of human pathogenic microorganisms has necessitated the search for new antimicrobial compounds to treat many life threatening diseases due to such bacterial infections.¹

Pyrimidines have a long and distinguished history extending from the days of their discovery as important constituents of several biological molecules such as nucleic acids, cofactors, various toxins and to their current use as various chemotherapeutic agents.²

Thus in continuation of our work on biologically active heterocycles,³ a great attention has been paid to synthesise some new chemical entities i.e., 4-(substitutedphenyl)-6-(2,2,8,8-tetramethyl-3,4,9,10-tetrahydro-2H,8H-pyrano[2,3-f]chromen-6-yl)-2-aminopyrimidines (5a-5h). The structures of the synthesised compounds have been characterised by using advanced spectroscopic techniques such as FT-IR, FT-NMR, mass spectrometry and elemental analyses. The well characterised compounds have been screened for their efficacy against various multidrug-resistant (MDR) clinical isolates of human pathogenic bacteria viz., *Salmonella typhi*, *Vibrio cholerae*, *Shigella dysenteriae* and *Enterococcus faecalis* causing typhoid, cholera, dysenteriae, gastrointestinal and genital tract infections. These gram positive and gram negative isolates were collected and characterised by King George Hospital of Andhra medical college, Visakhapatnam, Andhra Pradesh (India).

The antibacterial studies of 5a-5h were carried out by agar well diffusion method using ciprofloxacin as a standard. Among the derivatives (5a-5h) screened for, fluoro compound (5f) exhibited highest activity towards gram negative bacteria viz., *S. typhi*, *V. cholerae*, *S. dysenteriae* and trimethoxy compound (5e) showed prominent activity against gram positive bacteria viz., *E. faecalis*.

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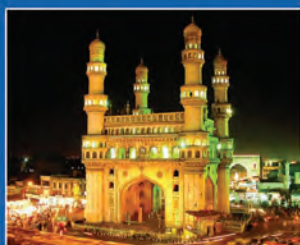
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